



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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<p>(21) International Application Number: PCT/US87/00240</p> <p>(22) International Filing Date: 23 January 1987 (23.01.87)</p> <p>(31) Priority Application Numbers: 824,389 939,416</p> <p>(32) Priority Dates: 23 January 1986 (23.01.86) 15 December 1986 (15.12.86)</p> <p>(33) Priority Country: US</p> <p>(71) Applicant: UNION CARBIDE AGRICULTURAL PRODUCTS COMPANY, INC. [US/US]; 39 Old Ridgebury Road, Danbury, CT 06817 (US).</p> <p>(72) Inventors: MANNING, David, Treadway ; 102 Summerwinds Drive, Cary, NC 27511 (US). CAPPY, James, Joseph ; 4905 Kaplan Drive, Raleigh, NC 27606 (US). COOKE, Anson, Richard ; 1210 Huntsman Drive, Durham, NC 27713 (US). SHEADS, Richard, Eric ; 1727 East Cornwallis Road,</p>	<p>Durham, NC 27713 (US). WU, Tai-Teh ; 115 Summerlin Drive, Chapel Hill, NC 27514 (US). LOPES, Anibal ; 6813-M Woodbend Drive, Raleigh, NC 27609 (US). PHILLIPS, Jennifer, Lyn ; Rural Route 3 - Box 220B, Apex, NC 27502 (US). OUTCALT, Russell, James ; 107 Coatbridge Circle, Cary, NC 27511 (US).</p> <p>(74) Agent: COON, Gerald, L.; Union Carbide Agricultural Products Company, Inc., Law Department - E134, 39 Old Ridgebury Road, Danbury, CT 06817 (US).</p> <p>(81) Designated States: AT (European patent), AU, BE (European patent), BR, CH (European patent), DE (European patent), DK, FI, FR (European patent), GB (European patent), HU, IT (European patent), JP, KR, LK, LU (European patent), MW, NL (European patent), NO, RO, SD, SE (European patent), SU.</p> <p>Published  Without international search report and to be republished upon receipt of that report.</p>	
<p>(54) Title: USE OF HETEROCYCLIC NITROGEN-CONTAINING COMPOUNDS FOR REDUCING MOISTURE LOSS FROM PLANTS AND INCREASING CROP YIELD</p> <p>(57) Abstract</p> <p>A method for reducing transpirational moisture loss from plants and increasing crop yield by applying to the plant surface or crop an effective amount of a heterocyclic nitrogen-containing compound. This invention also relates to novel heterocyclic nitrogen-containing compounds and processes for the preparation thereof.</p>		

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- 1 -

Use of Heterocyclic Nitrogen-Containing  
Compounds for Reducing Moisture Loss from  
Plants and Increasing Crop Yield

Brief Summary of the Invention

Technical Field

This invention relates to the use of heterocyclic nitrogen-containing compounds for reducing transpirational moisture loss from plants and also for increasing crop yield. This invention further relates to novel heterocyclic nitrogen-containing compounds and processes for the preparation thereof.

Background of the Invention

Transpiration is a well known physiological process involving the passage of water in the form of a vapor through living tissues. In plant transpiration, the water vapor passes through plant stomatal openings into the atmosphere, thus facilitating the absorption and translocation of aqueous nutrients by plant root systems. The stomatal openings also permit necessary gaseous interchange between plant tissues and the external air. It is believed that only about one percent of the total water absorbed by plant roots is used for plant growth, the remainder being released through plant stomatal openings into the atmosphere by transpiration.

It has been determined that only a very low rate of transpiration in plants is required for necessary nutrient transport and normal plant growth. Although complete cessation of

- 2 -

transpiration would most probably be detrimental or even fatal to plants, it is believed that a decrease in plant transpiration rate up to about 40 to 50 percent would not be detrimental to plants. See, for example, U.S. Patent No. 4,094,845.

The reduction of transpiration water loss from plants is important for several reasons; in particular, for decreasing requirements for irrigation water especially in dry climate regions, for protecting plants from wilting or other damage during transplantation or shipment or during severe cold weather, and for alleviating water stress in certain types of environments. Water stress as used herein occurs when the transpiration rate exceeds the rate of water uptake by the plant. Water stress appears as a decrease in plant water potential and turgor and can result in wilting or other forms of damage or even plant death.

Various methods have been developed for decreasing transpirational moisture loss from plants. Such methods are described, for example, in U.S. Patent Nos. 4,094,845, 4,397,681, 3,890,158, 3,847,641, 3,826,671, 3,676,102, 3,539,373, 3,339,990, 3,199,944, and also EP 73,760-B. Various materials described in the patent literature which have been used to reduce water loss from plants by transpiration include, for example, carboxylated hydrophilic acrylic polymers, wax emulsions, animal tallow, alkenyl succinic acids, long chain esters of lower organic acids, polyisocyanates, liquid polyterpenes, benzyl alkyl ammonium salts, and the like. However, even though these materials may



- 3 -

decrease transpirational moisture loss from plants, many of these materials have a detrimental effect on other plant processes such as photosynthesis, respiration, cell division, and the like.

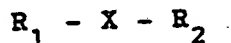
The use of 2-chloro-4-ethylamino-6-isopropylamino-s-triazine (atrazine) for reducing transpirational water loss from plants has also been reported in the literature. See, for example, G. D. Wills et al., Weeds 11: 253-255 (1963) and also James C. Graham et al., Weed Science 16: 389-392 (1968). However, inhibition of plant photosynthetic light-requiring reactions, e.g., photosynthetic electron transport, and plant phytotoxicity are associated with the use of atrazine as an antitranspirant compound.

Accordingly, it is an object of this invention to provide a method for the use of certain heterocyclic nitrogen-containing compounds to reduce transpirational moisture loss from plants, and thereby provide for more efficient soil moisture utilization. It is another object of this invention to provide a method for the use of certain heterocyclic nitrogen-containing compounds to increase crop yields. It is yet another object of this invention to provide novel heterocyclic nitrogen-containing compounds and processes for the preparation thereof. These and other objects will readily become apparent to those skilled in the art in light of the teachings herein set forth.

- 4 -

Disclosure of the Invention

This invention relates to a method for reducing moisture loss from plants which comprises applying to the plant surface an effective amount, sufficient to reduce moisture loss from the plant surface without substantially inhibiting plant photosynthetic electron transport, of a compound having the formula:



wherein  $R_1$ ,  $R_2$  and X are as defined hereinafter.

This invention also relates to a method of increasing crop yield which comprises applying to the crop an effective amount, sufficient to increase crop yield without substantially inhibiting plant photosynthetic electron transport, of a compound having the formula:



wherein  $R_1$ ,  $R_2$  and X are as defined hereinafter.

This invention further relates to novel heterocyclic nitrogen-containing compounds and also to processes for the preparation of said compounds.

Detailed Description

As indicated above, this invention relates to a method of reducing moisture loss from plants and increasing crop yields by the use of certain heterocyclic nitrogen-containing compounds. More particularly, this invention involves a method for reducing transpirational moisture loss from plants

- 5 -

and increasing crop yield which comprises applying to the plant surface or crop an effective amount, sufficient to reduce moisture loss from the plant surface or to increase crop yield without substantially inhibiting plant photosynthetic electron transport, of a compound having the formula:



wherein:

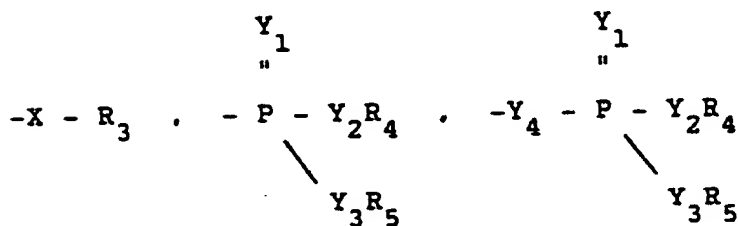
R<sub>1</sub> is a substituted or unsubstituted, carbocyclic or heterocyclic ring system selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in

- 6 -

which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, carboxyalkoxy, carboxyalkylthio, alkoxycarbonylalkoxy, acyloxy, haloacyloxy.

- 7 -

polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,  
 $-X, = X, -X = R_3, = X-R_3$ .



or



$R_1$  is a substituted heteroatom or substituted carbon atom, or a substituted or unsubstituted, branched or straight chain containing two or more carbon atoms or heteroatoms in any combination in which the permissible substituents

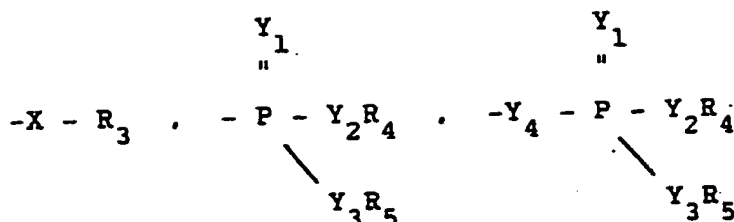
- 8 -

(Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocabonyl, alkylaminothiocabonyl, dialkylaminothiocabonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted

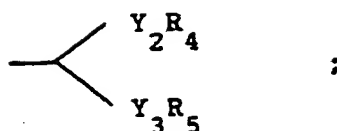
- 9 -

aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
 or substituted arylhydrazonomethyl, a hydroxy group  
 condensed with a mono-, di- or polysaccharide,  
 haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
 aryloxy, aralkoxy, arylthio, aralkylthio,  
 alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
 arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
 haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
 haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
 alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
 aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
 aminosulfonyl, alkylaminosulfonyl,  
 dialkylaminosulfonyl, arylaminosulfonyl,  
 carboxyalkoxy, carboxyalkylthio,  
 alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
 polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,  
 -X, = X, -X = R<sub>3</sub>, = X-R<sub>3</sub>.

- 10 -



or



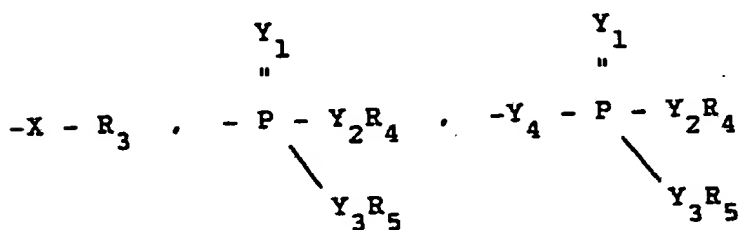
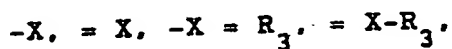
X is a covalent single bond or double bond, a substituted or unsubstituted heteroatom or substituted carbon atom, or a substituted or unsubstituted, branched or straight chain containing two or more carbon atoms or heteroatoms in any combination in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts.



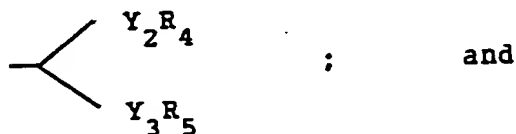
- 11 -

formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl,

carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
aroylamino, haloacylamino, alkoxycarbonyloxy,  
arylsulfonylamino, aminocarbonyloxy, cyanato,  
isocyanato, isothiocyano, cycloalkylamino,  
trialkylammonium, arylamino, aryl(alkyl)amino,  
aralkylamino, alkoxylalkylphosphinyl,  
alkoxylalkylphosphinothioyl, alkylhydroxyphosphinyl,  
dialkoxylphosphino, hydroxyamino, alkoxylamino,  
aryloxyamino, aryloxyimino, oxo, thiono,  
alkylaminoalkoxy, dialkylaminoalkoxy, alkoxylalkoxy,  
alkoxylalkenyl, cyanoalkoxy, dialkylsulfonium,



OF



$R_2$  is a substituted or unsubstituted, heterocyclic ring system having at least one

- 13 -

nitrogen atom which is selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxy carbonylalkyl, alkoxy carbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryl dialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxy sulfonyl, polyhaloalkoxy sulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxy carbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryl dialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxy carbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl;

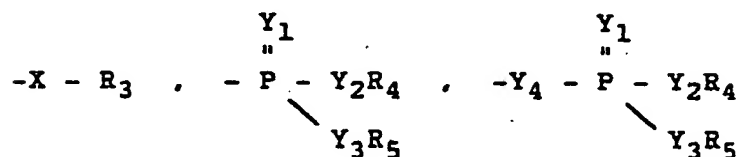
- 14 -

alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
aminosulfonyl, alkylaminosulfonyl,  
dialkylaminosulfonyl, arylaminosulfonyl,  
carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
aroylamino, haloacylamino, alkoxycarbonyloxy,  
arylsulfonylamino, aminocarbonyloxy, cyanato,  
isocyanato, isothiocyano, cycloalkylamino,  
trialkylammonium, arylamino, aryl(alkyl)amino,  
aralkylamino, alkoxyalkylphosphinyl,  
alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
dialkoxyphosphino, hydroxyamino, alkoxyamino,  
aryloxyamino, aryloxyimino, oxo, thiono,

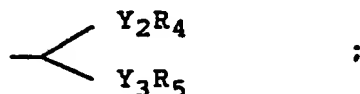
- 15 -

alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X, = X, -X = R_3, = X-R_3,$



or



wherein:

$R_3$  is a substituted or unsubstituted, carbocyclic or heterocyclic ring system selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl,

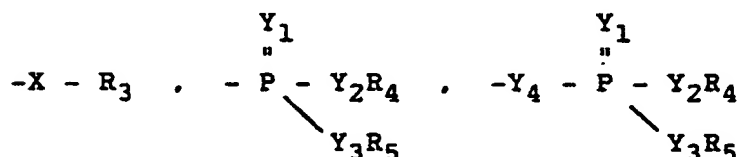
- 16 -

nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl,

- 17 -

dialkylaminosulfonyl, arylaminosulfonyl,  
 carboxyalkoxy, carboxyalkylthio,  
 alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
 polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxylalkylphosphinyl,  
 alkoxylalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxylphosphino, hydroxyamino, alkoxylamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxylalkoxy,  
 alkoxylalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X, = X, -X = R_3, = X-R_3,$



or



$R_3$  is a substituted heteroatom or substituted carbon atom, or a substituted or unsubstituted, branched or straight chain containing two or more carbon atoms or heteroatoms in any combination in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl,

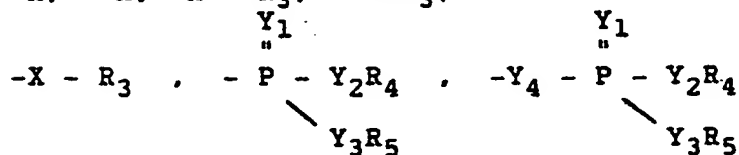
- 18 -

alkylcarbonylalkyl, alkoxycarbonylalkyl,  
alkoxycarbonylalkylthio, polyhaloalkenylthio,  
thiocyano, propargylthio, hydroxyimino, alkoxyimino,  
trialkylsilyloxy, aryldialkylsilyloxy,  
triarylsilyloxy, formamidino, alkylsulfamido,  
dialkylsulfamido, alkoxysulfonyl,  
polyhaloalkoxysulfonyl, hydroxy, amino,  
aminocarbonyl, alkylaminocarbonyl,  
dialkylaminocarbonyl, aminothiocabonyl,  
alkylaminothiocabonyl, dialkylaminothiocabonyl,  
nitro, cyano, hydroxycarbonyl and derivative salts,  
formamido, alkyl, alkoxy, polyhaloalkyl,  
polyhaloalkoxy, alkoxycarbonyl, substituted amino in  
which the permissible substituents are the same or  
different and are one or two propargyl, alkoxyalkyl,  
alkylthioalkyl, alkyl, alkenyl, haloalkenyl or  
polyhaloalkenyl; alkylthio, polyhaloalkylthio,  
alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl,  
polyhaloalkylsulfonyl, alkylsulfonylamino,  
alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group



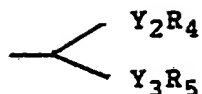
- 19 -

condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
aminosulfonyl, alkylaminosulfonyl,  
dialkylaminosulfonyl, arylaminosulfonyl,  
carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
aroylamino, haloacylamino, alkoxycarbonyloxy,  
arylsulfonylamino, aminocarbonyloxy, cyanato,  
isocyanato, isothiocyano, cycloalkylamino,  
trialkylammonium, arylamino, aryl(alkyl)amino,  
aralkylamino, alkoxyalkylphosphinyl,  
alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
dialkoxyphosphino, hydroxyamino, alkoxyamino,  
aryloxyamino, aryloxyimino, oxo, thiono,  
alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$$-X, = X, -X = R_3, = X-R_3,$$


or

- 20 -



$Y_1$  and  $Y_4$  are independently oxygen or sulfur;

$Y_2$  and  $Y_3$  are independently oxygen, sulfur, amino or a covalent bond; and

$R_4$  and  $R_5$  are independently hydrogen or substituted or unsubstituted alkyl, polyhaloalkyl, phenyl or benzyl in which the permissible substituents (Z) are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino,

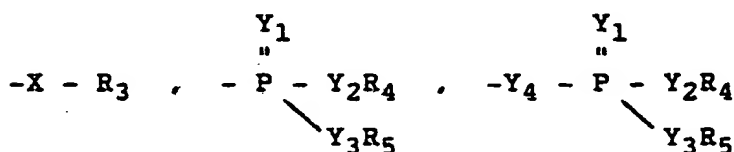
- 21 -

polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, carboxyalkoxy, carboxyalkylthio, alkoxycarbonylalkoxy, acyloxy, haloacyloxy, polyhaloacyloxy, aroyloxy, alkylsulfonyloxy, alkenylsulfonyloxy, arylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, aroylamino, haloacylamino, alkoxycarbonyloxy, arylsulfonylamino, aminocarbonyloxy, cyanato, isocyanato, isothiocyano, cycloalkylamino, trialkylammonium, arylamino, aryl(alkyl)amino,

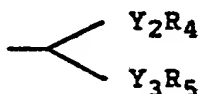
- 22 -

aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X. = X, -X = R_3, = X-R_3,$



or

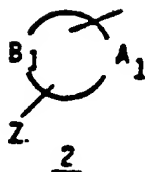


The alkyl-containing moieties above may contain from about 1 to about 100 carbon atoms or greater, preferably from about 1 to about 30 carbon atoms, and more preferably from about 1 to about 20 carbon atoms. The polysaccharide moiety may contain up to about 50 carbon atoms. It is appreciated that all compounds encompassed within formula 1 are compounds having no unfilled bonding positions. It is further appreciated that in order for a substituent to be permissible for the compounds encompassed within formula 1, the valence of the substituent must be appropriate with the bonding capability of the particular carbon atom or heteroatom.

Monocyclic ring systems encompassed by  $R_1$  and  $R_3$  in formula 1 may be represented by

- 23 -

generalized formula 2 as follows:



wherein  $B_1$  represents a saturated or unsaturated carbon atom and  $A_1$  represents a ring-forming chain of atoms which together with  $B_1$  forms a cyclic system containing from 0 to 4 double bonds or from 0 to 2 triple bonds.  $A_1$  may contain entirely from 2 to 12 carbon atoms, may contain a combination of from 1 to 11 carbon atoms and from 1 to 4 heteroatoms which may be selected independently from N, O, S, P or other heteroatoms, or may contain 4 ring-forming heteroatoms alone.

Monocyclic ring systems encompassed by  $R_2$  in formula 1 may include any monocyclic ring system of  $R_1$  and  $R_3$  having at least one nitrogen atom.

Ring-forming heteroatoms may in some cases bear oxygen atoms as in aromatic and aliphatic N-oxides and ring systems containing the sulfinyl, sulfonyl, selenoxide and phosphine oxide moieties.

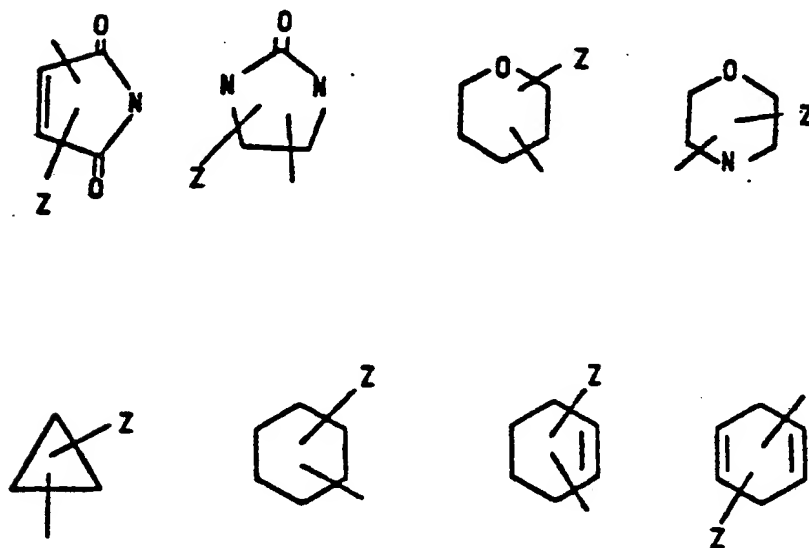
Selected carbon atoms contained in cycles formed by  $B_1$  and  $A_1$  containing more than 3 ring-forming atoms may bear carbonyl, thiocarbonyl, substituted or unsubstituted imino groups or substituted or unsubstituted methylenide groups.

The group designated as Z represents one or more substituents selected independently from among the group of substituents defined for Z herein. When the cycle formed by  $B_1$  and  $A_1$  contains

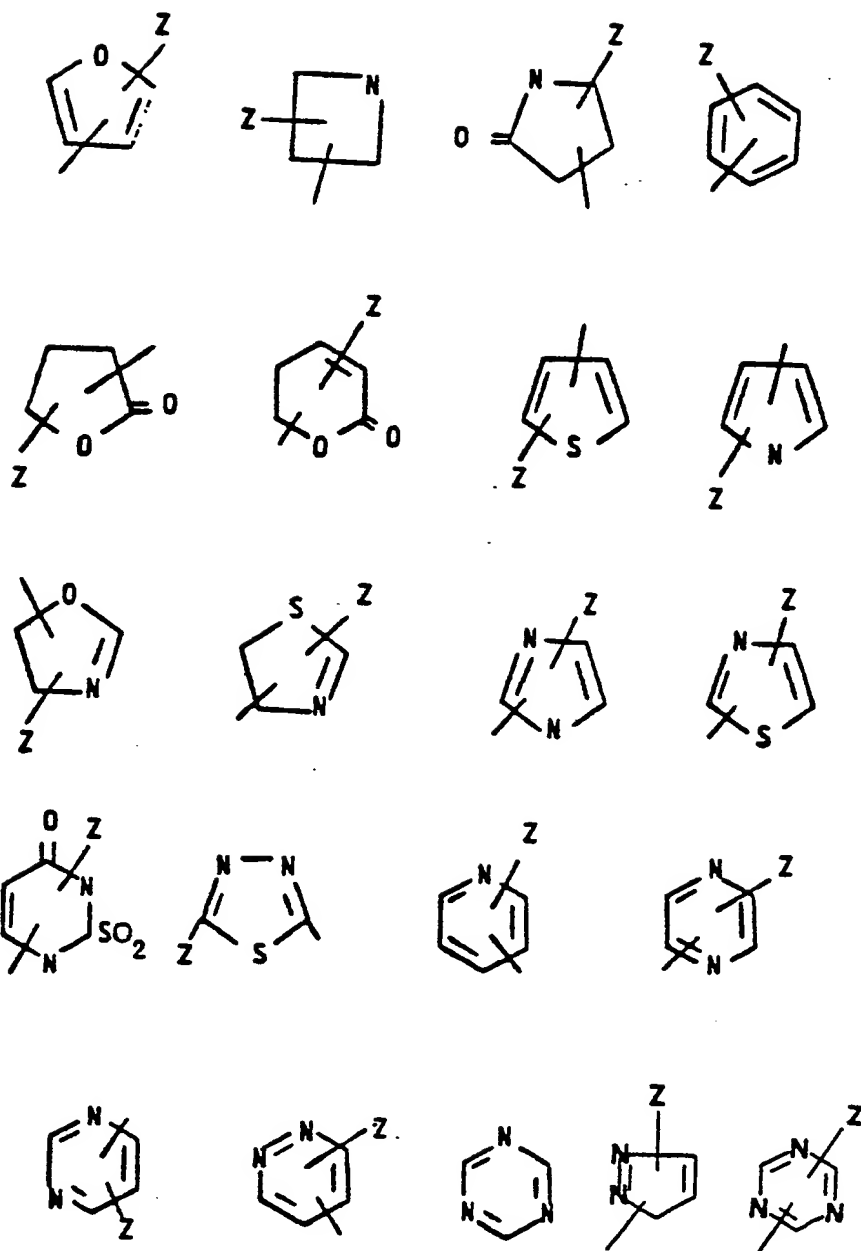
- 24 -

fewer than 4 ring forming members, it should be a saturated carbocycle, i.e. cyclopropyl. When the cycle formed by  $B_1$  and  $A_1$  contains fewer than 5 ring-forming members, it should contain no more than 1 heteroatom.

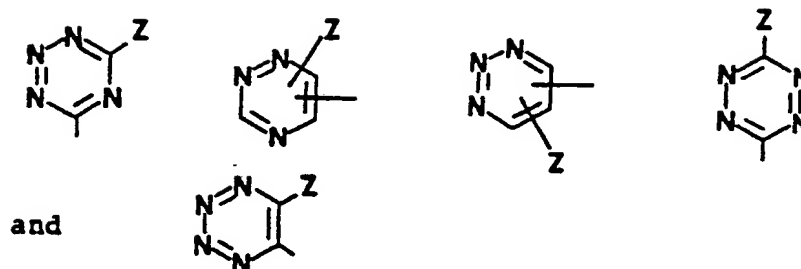
Illustrative monocyclic ring structures which are encompassed by  $R_1$  and  $R_3$  in formula 1 include the following:



- 25 -

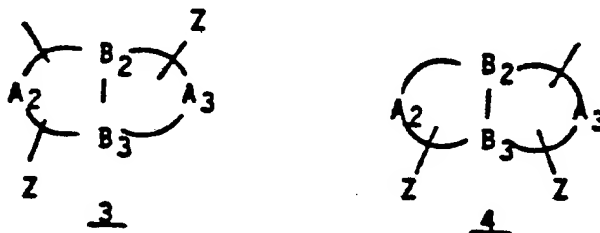


- 26 -



wherein Z is as defined herein.

Bicyclic ring systems encompassed by  $R_1$  and  $R_3$  in formula 1 may be represented by generalized formulae 3 and 4 as follows:



wherein  $B_2$  and  $B_3$  may be independently a saturated or unsaturated carbon atom or a saturated nitrogen atom,  $A_2$  and  $A_3$  independently represent the ring-forming chains of atoms described below and Z represents one or more substituents selected independently from among the group of substituents



- 27 -

defined for Z herein. Combinations of  $A_2$  and  $A_3$  may contain in combination with  $B_2$  or  $B_3$  from 0 to 5 double bonds.  $A_2$  and  $A_3$ , independent of  $B_2$  and  $B_3$ , may contain entirely from 1 to 11 carbon atoms, may contain a combination of 1 to 3 heteroatoms which may be selected independently from among N, O, S, P or other heteroatoms together with from 1 to 10 carbon atoms or may contain from 1-3 ring-forming heteroatoms alone.

Ring-forming heteroatoms may in some cases bear oxygen atoms, as in aromatic and aliphatic N-oxides and ring systems containing the sulfinyl, sulfonyl, selenoxide and phosphine oxide groups. Selected carbon atoms contained in  $A_2$  and  $A_3$  may bear carbonyl, thiocarbonyl, substituted or unsubstituted imino groups or substituted or unsubstituted methyldene groups.

Bicyclic ring systems encompassed by  $R_2$  in formula 1 may include any bicyclic ring system of  $R_1$  and  $R_3$  having at least one nitrogen atom.

In regard to structures encompassed within formulae 3 and 4, it is noted as follows:

(a) When  $B_2$  and  $B_3$  are both nitrogen, the groups  $A_2$  and  $A_3$  should each contain no fewer than three ring atoms;

(b) When  $B_2$  but not  $B_3$  is nitrogen, either of  $A_2$  or  $A_3$  should contain at least three ring atoms and the other at least two ring atoms;

(c) When either of groups  $A_2$  or  $A_3$  contains fewer than three ring atoms, the other should contain at least three ring atoms and the bridgehead atoms should be saturated;

- 28 -

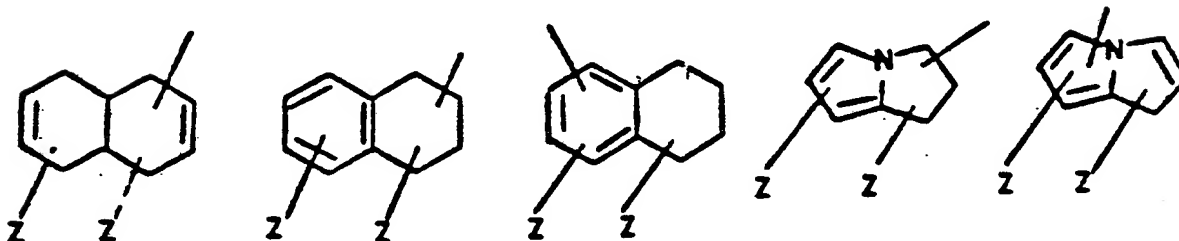
(d) When the group  $A_2$  or  $A_3$  contains a carbon atom bearing a carbonyl, thiocarbonyl, imino or methyldene group, it should together with  $B_2$  and  $B_3$  form a cycle having at least four members;

(e) When an annular double bond is exocyclic to either of the two rings represented in structures 3 and 4, it should be contained in a ring containing at least five members and be exocyclic to a ring containing at least five members; and

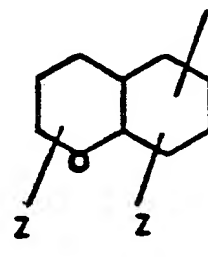
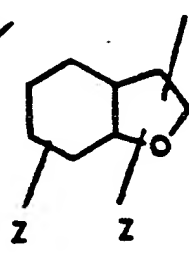
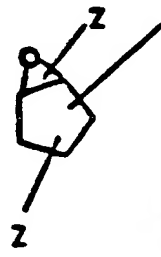
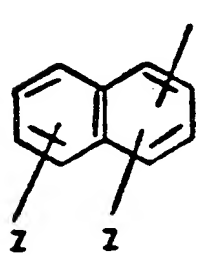
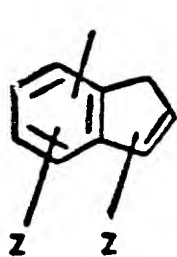
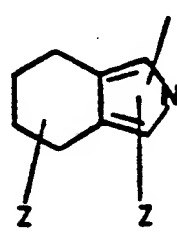
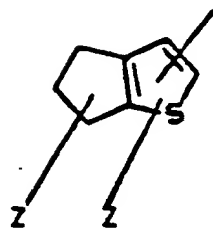
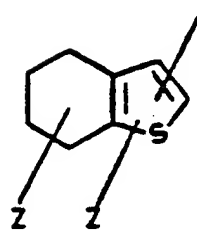
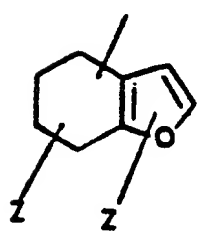
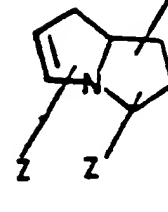
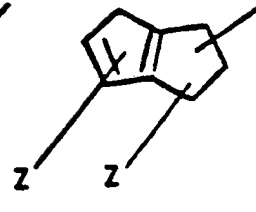
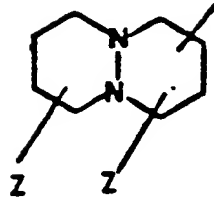
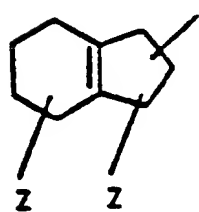
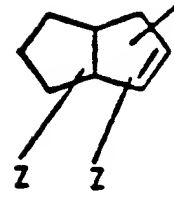
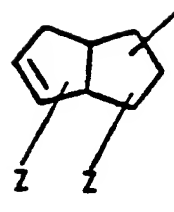
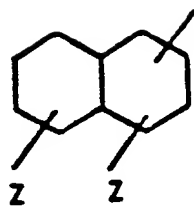
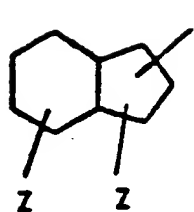
(f) When a group  $A_2$  or  $A_3$  is joined to the bridgehead atoms  $B_2$  and  $B_3$  by 2 double bonds, the group  $A_2$  or  $A_3$  is understood to contain one double bond and the bridgehead atoms are considered to be unsaturated.

It is recognized that bicyclic ring systems defined for  $R_1$  and  $R_3$  may be spirocyclic ring systems and are not limited to the fused bicyclic structures of formulae 3 and 4. Spirocyclic ring systems may be saturated or unsaturated carbocyclic or heterocyclic and may be independently substituted by one or more substituents  $Z$  as defined herein.

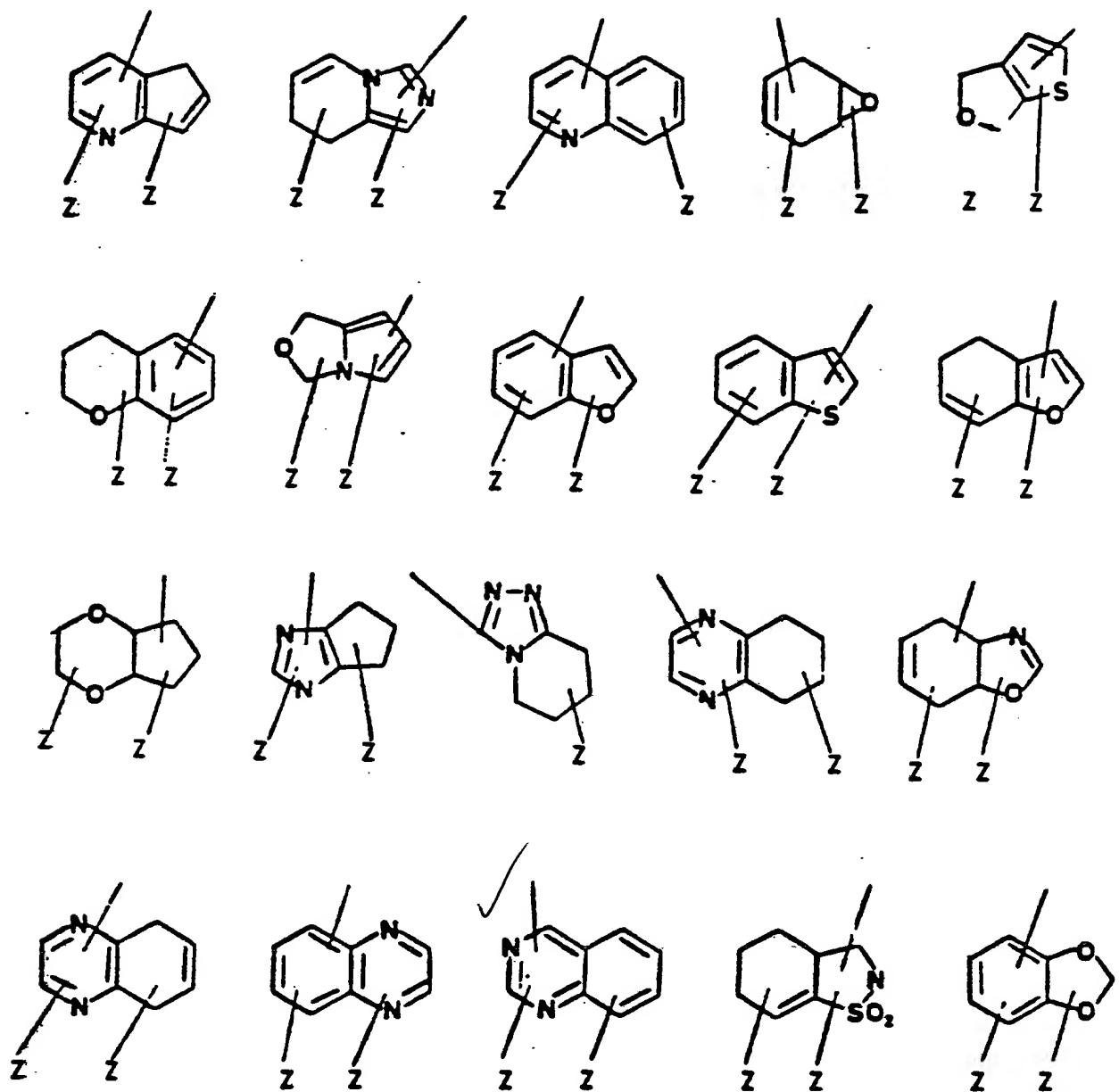
Illustrative bicyclic ring structures which are encompassed by  $R_1$  and  $R_3$  in formula 1 included the following:



- 29 -

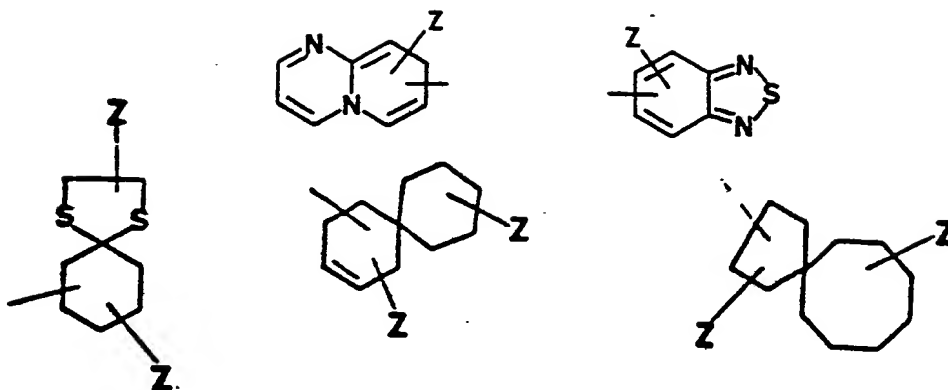


- 30 -

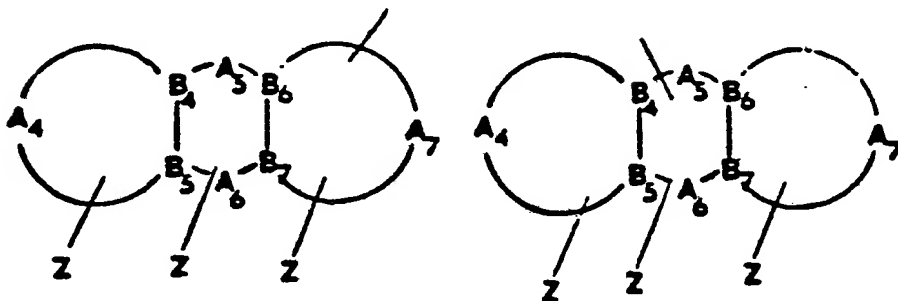
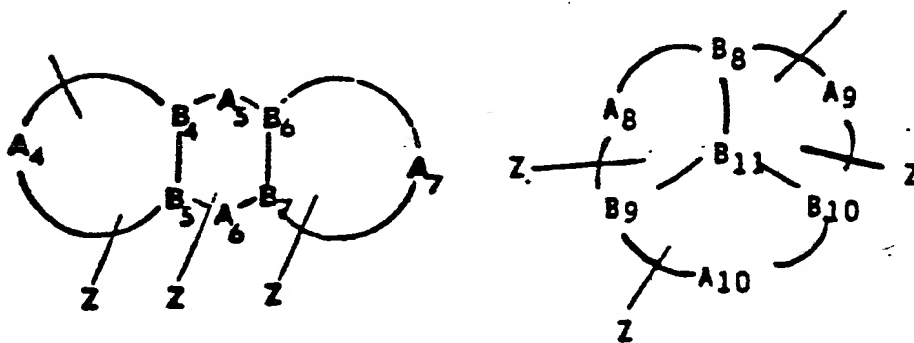




- 32 -



Polycyclic ring systems, i.e., greater than 2 rings, encompassed by  $R_1$  and  $R_3$  in formula 1 may be represented by generalized formulae 5, 6, 7 and 8 as follows:

5678

- 33 -

wherein  $B_4$ ,  $B_5$ ,  $B_6$  and  $B_7$  may be independently a saturated or unsaturated carbon atom or a saturated nitrogen atom, and  $A_4$ ,  $A_5$ ,  $A_6$  and  $A_7$  independently represent ring forming chains of atoms which may contain together with one or the other (but not both) of their two associated bridgehead atoms, from 0-2 double bonds. The groups Z represent one or more substituents selected independently from among the group of substituents defined for Z herein.

The ring-forming elements of  $A_4$ ,  $A_5$ ,  $A_6$  and  $A_7$  independent of  $B_4$ ,  $B_5$ ,  $B_6$  and  $B_7$  may contain from 1-11 carbon atoms, may contain a combination of from 1-10 carbon atoms and from 1-3 heteroatoms which may be selected independently from among N, O, S, P or other heteroatoms, or may contain from 1-3 heteroatoms alone. Ring-forming heteroatoms may in some cases bear oxygen atoms as in aromatic N-oxides and ring systems containing the sulfinyl, sulfonyl, selenoxide and phosphine oxide groups. The group  $A_6$  may at times be defined as a bond. Selected carbon atoms contained in  $A_4$ ,  $A_5$ ,  $A_6$  and  $A_7$  may bear one or more carbonyl, thiocarbonyl or substituted or unsubstituted imino groups.

On structure 8 the groups  $B_8$ ,  $B_9$  and  $B_{10}$  represent independently a saturated or unsaturated carbon atom or a saturated nitrogen atom. The group  $B_{11}$  may represent a saturated or unsaturated carbon atom or a nitrogen or phosphorous atom. The groups  $A_8$ ,  $A_9$  and  $A_{10}$  represent ring-forming chains of atoms which may contain

- 34 -

together with 1 of the groups  $B_8$ ,  $B_9$ ,  $B_{10}$  and  $B_{11}$  from 0-2 double bonds.

The ring-forming elements of groups  $A_8$ ,  $A_9$  and  $A_{10}$  independent of groups  $B_8$ ,  $B_9$ ,  $B_{10}$  and  $B_{11}$  may contain from 2-10 carbon atoms, may contain from 1-10 carbon atoms in combination with 1-3 heteroatoms which may be selected independently from among N, O, S, P or other heteroatoms, or may contain from 2-3 heteroatoms alone. Ring-forming heteroatoms may in some cases bear oxygen atoms as in aromatic N-oxides and in ring systems containing the sulfinyl, sulfonyl, selenoxide and phosphine oxide groups. Selected carbon atoms contained in groups  $A_8$ ,  $A_9$  and  $A_{10}$  may bear one or more carbonyl, thiocarbonyl or substituted or unsubstituted imino groups.

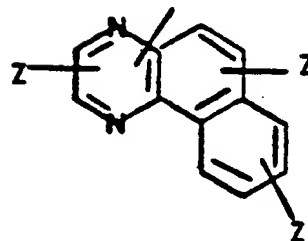
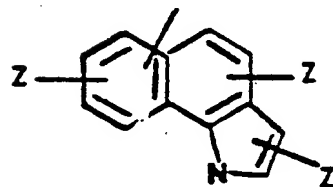
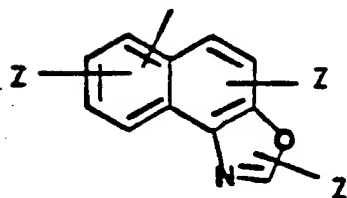
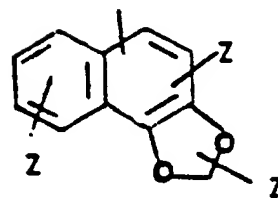
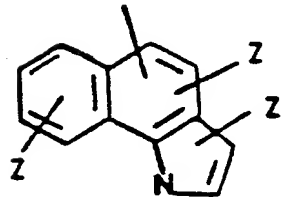
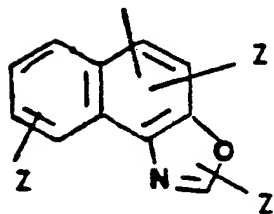
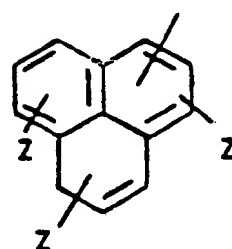
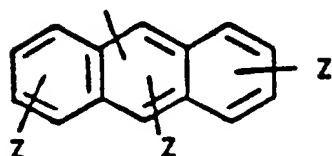
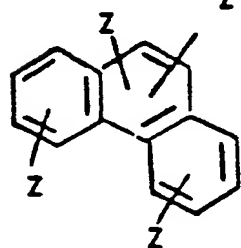
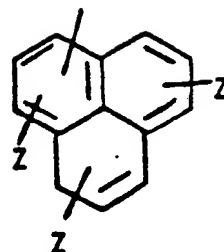
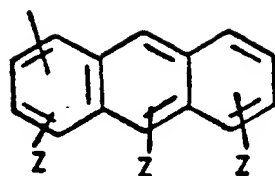
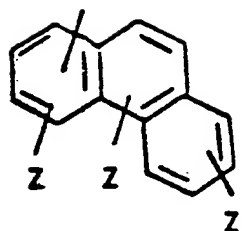
It is recognized that polycyclic ring systems defined for  $R_1$  and  $R_3$  may be spirocyclic ring systems and are not limited to the fused polycyclic structures of formulae 5, 6, 7 and 8. Spirocyclic ring systems may be saturated or unsaturated, carbocyclic or heterocyclic and may be independently substituted by one or more substituents Z as defined herein.

Polycyclic ring systems encompassed by  $R_2$  of formula 1 may include any polycyclic ring system or  $R_1$  and  $R_3$  having at least one nitrogen atom.

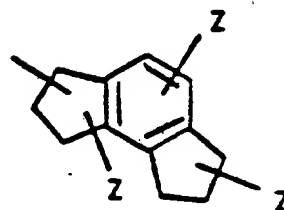
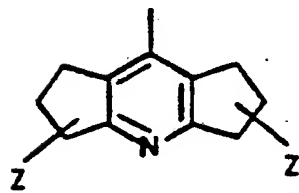
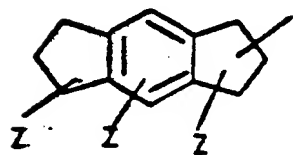
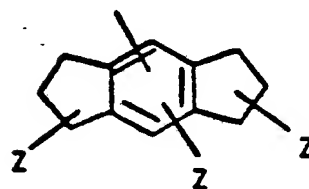
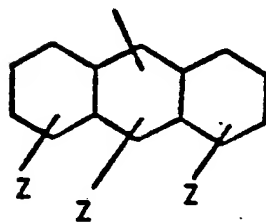
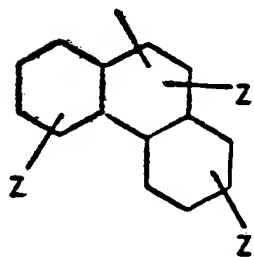
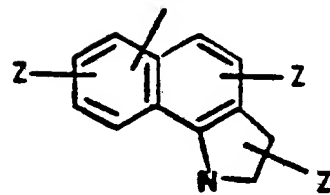
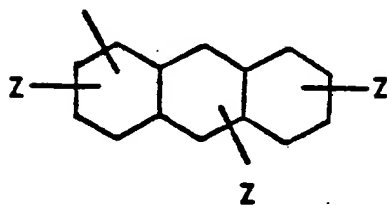
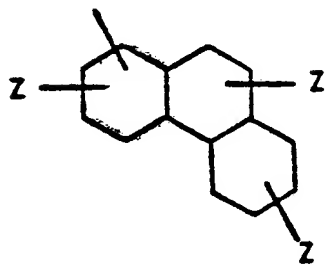
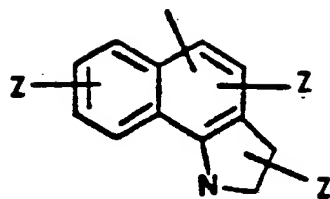
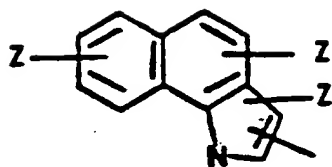
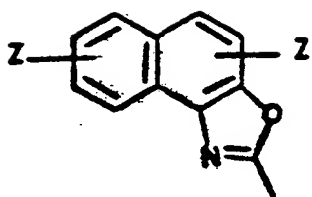
Illustrative polycyclic ring structures which are encompassed by  $R_1$  and  $R_3$  in formula 1 include the following:



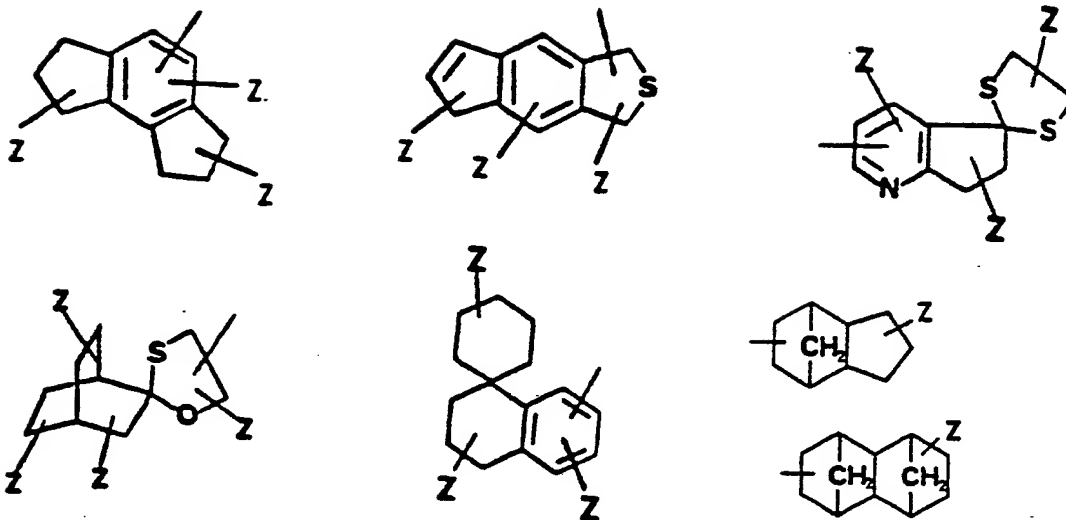
- 35 -



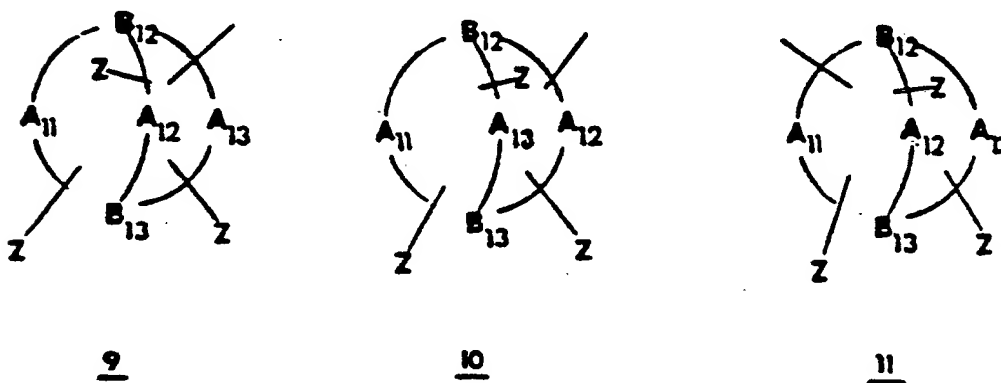
- 36 -



- 37 -



Bridged bicyclic structures encompassed by  $R_1$  and  $R_3$  in formula 1 may be represented by generalized formulae 9, 10, and 11 as follows:



wherein  $B_{12}$  and  $B_{13}$  may be independently a saturated carbon atom optionally substituted by Z or a nitrogen atom, and the groups  $A_{11}$ ,  $A_{12}$  and  $A_{13}$  independently represent ring-forming chains of atoms which may contain, independently of  $B_{12}$  and  $B_{13}$ , from 0-2 double bonds. The groups Z represent one or more substituents selected independently from among the groups of substituents defined for Z herein.

- 38 -

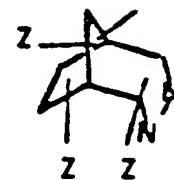
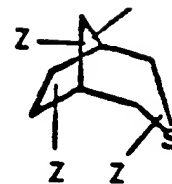
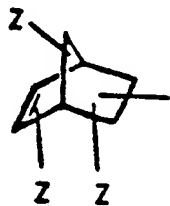
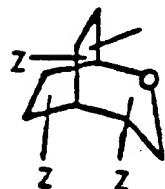
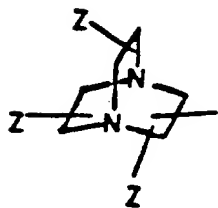
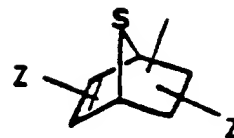
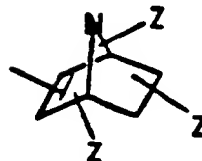
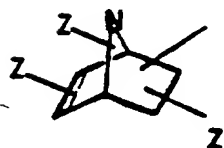
The ring-forming elements of  $A_{11}$ ,  $A_{12}$  and  $A_{13}$ , independent of  $B_{12}$  and  $B_{13}$ , may contain entirely from 1-11 carbon atoms, may contain a combination of from 1-10 carbon atoms and from 1-3 heteroatoms which may be selected independently from among N, O, S, P or other heteroatoms, or may contain from 1-3 heteroatoms alone with the proviso that when one of the groups  $A_{11}$ ,  $A_{12}$  and  $A_{13}$  is a single heteroatom, the other two groups should contain two or more ring-forming atoms. A second proviso is that when one or both of the groups  $B_{12}$  and  $B_{13}$  is nitrogen, the groups  $A_{11}$ ,  $A_{12}$  and  $A_{13}$  should contain at least two saturated ring-forming atoms.

Ring-forming heteroatoms may in some cases bear oxygen atoms as in the sulfinyl, sulfonyl, selenoxide and phosphine oxide moieties. Selected carbon atoms contained in  $A_{11}$ ,  $A_{12}$  and  $A_{13}$  may bear one or more carbonyl, thiocarbonyl or substituted or unsubstituted imino groups.

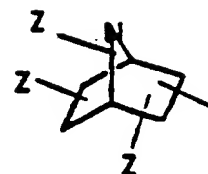
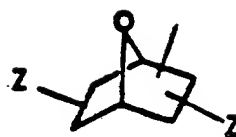
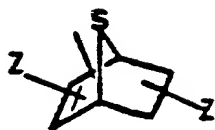
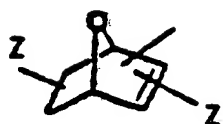
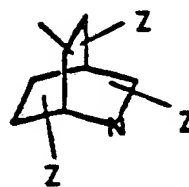
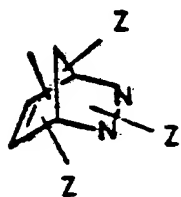
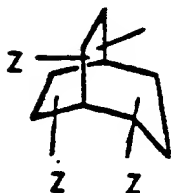
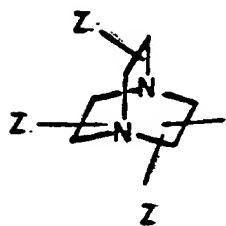
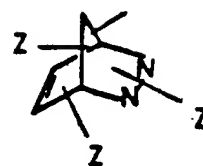
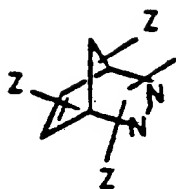
Bridged bicyclic structures encompassed by  $R_2$  of formula 1 may include any bicyclic bridged system of  $R_1$  and  $R_3$  having at least one nitrogen atom.

Illustrative bridged bicyclic structures which are encompassed by  $R_1$  and  $R_3$  in formula 1 include the following:

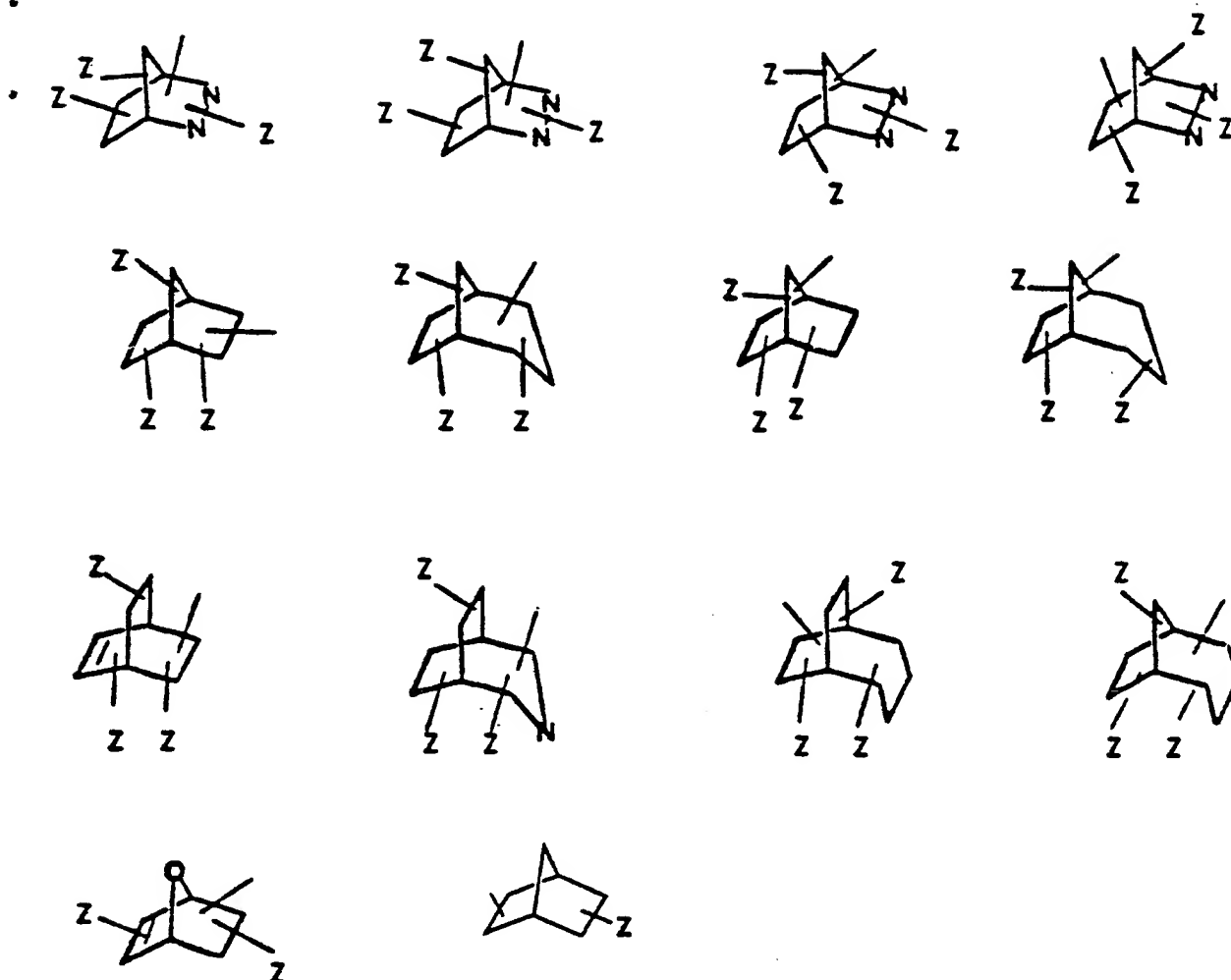
- 39 -



- 40 -



- 41 -

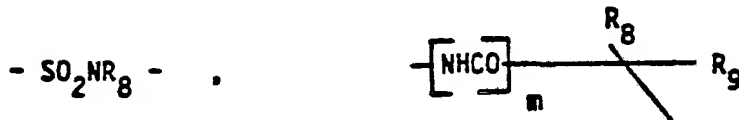
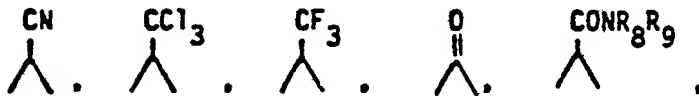
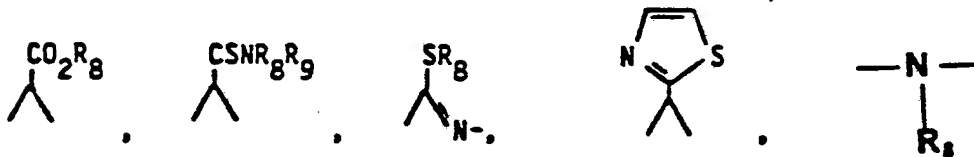


The substituent X may be an unsubstituted heteroatom such as an oxygen or sulfur, as in carbonyl and thiocarbonyl systems, or may be a substituted heteroatom or carbon atom. X may also be a covalent single or double bond. X may further be a saturated or unsaturated, branched or straight chain of carbon atoms; a branched or straight, saturated or unsaturated chain of atoms consisting

- 42 -

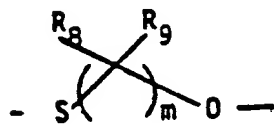
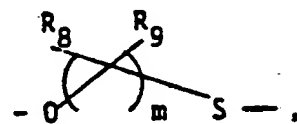
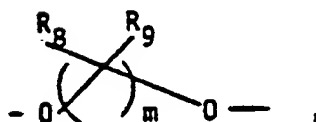
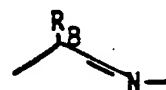
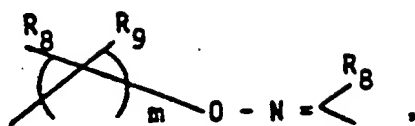
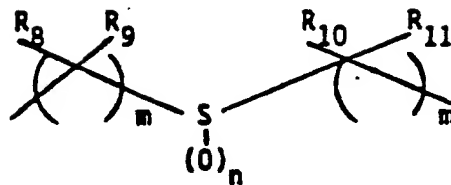
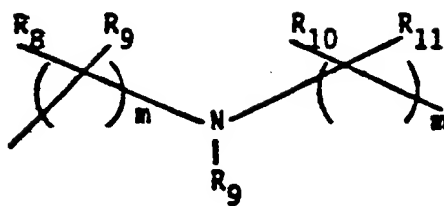
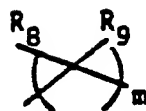
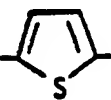
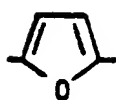
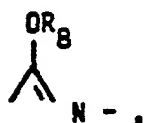
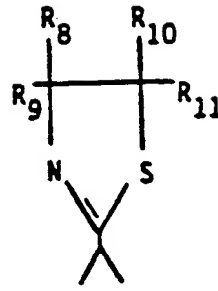
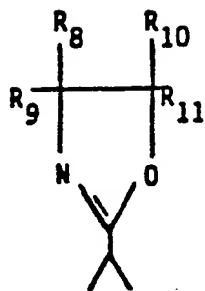
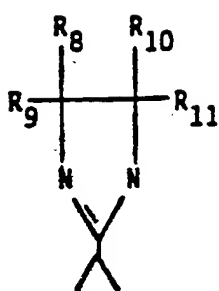
of both carbon atoms and heteroatoms; or may be a branched or straight, saturated or unsaturated chain consisting entirely of heteroatoms. Selected heteroatomic components of X may bear oxygen atoms as in the case of groups containing the sulfonyl, sulfinyl, N-oxide and phosphine oxide moieties. Selected heteroatomic components of X may bear one or more substituents Z as defined herein. Selected carbon atoms participating in X may bear carbonyl, thiocarbonyl, substituted or unsubstituted imino, substituted or unsubstituted alkylidene or one or more substituents Z as defined herein.

Illustrative structures which are encompassed by substituent X include the following:

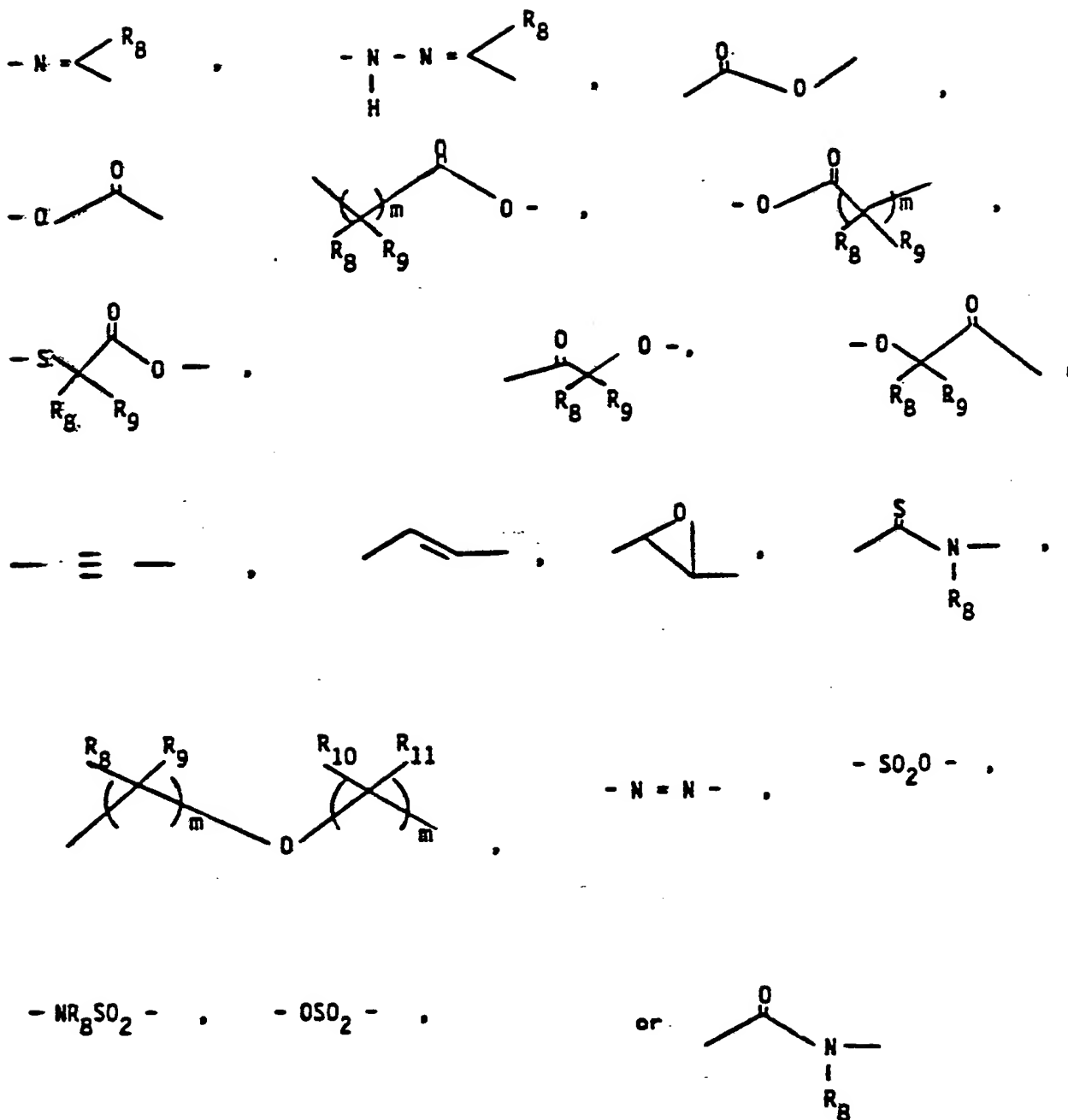




- 43 -



- 44 -



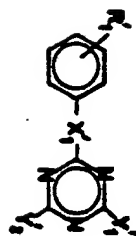
- 45 -

wherein m is a value of from 0 to 8, n is a value of from 0 to 2, and  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{11}$  are independently hydrogen or substituted or unsubstituted alkyl, polyhaloalkyl, phenyl or benzyl in which the permissible substituents are as defined for Z herein.

It is readily apparent that formula 1 encompasses a wide variety of heterocyclic nitrogen-containing compounds. Illustrative heterocyclic nitrogen-containing compounds within the scope of formula 1 which may be used for reducing transpirational moisture loss from plants and increasing crop yield are included in Tables 1 through 43 below.

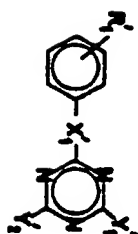
TABLE I

Representative Heterocyclic Nitrogen - Containing Compounds



<u>R<sup>1</sup></u>	<u>X<sup>1</sup></u>	<u>Y<sup>1</sup></u>	<u>Y<sup>2</sup></u>
H	0	Cl	Cl
4-Cl	0	Cl	Cl
4-F	0	Cl	Cl
2,4-Cl <sub>2</sub>	0	Cl	Cl
4-CH <sub>3</sub>	0	Cl	Cl
4-CH <sub>3</sub> O	0	Cl	Cl
3,4-Cl <sub>2</sub>	0	Cl	Cl
2,3-Cl <sub>2</sub>	0	Cl	Cl
2,5-Cl <sub>2</sub>	0	Cl	Cl
3-Cl	0	Cl	Cl
2-Cl	0	Cl	Cl
3,5-Cl <sub>2</sub>	0	Cl	Cl
4-CF <sub>3</sub>	0	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> O-	0	Cl	Cl
2-NO <sub>2</sub>	0	Cl	Cl
3-NO <sub>2</sub>	0	Cl	Cl
4-NO <sub>2</sub>	0	Cl	Cl
2,4-Cl <sub>2</sub>	0	Cl	OCCH <sub>3</sub>

TABLE I (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sub>1</sub>	X <sub>1</sub>	Y <sub>1</sub>	Y <sub>2</sub>
3-CN	0	Cl	Cl
4-Cl	0	F	F
4-CN	0	Cl	Cl
2,6-Cl <sub>2</sub>	0	Cl	Cl
4-CO <sub>2</sub> CH <sub>3</sub>	0	Cl	Cl
4-CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	0	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> CO-	0	Cl	Cl
4-CH <sub>3</sub> CO-	0	Cl	Cl
2,3,4,5-Cl <sub>4</sub>	0	Cl	Cl
2,3,4,5,6-Cl <sub>5</sub>	0	Cl	Cl
4-(CH <sub>3</sub> ) <sub>3</sub> C-	0	Cl	Cl
4-CH <sub>3</sub> S-	0	Cl	Cl
4-(C <sub>6</sub> H <sub>5</sub> ) <sub>3</sub> C-	0	Cl	Cl
3,4-OCH <sub>2</sub> O-	0	Cl	Cl
3-CH <sub>3</sub> CONH-	0	Cl	Cl
3-CH <sub>3</sub> O-	0	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> O-	0	Cl	Cl

TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

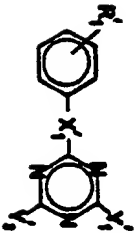

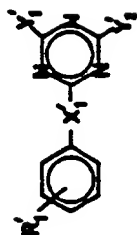
				
R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>	
	0	Cl	Cl	
4-(C <sub>2</sub> H <sub>5</sub> CH(CH <sub>3</sub> )O-)	0	Cl	Cl	
3,4,5-(CH <sub>3</sub> ) <sub>3</sub>	0	Cl	Cl	
3,5-(CH <sub>3</sub> ) <sub>2</sub> -4-Br	0	Cl	Cl	
2-Br-4-Cl	0	Cl	Cl	
4-O-CH-	0	Cl	Cl	
4-n-C <sub>4</sub> H <sub>9</sub> O-	0	Cl	Cl	
4-n-C <sub>7</sub> H <sub>15</sub> O-	0	Cl	Cl	
4-Cl-5,6-(CH <sub>2</sub> ) <sub>4</sub> -	0	Cl	Cl	
3-(CH <sub>3</sub> ) <sub>2</sub> N-	0	Cl	Cl	
4-(C <sub>6</sub> H <sub>5</sub> -N=N-)	0	Cl	Cl	
2,4-Cl <sub>2</sub> -5-COOH	0	Cl	Cl	
4-C <sub>6</sub> H <sub>5</sub>	0	Cl	Cl	
3-F	0	Cl	Cl	
2,4-Cl <sub>2</sub>	0	Br	Br	

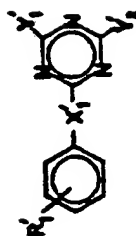
TABLE I (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



$R'_1$	$X'_1$	$Y'_1$	$Y'_2$
2,4-Cl <sub>2</sub>	0	Cl	I
4-(4-C <sub>2</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> N=N-)- 2,3-(CH=CH-CH=CH)-	0	Cl	Cl
2-CH <sub>3</sub> O-4-allyl	0	Cl	Cl
2,4-Cl <sub>2</sub>	0	Cl	CH <sub>3</sub>
2,4-Cl <sub>2</sub>	0	Br	CH <sub>3</sub>
3,4-(CH=CH-CH=CH)-	0	Cl	Cl
2,3-(CH <sub>2</sub> ) <sub>4</sub> -	0	Cl	Cl
2,3-(CH <sub>2</sub> ) <sub>4</sub> -4-Cl	0	Cl	Cl
2,3-(CH=CH-CH=CH)-	0	Cl	Cl
2,3-(CH=CH-CH=CH)-4-Cl-	0	Cl	Cl
2,3-(CH=CH-CH=CH)-4-CH <sub>3</sub> O	0	Cl	Cl
4-Cl	S	Cl	Cl
3-Cl	S	Cl	Cl
2,6-Cl <sub>2</sub>	S	Cl	Cl
4-CH <sub>3</sub>	S	Cl	Cl
2,4-Cl <sub>2</sub>	S	Cl	Cl

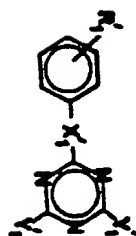
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
4-CH <sub>3</sub> O	S	Cl	Cl
4-F	S	Cl	Cl
4-Cl-2-CH(CH <sub>3</sub> )C <sub>6</sub> H <sub>5</sub>	O	Cl	Cl
2-Cl	NH	Cl	Cl
4-Cl	NH	Cl	Cl
4-Cl	NH	F	F
4-(4-Cl-2-BrC <sub>6</sub> H <sub>4</sub> O)-2-CH <sub>3</sub>	NH	Cl	Cl
2-CH <sub>3</sub> -4-(4-Cl)-2-BrC <sub>6</sub> H <sub>4</sub> O-5-Cl	NH	Cl	Cl
4-Cl	NH	Br	Br
4-NO <sub>2</sub>	NH	Cl	Cl
4-NO <sub>2</sub>	NH	Br	Br
4-(C <sub>6</sub> H <sub>5</sub> -CH <sub>2</sub> )-	O	Cl	Cl



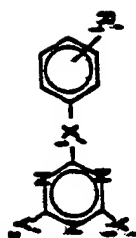
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sub>1</sub>	X <sub>1</sub>	Y <sub>1</sub>	Y <sub>2</sub>
3-n-C <sub>4</sub> H <sub>9</sub> O	0	Cl	Cl
3-(C <sub>6</sub> H <sub>5</sub> -CH <sub>2</sub> O-	0	Cl	Cl
4-[4-(C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> O)-C <sub>6</sub> H <sub>4</sub> CH <sub>2</sub> O]-	0	Cl	Cl
2-Br	0	Cl	Cl
2-CH <sub>3</sub> O-4-CHO	0	Cl	Cl
2,3-(CH <sub>2</sub> ) <sub>4</sub> -	NH	Cl	Cl
2,3-O-C(CH <sub>3</sub> ) <sub>2</sub> O-	0	Cl	Cl
3-CH <sub>2</sub> -CHCH <sub>2</sub> O-	0	Cl	Cl
3-ClCH <sub>2</sub> CH <sub>2</sub> O-	0	Cl	Cl
3-CH <sub>3</sub> SO <sub>2</sub> -O-	0	Cl	Cl
2-CH <sub>3</sub> O-	0	Cl	Cl
2-n-C <sub>7</sub> H <sub>15</sub> O-	0	Cl	Cl

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Representative Heterocyclic Nitrogen - Containing Compound





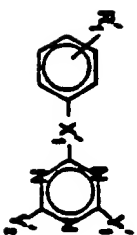
<u>R<sup>1</sup></u>	<u>X<sup>1</sup></u>	<u>Y<sup>1</sup></u>	<u>Y<sup>2</sup></u>
2-(  )O-	0	Cl	Cl
2-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> O-	0	Cl	Cl
2-(  )CH <sub>2</sub> O)-	0	Cl	Cl
2-o-C <sub>4</sub> H <sub>9</sub> O-4-Br	0	Cl	Cl
2-1-C <sub>3</sub> H <sub>7</sub> O-3-Cl	0	Cl	Cl
2-C <sub>6</sub> H <sub>5</sub> SO <sub>2</sub> -O-	0	Cl	Cl
2-CF <sub>3</sub> CO <sub>2</sub> -	0	Cl	Cl
2-o-C <sub>4</sub> H <sub>9</sub> CO-	0	Cl	Cl
2-C <sub>2</sub> H <sub>5</sub> O-C-O-	0	Cl	Cl
0			
2-BrCH <sub>2</sub> CH <sub>2</sub> -	0	Cl	Cl
2-CH <sub>2</sub> -C(CH <sub>3</sub> )CH <sub>2</sub> -	0	Cl	Cl

TABLE 1 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



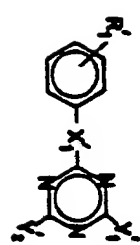
R <sub>1</sub>	X <sub>1</sub>	Y <sub>1</sub>	Y <sub>2</sub>
4-HO	0	Cl	Cl
3-HO	0	Cl	Cl
2-HO	0	Cl	Cl
4-(1-C <sub>3</sub> H <sub>7</sub> )-	0	Cl	Cl
3-C <sub>2</sub> H <sub>5</sub> -	0	Cl	Cl
3-(CH <sub>3</sub> ) <sub>2</sub> C-	0	Cl	Cl
2-n-C <sub>4</sub> H <sub>9</sub> -	0	Cl	Cl
2-sec-C <sub>4</sub> H <sub>9</sub> -	0	Cl	Cl
2-Cl-4-n-C <sub>3</sub> H <sub>7</sub>	0	Cl	Cl
2-C <sub>2</sub> H <sub>5</sub> -4-Br	0	Cl	Cl



3,4-(CH=CH-CH=CH)-

TABLE 1 (Cont.)

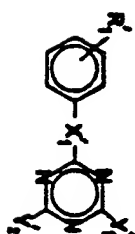
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_1$	$X'_1$	$V'_1$	$V'_2$
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl

TABLE 1 (Cont.)

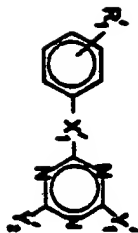
Representative Heterocyclic Nitrogen - Containing Compounds



$R'1$	$X'1$	$Y'1$	$Y'2$
	0	Cl	Cl
4-n-C <sub>4</sub> H <sub>9</sub> S-	0	Cl	Cl
2-1-C <sub>3</sub> H <sub>7</sub> S-	0	Cl	Cl
3-n-C <sub>7</sub> H <sub>15</sub> S-	0	Cl	Cl
4-HC≡CCH <sub>2</sub> O-	0	Cl	Cl
3-HC≡CCH <sub>2</sub> O-	0	Cl	Cl
2-HC≡CCH <sub>2</sub> O-	0	Cl	Cl
4-(C <sub>2</sub> H <sub>5</sub> SCCH <sub>2</sub> O)-	0	Cl	Cl
3-(C <sub>2</sub> H <sub>5</sub> SCCH <sub>2</sub> O)-	0	Cl	Cl
2-(C <sub>2</sub> H <sub>5</sub> SCCH <sub>2</sub> O)-	0	Cl	Cl
4-(C <sub>2</sub> H <sub>5</sub> O-C-CH <sub>2</sub> O) 0	0	Cl	Cl

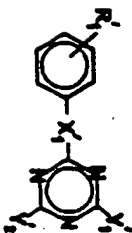
TABLE 1 (cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
$3-(\text{C}_6\text{H}_4-\text{O}-\overset{\text{O}}{\parallel}{\text{C}}-\text{CH}_2\text{O})-$	0	Cl	Cl
2-((CH <sub>3</sub> ) <sub>3</sub> C-O- $\overset{\text{O}}{\parallel}{\text{C}}$ -CH <sub>2</sub> O-)	0	Cl	Cl
3,5-(CH <sub>3</sub> O) <sub>2</sub>	0	Cl	Cl
3,5-(C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> O) <sub>2</sub>	0	Cl	Cl
3,5-(CH <sub>3</sub> CO <sub>2</sub> ) <sub>2</sub>	0	Cl	Cl
2,6-(C <sub>2</sub> H <sub>5</sub> O) <sub>2</sub>	0	Cl	Cl
2-C <sub>6</sub> H <sub>5</sub> -	0	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> -	0	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> -O	0	Cl	Cl
2-Cl-4-Br	0	Cl	Cl
2-Cl-4-F	0	Cl	Cl
2,4-F <sub>2</sub>	0	Cl	Cl

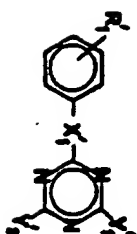
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds


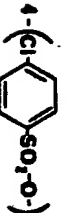



R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
2-F-4-Cl-	O	Cl	Cl
2-NO <sub>2</sub> -3-C <sub>2</sub> H <sub>5</sub>	NH	Cl	Cl
2,4-(NO <sub>2</sub> ) <sub>2</sub>	NH	Cl	Cl
2,5-(CH <sub>3</sub> C) <sub>2</sub>	NH	Cl	Cl
0			
2,3,4-Cl <sub>3</sub>	NH	Cl	F
2-CH <sub>3</sub> O-CH <sub>2</sub> -	NH	F	F
2,4-(C <sub>2</sub> H <sub>5</sub> C) <sub>2</sub>	NH	Cl	Cl
0			
4-C <sub>6</sub> H <sub>5</sub> SO <sub>2</sub> -2,3-(CH <sub>3</sub> ) <sub>2</sub>	NH	Cl	Cl
3-(4-Cl-C <sub>6</sub> H <sub>4</sub> O)	NH	F	F
2,3-(CH <sub>3</sub> SO <sub>2</sub> ) <sub>2</sub>	NH	Cl	Cl
4-(2-Cl-4-BrC <sub>6</sub> H <sub>4</sub> )	NH	Cl	Cl
2-Cl-4-n-C <sub>4</sub> H <sub>9</sub> O-	O	Cl	Cl

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TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



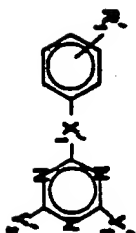
R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
2-F-4-(  )-	0	Cl	Cl
3-Cl-4-CH <sub>3</sub> O-	0	Cl	Cl
4-(  )SO <sub>2</sub> O-	0	Cl	Cl
4-(Cl-C <sub>6</sub> H <sub>4</sub> -SO <sub>2</sub> -O-)	0	Cl	Cl
4-(n-C <sub>4</sub> H <sub>9</sub> O-C-O-)	0	Cl	Cl
4-(  )SO-	0	Cl	Cl
4-[(CH <sub>3</sub> ) <sub>3</sub> C-C-]	0	Cl	Cl

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TABLE 1 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compound




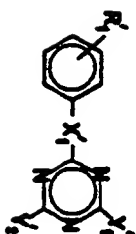
R'1	X'1	Y'1	Y'2
3-CH3CO-	0	Cl	Cl
4-Cl-3-(  )	0	Cl	Cl
2-Br-3-n-C4H9O-	0	Cl	Cl
3-n-C3H7C(=O)- 0	0	Cl	Cl
3-(CH3O-C(=O)-) 0	0	Cl	Cl
2-CH3O-4-(CH3)3C-	0	Cl	Cl
4-C6H5CH-CHCO-	0	Cl	Cl
2,6-Cl2-4-NO2	0	Cl	Cl
4-CONH2	0	Cl	Cl
3-C6H5NHCO-	0	F	F
4-CONHNH2	0	Cl	Cl
4-CH=C(CN)2	0	Cl	Cl

TABLE I (Cont.)

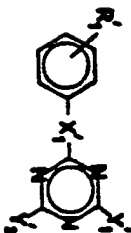
Representative Heterocyclic Nitrogen - Containing Compounds





R <sub>1</sub>	X <sub>1</sub>	Y <sub>1</sub>	Y <sub>2</sub>
2-CH <sub>3</sub> O-4-CH <sub>3</sub> C(NO <sub>2</sub> )-CH-	0	Cl	Cl
4-CH <sub>3</sub> SO <sub>2</sub>	0	Cl	Cl
2-CH <sub>3</sub> -4-Cl-	0	Cl	Cl
2-CH <sub>2</sub> Cl-4-NO <sub>2</sub>	0	Cl	Cl
2,4-Cl <sub>2</sub> -3,5-(CH <sub>3</sub> ) <sub>2</sub> -	0	Cl	Cl
2-ClH <sub>9</sub> -4-NO <sub>2</sub>	0	Cl	Cl
4-NO <sub>2</sub>	S	Cl	Cl
4-(CH <sub>3</sub> ) <sub>3</sub> C-	S	Cl	Cl
2,4-Cl <sub>2</sub> -5-CH <sub>3</sub>	S	Cl	Cl
2,3-(CH=CH-CH=CH)-	S	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> O-	S	Cl	Cl
2,4-Cl <sub>2</sub>	S	F	F
2,4-Cl <sub>2</sub>	S	Br	Br
3,5-Cl <sub>2</sub>	S	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	S	F	I
2,4-Cl <sub>2</sub>	0	F	F
2,4-Cl <sub>2</sub>	0	Br	Br

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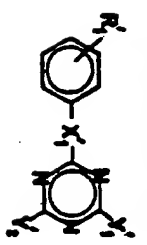
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds




R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
3,5-Cl <sub>2</sub>	0	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	0	F	I
3-(4-Cl-C <sub>6</sub> H <sub>4</sub> CO-)	S	Cl	Cl
2-NO <sub>2</sub>	S	Cl	Cl
3-(C <sub>6</sub> H <sub>4</sub> -  O-)	S	Cl	Cl
3-(4-Cl-C <sub>6</sub> H <sub>4</sub> CO-)	0	Cl	Cl
2-NO <sub>2</sub>	0	Cl	Cl
3-(C <sub>6</sub> H <sub>4</sub> -  O-)	0	Cl	Cl
3-C <sub>5</sub> H <sub>11</sub> O-	S	Cl	Cl
2,3,5-(C <sub>2</sub> H <sub>5</sub> ) <sub>3</sub> -	S	Cl	Cl

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TABLE 1 (Cont.)  
Representative Heterocyclic Nitroben - Containing Compounds

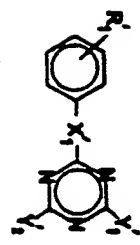


R <sup>1</sup>	X <sup>1</sup>	Y <sup>1</sup>	Y <sup>2</sup>
4-(H <sub>2</sub> N-COCH <sub>2</sub> -)	0	Cl	Cl
4-CO <sub>2</sub> (CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	0	Cl	Cl
3-(  )	0	Cl	Cl
4-(H <sub>2</sub> NC-NH-) 5	0	Cl	Cl
2,4-Cl <sub>2</sub> -5-CH <sub>3</sub>	0	Cl	Cl
2-Cl-4-NO <sub>2</sub>	0	Cl	Cl
2-CH <sub>2</sub> OH-4-Cl	NH	Cl	Cl
2-CH <sub>2</sub> OCH <sub>3</sub>	NH	Cl	Cl
2-CH <sub>3</sub> CO-4-Cl	NH	Cl	Cl
2-C <sub>6</sub> H <sub>5</sub>	0	Cl	Cl

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TABLE 1 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds

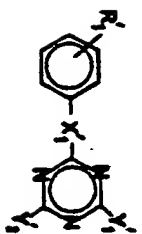


$R^1$	$X^1$	$Y^1$	$Y^2$
$4-[CH_2CO_2-C_6H_4-C(CH_3)_2]$	0	Cl	Cl
$4-[CH_2O-C_6H_4-C(CH_3)_2]$	0	Cl	Cl
$4-[C_6H_4O-C(CH_3)_2-C_6H_4-C(CH_3)_2]$ -2,6-Cl <sub>2</sub>	0	Cl	Cl
$4-[C_6H_4O-S-]$	0	Cl	Cl
$4-[C_6H_4O-S-]$	S	Cl	Cl

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TABLE 1 (Cont.)

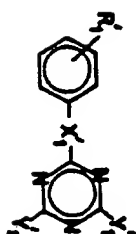
Representative Heterocyclic Nitrogen - Containing Compounds



$R_1$	$X_1$	$Y_1$	$Y_2$
$4-[CH_2SO_2O-C_6H_4-S]-$	0	Cl	Cl
$4-[CH_2CO_2-C_6H_4-S]-$	0	Cl	Cl
$4-[Cl-C_6H_4-S]-$	0	Cl	Cl
$4-[HC\equiv CCH_2O-C_6H_4-C(CH_3)_2]-$	0	Cl	Cl
$4-[CH_2O-C_6H_4-S-C(=O)]-$	0	Cl	Cl

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TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$R'1$	$R'1$	$Y'1$	$Y'2$
$\left[ -C_2H_4CO_2-C_6H_4-S(=O)_2- \right]$	0	Cl	Cl
$\left[ -Cl-C_6H_4-S(=O)_2- \right]$	0	Cl	Cl
$\left[ -Cl-C_6H_4-SO_2- \right]$	0	Cl	Cl
$2-(\text{cyclopentadienyl})$	NH	Cl	Cl
$2-(\text{indol-3-yl})$	0	Cl	Cl

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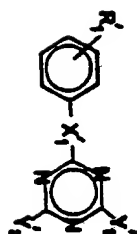


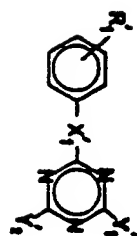
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

$R^1$	$X^1$	$Y^1$	$Y^2$
$4-\left[ \text{Cl}-\text{C}_6\text{H}_4-\text{NH}-\text{C}(=\text{O})-\text{CH}(\text{CH}_3)-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{NH}- \right]$	0	f	f
$4-\left[ \text{CH}_3\text{O}-\text{CH}(\text{CH}_3)-\text{OCH}_2-\text{CH}_2-\text{O}- \right]$	NH	f	f
$4-\left[ \text{C}_6\text{H}_4-\text{C}(=\text{O})-\text{NH}-\text{CH}(\text{CH}_3)-\text{CH}_2-\text{NH}- \right]$	0	f	f
$4-\left[ \text{Cl}-\text{C}_6\text{H}_4-\text{O}-\text{C}_6\text{H}_4-\text{O}- \right]$	N(CH <sub>3</sub> )	f	f
$4-\left[ \text{Cl}-\text{C}_6\text{H}_4-\text{S}(=\text{O})_2-\text{C}_6\text{H}_4-\text{S}(=\text{O})_2- \right]$	0	Br	Br
$3-\left[ \text{C}_6\text{H}_4-\text{C}_6\text{H}_4- \right]$	S	I	f

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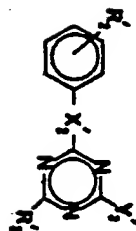
TABLE 1 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$R_1$	$X_1$	$R_2$	$R_3$
$2-CH_3O-4-CO_2H$	O	Cl	Cl
$2,3,4,5,6-F_5$	O	Cl	Cl
$3-CF_3$	O	Cl	Cl
$2,4,5-Cl_3$	O	Cl	Cl
$3-C_6H_5$	O	Cl	Cl

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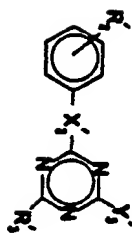
TABLE 2  
Representative Heterocyclic Nitrogen - Containing Compounds



<u>R'2</u>	<u>X'2</u>	<u>Y'3</u>	<u>R'3</u>
2,4-Cl2	0	Cl	
4-Cl	0	F	
3-(CH3)2N-	0	Cl	
2,4-F2	0	Cl	
2,4-Cl2	0	Cl	CH3
2,4-Cl2	0	Br	CH3
2,3-(CH=CH-CH=CH)-	0	Cl	CH3
2,4-Cl2	0	Cl	CH3O

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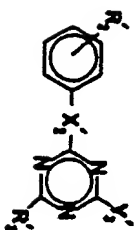
TABLE 2 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sub>1</sub> ' <sub>2</sub>	X <sub>1</sub> ' <sub>2</sub>	Y <sub>1</sub> ' <sub>3</sub>	R <sub>1</sub> ' <sub>3</sub>
2,4-Cl <sub>2</sub>	0	Cl	P(=O)(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
H	0	Cl	
2,4-Cl <sub>2</sub> -6-CO <sub>2</sub> H	0	Cl	
2,4-Cl <sub>2</sub>	0	Cl	OC <sub>2</sub> H <sub>2</sub> CF <sub>3</sub>
2,4-Cl <sub>2</sub>	0	Cl	CN
2,4-Cl <sub>2</sub>	0	Cl	SCH <sub>3</sub>
H	0	Cl	CCl <sub>3</sub>
2,4-Cl <sub>2</sub>	0	Cl	CH <sub>3</sub> CO-
2,4-Cl <sub>2</sub>	0	Cl	CH <sub>3</sub> COCH <sub>2</sub> -
2,4-Cl <sub>2</sub>	0	Cl	CF <sub>3</sub>
2,4-Cl <sub>2</sub>	0	Cl	CH <sub>3</sub> SO <sub>2</sub>
2,4-Cl <sub>2</sub>	0	Cl	-SC≡N
2,4-Cl <sub>2</sub>	0	Cl	H

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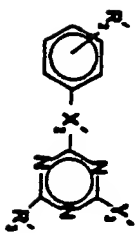
TABLE 2 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sub>2</sub>	X <sub>1</sub>	Y <sub>3</sub>	R <sub>3</sub>
3-NO <sub>2</sub>	0	Cl	H
2,4-Cl <sub>2</sub>	0	Cl	-C≡CH
2,4-Cl <sub>2</sub>	0	Cl	-CH=CH <sub>2</sub>
3-NO <sub>2</sub>	0	Cl	-C≡CH
2,4-Cl <sub>2</sub>	0	F	-C≡CH
2,4-Cl <sub>2</sub>	0	Cl	CH=CH <sub>2</sub>
2,4-Cl <sub>2</sub>	0	Cl	CONH <sub>2</sub>
2,4-Cl <sub>2</sub>	0	Cl	-C≡CH <sub>2</sub> Cl
			S
2,4-Cl <sub>2</sub>	0	Cl	CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>
2,4-Cl <sub>2</sub>	0	F	-M(CH <sub>3</sub> ) <sub>2</sub>
2,4-Cl <sub>2</sub>	0	Cl	-P(=O)(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
2,4-Cl <sub>2</sub>	0	Cl	-OC≡N
2-CH <sub>3</sub> -4(2-Br-4-Cl-C <sub>6</sub> H <sub>3</sub> O)	NH	Cl	Cl
2-Cl	NH	Cl	CN
2,4-Cl <sub>2</sub>	0	Cl	-P(=O)(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>

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TABLE 2 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



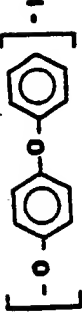


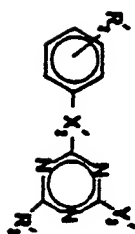
R <sub>1</sub> , 2	X <sub>1</sub> , 2	Y <sub>1</sub> , 3	R <sub>1</sub> , 3
2,4-Cl <sub>2</sub>	NH	Cl	CN
2,4-Cl <sub>2</sub>	O	F	CH <sub>3</sub>
3-C <sub>6</sub> H <sub>5</sub> O-	O	F	C <sub>6</sub> H <sub>5</sub>
3-NO <sub>2</sub>	NH	F	CH <sub>3</sub>
4-[  ]	O	Cl	OCH <sub>2</sub> CF <sub>3</sub>
4-[  ]	O	Cl	CCl <sub>3</sub>
3-(n-C <sub>8</sub> H <sub>17</sub> )-	O	Cl	CN
3-[  ]	O	Cl	SO <sub>2</sub> CH <sub>3</sub>

TABLE 2 (cont.)

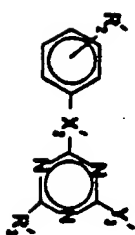
Representative Heterocyclic Nitrogen - Containing Compounds



$R_1, R_2$	$X_1, X_2$	$Y_1, Y_2$	$R_3, R_4$
$4-(n-C_7H_{15}O)-$	0	Cl	$OSO_2CH_3$
$4-[C_6H_4O-C(=O)-O-C_6H_4-S(=O)_2-]$	0	Cl	$OCF_3$
$4-[CH_3O-C_6H_3(N)-O-C_6H_4-C(CH_3)_2-]$	0	Cl	$OC_2H_5$
$3-[C_6H_4-CH_2-C(CH_3)_2-C_6H_3(Cl)_2-]$	0	Cl	$SC_3H_7$
$3-[C_6H_4-C(=O)-C(CH_3)_2-C_6H_4-]$	S	F	$OCCH_3$

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TABLE 2 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

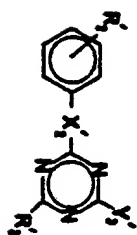


$R'_2$	$X'_2$	$Y'_3$	$R'_3$
$3-[-\text{C}_6\text{H}_4\text{S}-\text{C}(=\text{O})-\text{S}-]$	NH	$\text{OSO}_2\text{CH}_3$	$\text{CF}_3$
$3-[-\text{HC}(=\text{O})\text{CH}_2\text{O}-\text{C}_6\text{H}_4-\text{S}-]$	NH	F	$\text{SC}_2\text{H}_5$
$4-[-\text{C}_6\text{H}_4\text{NH}-\text{C}_6\text{H}_4-\text{NH}-]$	$\text{CCl}_2$	Cl	$\text{SCH}_3$
$4-[-\text{Cl}-\text{C}_6\text{H}_3(\text{Cl})-\text{CH}_2\text{O}-\text{C}_6\text{H}_4-\text{S}-]$	S	F	$\text{CH}_2\text{CHF}_2$
$3-[-\text{C}_6\text{H}_4\text{O}-\text{C}_6\text{H}_4-]$	S	Cl	$\text{N}(\text{CH}_3)_3$
$4-[-\text{CH}_2=\text{CHCH}_2\text{O}-\text{C}_6\text{H}_4-\text{NH}-]$	NH	F	$\text{OCF}_3$
$4-[-\text{Cl}-\text{C}_6\text{H}_4-\text{CH}_2\text{O}-\text{C}_6\text{H}_4-\text{S}-]$	O	$\text{OSO}_2\text{CF}_3$	$\text{OSO}_2\text{CF}_3$

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TABLE 2 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compound

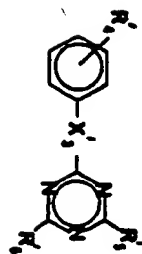


	$R'_2$	$X'_2$	$Y'_3$	$R'_3$
$4-[ \text{Cyclopentane ring} - \text{O} - \text{C}(=\text{O}) - \text{CH}_2 - \text{O} - \text{C}_6\text{H}_4 - \text{CH}_2 - \text{O} - ]$	$\text{CH}_2$	$\text{Cl}$	$\text{CF}_3$	
$4-[ \text{C}_6\text{H}_3(\text{Cl}) - (\text{CH}_2)_4 - \text{O} - ]$	S	$\text{OSO}_2\text{CH}_3$	$\text{N}(\text{C}_2\text{H}_5)_3^+$	
$4-( \text{naphthalene-1-yl} - \text{C}_6\text{H}_4 - )$	O	$\text{Cl}$	$\text{SO}_2\text{CH}_3$	
$4-[ \text{C}_6\text{H}_3(\text{Cl}) - \text{O} - \text{C}_6\text{H}_4 - ] - 2 - \text{CH}_3$	S	F	$\text{OCH}_3$	
$4-[ \text{C}_6\text{H}_4 - \text{O} - \text{C}_6\text{H}_3(\text{Cl}) - ]$	O	$\text{Cl}$	$\text{CH}_3$	
$4-[ \text{CH}_2\text{O} - \text{C}_6\text{H}_4 - \text{C}(\text{CH}_3)_2 - ]$	O	$\text{Cl}$	$\text{OCH}_2\text{CF}_3$	
$2,3-(\text{CH}=\text{CH}-\text{CH})$	O	$\text{Cl}$	$\text{C}_2\text{H}_5\text{O}$	
$2,4-\text{Cl}_2$	O	$\text{Cl}$		

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TABLE 3  
Representative Heterocyclic Nitrogen - Containing Compounds

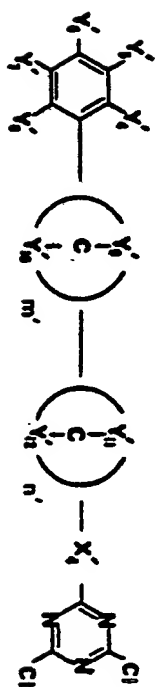


R'4	R'3	R'5	R'6
2,4-Cl <sub>2</sub>	0	CN	CH <sub>3</sub>
2,4-Cl <sub>2</sub>	0	CN	H
2,4-Cl <sub>2</sub>	0	NO <sub>2</sub>	H
2,4-Cl <sub>2</sub>	0	COCH <sub>2</sub> Cl	H
2,4-Cl <sub>2</sub>	0	CN	CN
4-C <sub>6</sub> H <sub>5</sub> O	0	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
4-Cl	0	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
4-Br	S	OCF <sub>3</sub>	OCF <sub>3</sub>
2,3-(CH=CH-CH=CH)-	S	CCl <sub>3</sub>	CCl <sub>3</sub>
3,4-(CH <sub>2</sub> ) <sub>4</sub>	0	CF <sub>3</sub>	CF <sub>3</sub>
3,5-Cl <sub>2</sub>	0	OSO <sub>2</sub> CH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
3-NO <sub>2</sub>	0	OSO <sub>2</sub> CF <sub>3</sub>	OSO <sub>2</sub> CF <sub>3</sub>
3-C <sub>6</sub> H <sub>5</sub> CO	NH	OSO <sub>2</sub> CF <sub>3</sub>	OSO <sub>2</sub> CF <sub>3</sub>
3,4-(CH=CH-CH=CH)-	0	OSO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>
4-CH <sub>3</sub> S	0	CN	OSO <sub>2</sub> CH <sub>3</sub>
4-C <sub>6</sub> H <sub>5</sub>	NH	OCOCH <sub>3</sub>	OCOCH <sub>3</sub>
3,4-Cl <sub>2</sub>	0	SO <sub>2</sub> CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>

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TABLE 4

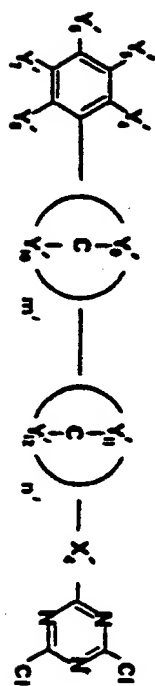
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4	Y'5	Y'6	Y'7	Y'8	m'	n'	Y'9	Y'10	Y'11	Y'12	X'4
H	H	H	H	H	0	1	-	-	H	H	0
Cl	H	Cl	H	H	0	1	-	-	H	H	0
Cl	H	H	H	H	0	1	-	-	H	H	0
H	Cl	H	H	H	0	1	-	-	H	H	0
H	H	Cl	H	H	0	1	-	-	H	H	0
H	Br	H	H	H	0	1	-	-	H	H	0
H	H	Br	H	H	0	1	-	-	H	H	0
F	H	H	H	H	0	1	-	-	H	H	0
H	F	H	H	H	0	1	-	-	H	H	0
H	H	F	H	H	0	1	-	-	H	H	0
H	H	I	H	H	0	1	-	-	H	H	0
H	Cl	Cl	H	H	0	1	-	-	H	H	0
H	Cl	H	Cl	H	0	1	-	-	H	H	0
Cl	H	H	H	Cl	0	1	-	-	H	H	0
F	H	Cl	H	H	0	1	-	-	H	H	0
H	H	CH3	H	H	0	1	-	-	H	H	0
H	CH3	H	H	H	0	1	-	-	H	H	0

TABLE 4 (Cont.)

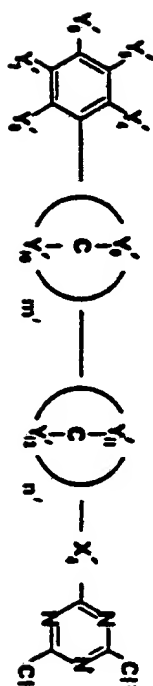
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4	Y'5	Y'6	Y'7	Y'8	m'	n'	Y'9	Y'10	Y'11	Y'12	X'4
CH <sub>3</sub>	H	H	H	H	0	1	-	-	H	H	0
H	H	isopropyl	H	H	0	1	-	-	H	H	0
H	H	t-butyl	H	H	0	1	-	-	H	H	0
OCH <sub>3</sub>	H	H	H	H	0	1	-	-	H	H	0
H	OCH <sub>3</sub>	H	H	H	0	1	-	-	H	H	0
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	n-butoxy	H	H	0	1	-	-	H	H	0
H	CF <sub>3</sub>	H	H	H	0	1	-	-	H	H	0
H	H	CF <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	C <sub>2</sub> F <sub>5</sub>	H	H	0	1	-	-	H	H	0
H	OCH <sub>3</sub>	H	H	H	0	1	-	-	H	H	0
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	OCF <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	OCF <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	OCF <sub>2</sub> H	H	H	0	1	-	-	H	H	0
H	OCF <sub>2</sub> H	H	H	H	0	1	-	-	H	H	0
H	NO <sub>2</sub>	H	H	H	0	1	-	-	H	H	0
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	H	0
H	CN	H	H	H	0	1	-	-	H	H	0

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TABLE 4 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

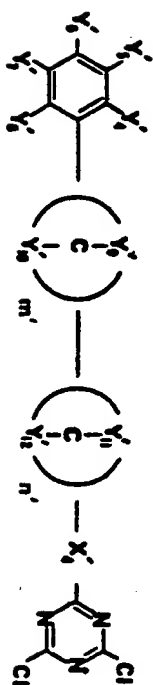


<u>Y'4</u>	<u>Y'5</u>	<u>Y'6</u>	<u>Y'7</u>	<u>Y'8</u>	<u>m'</u>	<u>n'</u>	<u>Y'9</u>	<u>Y'10</u>	<u>Y'11</u>	<u>Y'12</u>	<u>X'4</u>
H	H	CH	H	H	0	1	-	-	H	H	0
H	SO <sub>2</sub> CH <sub>3</sub>	H	H	H	0	1	-	-	H	H	0
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	0
H	H	CO <sub>2</sub> CH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	0
H	SCH <sub>3</sub>	H	H	H	0	1	-	-	H	H	0
H	H	SCH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	C <sub>6</sub> H <sub>5</sub> SO <sub>2</sub>	H	H	0	1	-	-	H	H	0
H	H	OC(=O)NCH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	OC(=O)CH <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	OC(=O)H <sub>5</sub>	H	H	0	1	-	-	H	H	0
H	H	OC(=O)CF <sub>3</sub>	H	H	0	1	-	-	H	H	0
H	H	CON(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	0
Cl	H	Cl	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	H	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	Cl	H	H	0	1	-	-	H	CH <sub>3</sub>	0

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TABLE 4 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds

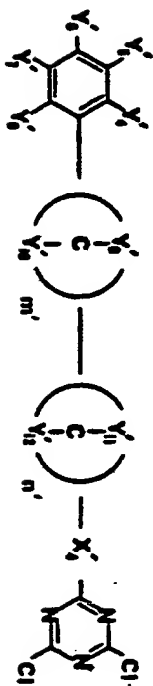


Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	m'	n'	Y'9-	Y'10-	Y'11-	Y'12-	X'4-
H	H	CN	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	CF <sub>3</sub>	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	OCF <sub>2</sub> H	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	OCF <sub>2</sub> Cl	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	CH <sub>3</sub>	0
H	H	H	H	H	0	1	-	-	CH <sub>3</sub>	CH <sub>3</sub>	0
Cl	H	Cl	H	H	0	1	-	-	CH <sub>3</sub>	CH <sub>3</sub>	0
Cl	H	Cl	H	H	0	1	-	-	H	sec-butyl	0
H	H	H	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	Cl	H	H	0	1	-	-	H	CF <sub>3</sub>	0
Cl	H	Cl	H	H	0	1	-	-	H	CF <sub>3</sub>	0
Cl	H	F	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	NO <sub>2</sub>	H	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	CF <sub>3</sub>	0

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TABLE 4 (Cont.)

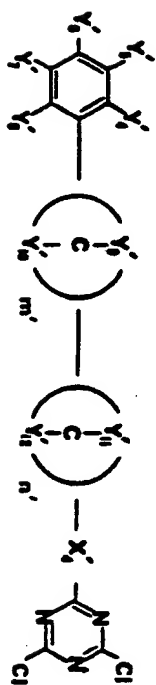
Representative Heterocyclic Nitrogen - Containing Compounds



<u>Y'4-</u>	<u>Y'5-</u>	<u>Y'6-</u>	<u>Y'7-</u>	<u>Y'8-</u>	<u>m'</u>	<u>n'</u>	<u>Y'9-</u>	<u>Y'10-</u>	<u>Y'11-</u>	<u>Y'12-</u>	<u>X'4-</u>
H	CN	H	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	CN	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	0	1	-	-	H	CF <sub>3</sub>	0
H	H	H	H	H	0	1	-	-	H	C <sub>2</sub> F <sub>5</sub>	0
Cl	H	Cl	H	H	0	1	-	-	H	C <sub>2</sub> F <sub>5</sub>	0
H	H	H	H	H	0	1	-	-	H	CH <sub>2</sub> CF <sub>3</sub>	0
Cl	H	Cl	H	H	0	1	-	-	H	CH <sub>2</sub> CF <sub>3</sub>	0
H	H	H	H	H	0	1	-	-	H	CN	0
Cl	H	Cl	H	H	0	1	-	-	H	CN	0
Cl	H	H	H	H	0	1	-	-	H	CN	0
H	Cl	H	H	H	0	1	-	-	H	CN	0
H	H	Cl	H	H	0	1	-	-	H	CN	0
H	H	H	H	H	0	1	-	-	H	CN	0
H	Br	H	H	H	0	1	-	-	H	CN	0
H	H	Br	H	H	0	1	-	-	H	CN	0
H	Cl	Cl	H	H	0	1	-	-	H	CN	0
F	H	H	H	H	0	1	-	-	H	CN	0

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TABLE 4 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

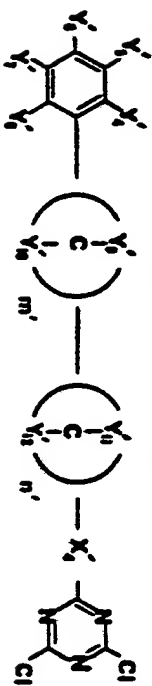


Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	M'	N'	Y'9-	Y'10-	Y'11-	Y'12-	X'4-
H	F	H	H	H	0	1	-	-	H	CN	0
H	H	F	H	H	0	1	-	-	H	CN	0
H	CH <sub>3</sub>	H	H	H	0	1	-	-	H	CN	0
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	H	Isopropyl	H	H	0	1	-	-	H	CN	0
H	H	t-butyl	H	H	0	1	-	-	H	CN	0
H	OCH <sub>3</sub>	H	H	H	0	1	-	-	H	CN	0
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	H	CF <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	H	OCF <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	H	OCF <sub>2</sub> H	H	H	0	1	-	-	H	CN	0
H	H	OCF <sub>2</sub> Cl	H	H	0	1	-	-	H	CN	0
H	H	SCN <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	H	O	H	H	0	1	-	-	H	CN	0
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	0	1	-	-	H	CN	0
H	NO <sub>2</sub>	H	H	H	0	1	-	-	H	CN	0
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	CN	0

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TABLE 4 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds

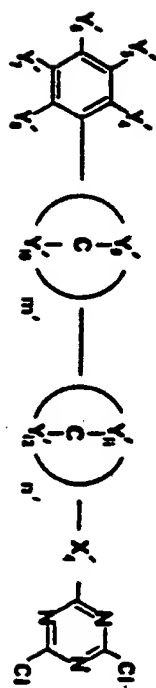


<u>Y'1-</u>	<u>Y'5-</u>	<u>Y'6-</u>	<u>Y'7-</u>	<u>Y'8-</u>	<u>m'</u>	<u>n'</u>	<u>Y'9-</u>	<u>Y'10-</u>	<u>Y'11-</u>	<u>Y'12-</u>	<u>X'4-</u>
H	CN	H	H	H	0	1	-	-	H	CN	0
H	H	CN	H	H	0	1	-	-	H	CN	0
H	H	H	H	H	0	1	-	-	CH <sub>3</sub>	CN	0
Cl	H	Cl	H	H	0	1	-	-	CH <sub>3</sub>	CN	0
H	H	H	H	H	0	1	-	-	C <sub>6</sub> H <sub>5</sub>	CN	0
Cl	H	Cl	H	H	0	1	-	-	C <sub>6</sub> H <sub>5</sub>	CN	0
H	H	H	H	H	1	1	H	H	H	CN	0
Cl	H	Cl	H	H	1	1	H	H	H	CN	0
H	H	H	H	H	1	1	H	H	H	H	0
Cl	H	Cl	H	H	1	1	H	H	H	H	0
H	H	NO <sub>2</sub>	H	H	1	1	H	H	H	H	0
H	H	CH <sub>3</sub>	H	H	1	1	H	H	H	H	0
H	H	OCH <sub>3</sub>	H	H	1	1	H	H	H	H	0
H	H	CF <sub>3</sub>	H	H	1	1	H	H	H	H	0
Cl	H	Cl	H	H	1	1	H	CH <sub>3</sub>	H	CH <sub>3</sub>	0
Cl	H	Cl	H	H	1	1	H	H	H	H	0
Cl	H	Cl	H	H	3	1	H	H	H	H	0

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TABLE 4 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

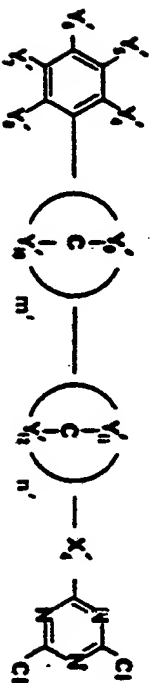


<u>Y<sub>14</sub></u>	<u>Y<sub>15</sub></u>	<u>Y<sub>16</sub></u>	<u>Y<sub>17</sub></u>	<u>Y<sub>18</sub></u>	<u>m'</u>	<u>n'</u>	<u>Y<sub>19</sub></u>	<u>Y<sub>10</sub></u>	<u>Y<sub>11</sub></u>	<u>Y<sub>12</sub></u>	<u>X<sub>1</sub></u>
Cl	H	Cl	H	H	S	1	H	H	H	H	0
H	H	H	H	H	0	1	-	-	H	H	S
Cl	H	Cl	H	H	0	1	-	-	H	H	S
H	H	Cl	H	H	0	1	-	-	H	H	S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	H	S
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	H	S
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	H	S
H	H	CN	H	H	0	1	-	-	H	H	S
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	S
H	H	CF <sub>3</sub>	H	H	0	1	-	-	H	H	S
H	H	OCF <sub>2</sub> H	H	H	0	1	-	-	H	H	S
H	H	OCF <sub>2</sub> Cl	H	H	0	1	-	-	H	H	S
H	H	H	H	H	1	1	H	H	H	H	S
Cl	H	Cl	H	H	1	1	H	H	H	H	S
H	H	H	H	H	0	1	H	H	H	CH <sub>3</sub>	S
Cl	H	Cl	H	H	0	1	H	H	H	CH <sub>3</sub>	S
H	H	H	H	H	0	1	H	H	H	H	S

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TABLE 4 (Cont.)

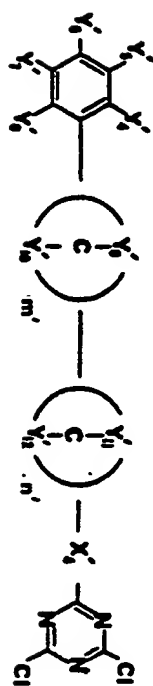
Representative Heterocyclic Nitrogen - Containing Compounds



<u>Y'4-</u>	<u>Y'5-</u>	<u>Y'6-</u>	<u>Y'7-</u>	<u>Y'8-</u>	<u>m'</u>	<u>n'</u>	<u>Y'9-</u>	<u>Y'10-</u>	<u>Y'11-</u>	<u>Y'12-</u>	<u>A'4-</u>
Cl	H	Cl	H	H	0	1	-	-	H	H	0 S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	H	0 S
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	H	0 S
H	H	CF <sub>3</sub>	H	H	0	1	-	-	H	H	0 S
H	H	CN	H	H	0	1	-	-	H	H	0 S
H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	H	0 S
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	0 S
H	H	H	H	H	0	1	-	-	H	H	0 S
Cl	H	Cl	H	H	0	1	-	-	H	H	0 S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	H	H	0 S
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	H	H	0 S

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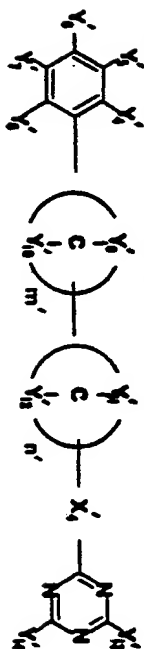
TABLE 4 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



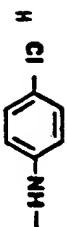
Y <sub>1</sub> -	Y <sub>2</sub> -	Y <sub>3</sub> -	Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	m'	n'	Y <sub>9</sub> -	Y <sub>10</sub> -	Y <sub>11</sub> -	Y <sub>12</sub> -	R <sub>1</sub> -
H	H	CF <sub>3</sub>	H	H	H	H	H	0	1	-	-	H	H	SO <sub>2</sub>
H	H	CN	H	H	CN	H	H	0	1	-	-	H	H	SO <sub>2</sub>
H	H	NO <sub>2</sub>	H	H	NO <sub>2</sub>	H	H	0	1	-	-	H	H	SO <sub>2</sub>
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	0	1	-	-	H	H	SO <sub>2</sub>
Cl	H	Cl	H	H	Cl	H	H	1	1	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	O
H	CF <sub>3</sub>	H	H	H	H	H	H	1	1	H	CH <sub>3</sub>	CH <sub>3</sub>	H	O
H	Br	H	H	H	H	Br	H	1	1	Cl	Cl	C <sub>2</sub> H <sub>5</sub>	H	O
H	H	H	H	H	H	H	H	0	1	-	-	H	H	Se
NO <sub>2</sub>	H	H	H	H	H	H	H	1	0	C <sub>2</sub> H <sub>5</sub>	H	-	-	Se

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TABLE 5  
Representative Heterocyclic Nitrogen - Containing Compounds

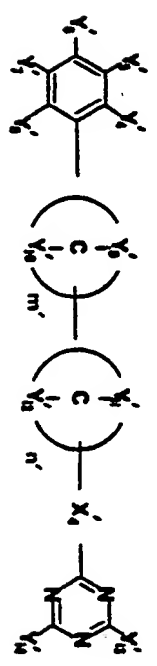


Y14-	Y15-	Y16-	Y17-	Y18-	m1	n1	Y19-	Y110-	Y111-	Y112-	K14-	Y113-	Y114-
C1	H	C1	H	H	0	0	-	-	-	-	SO2	C1	C1
H	CH3	CH3	CH3	H	0	0	-	-	-	-	SO2	C1	C1
-CH-CH-CH-CH-		C1	H	H	0	0	-	-	-	-	SO2	C1	C1
-(CH2)4-		H	H	H	0	0	-	-	-	-	SO2	C1	C1
H	H	C6H5CONH	H	H	0	0	-	-	-	-	SO2	C1	C1
H	-CH-CH-CH-CH-		H	H	0	0	-	-	-	-	SO2	C1	C1
C1	H	C1	H	H	0	0	-	-	-	-	SO2	I	I
H	4-ClC6H4O	H	H	H	0	0	-	-	-	-	SO2	I	I
H	4-ClC6H4O	H	H	CH3	0	0	-	-	-	-	SO2	C1	CH3O
H	H	C6H5	H	H	0	0	-	-	-	-	SO2	C1	CH3
H	C6H5O	C2H5	H	H	0	0	-	-	-	-	SO2	C1	I
H	C1	C1	H	H	0	0	-	-	-	-	SO	C1	C1
-CH-CH-CH-CH-		CH3	H	H	0	0	-	-	-	-	SO	C1	C1
CH3	H	C6H5O	H	H	0	0	-	-	-	-	SO	C1	C1



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TABLE 5 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sub>14</sub> -	Y <sub>15</sub> -	Y <sub>16</sub> -	Y <sub>17</sub> -	Y <sub>18</sub> -	m <sup>1</sup>	n <sup>1</sup>	Y <sub>19</sub>	Y <sub>10</sub> -	Y <sub>11</sub> -	Y <sub>12</sub> -	X <sub>1</sub> -	Y <sub>13</sub> -	Y <sub>14</sub> -
H	H	H	H	H	0	0	-	-	-	-	-	Cl	Cl
Cl	H	Br	H	H	0	0	-	-	-	-	-	Cl	Cl
H	H	H	H	H	1	1	H	H	H	H	H	Cl	Cl
H	H	C <sub>6</sub> H <sub>5</sub> O	H	H	1	1	H	H	H	H	H	Cl	Cl
Cl	H	Cl	H	H	1	1	CH <sub>3</sub>	H	CH <sub>3</sub>	H	H	Cl	CH <sub>3</sub> O
H	-O-CH <sub>2</sub> -O-		H	H	1	1	CH <sub>3</sub>	CH <sub>3</sub>	H	H	H	Cl	SO <sub>2</sub>
H	C <sub>2</sub> H <sub>5</sub>	H	H	H	1	1	H	H	H	H	H	Cl	SO
H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	1	1	H	H	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	Cl	SO
H	H	H	H	H	1	1	H	H	H	H	H	Cl	SO
H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	1	1	H	H	H	H	H	I	SO
H	H	C <sub>6</sub> H <sub>5</sub>	H	H	1	1	H	H	H	H	H	Cl	SO
Cl	Br	Br	H	H	1	1	CH <sub>3</sub>	H	H	H	H	Cl	SO

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TABLE 6  
Representative heterocyclic nitrogen-containing compounds



Y <sub>14</sub> -	Y <sub>15</sub> -	Y <sub>16</sub> -	Y <sub>17</sub> -	Y <sub>18</sub> -	n <sub>1</sub> '	n <sub>1</sub> '	Y <sub>19</sub> -	Y <sub>10</sub> -	R <sub>15</sub> -	Y <sub>15</sub> -	R <sub>16</sub> -
H	H	H	H	H	0	0	-	-	-	0	S
H	H	Cl	H	H	0	0	-	-	-	0	S
Cl	H	Cl	H	H	0	0	-	-	-	0	S
H	H	CH <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	OCH <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	CF <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	NO <sub>2</sub>	H	H	0	0	-	-	-	0	S
H	H	CN	H	H	0	0	-	-	-	0	S
H	H	H	H	H	0	0	-	-	-	0	S
H	H	Cl	H	H	0	0	-	-	-	0	S
H	H	Cl	H	H	0	0	-	-	-	0	S
Cl	H	Cl	H	H	0	0	-	-	-	0	S
H	H	CH <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	OCH <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	CF <sub>3</sub>	H	H	0	0	-	-	-	0	S
H	H	NO <sub>2</sub>	H	H	0	0	-	-	-	0	S
H	H	CN	H	H	0	0	-	-	-	0	S
H	H	H	H	H	0	0	-	-	-	0	S
H	H	Cl	H	H	0	0	-	-	-	0	S

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$$\begin{array}{c}
 \text{Y}^1 \\
 | \\
 \text{C}_6\text{H}_2\text{Y}^2 \\
 | \\
 \text{C}_m\text{H}_m\text{Y}^3 \\
 | \\
 (\text{X}^4)_n \\
 | \\
 \text{C}=\text{Y}^5 \\
 | \\
 \text{X}^6 \\
 | \\
 \text{C}_4\text{H}_2\text{Cl}_2
 \end{array}$$

Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub>	N <sub>1</sub>	N <sub>1</sub> '	Y <sub>9</sub> -	Y <sub>10</sub> -	N <sub>15</sub> -	Y <sub>15</sub> -	N <sub>16</sub> -
C1	H	C1	H	H	0	1	-	-	0	S	S
H	OCH <sub>3</sub>	H	H	H	0	1	-	-	0	S	S
H	H	CF <sub>3</sub>	H	H	0	1	-	-	0	S	S
H	H	NO <sub>2</sub>	H	H	0	1	-	-	0	S	S
H	H	CM	H	H	0	1	-	-	0	S	S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	0	S	S
H	H	H	H	H	0	1	-	-	0	S	S
H	H	H	H	H	0	1	-	-	0	S	S
C1	H	C1	H	H	0	1	-	-	0	S	S
H	OCH <sub>3</sub>	H	H	H	0	1	-	-	0	S	S
H	H	CF <sub>3</sub>	H	H	0	1	-	-	0	S	S
H	NO <sub>2</sub>	H	H	H	0	1	-	-	0	S	S
H	NO <sub>2</sub>	H	H	H	0	1	-	-	0	S	S
H	NO <sub>2</sub>	H	H	H	0	1	-	-	0	S	S
H	CM	H	H	H	0	1	-	-	0	S	S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	0	S	S
H	H	H	H	H	1	1	H	H	0	S	S
H	H	C1	H	H	1	1	H	H	0	S	S
C1	H	C1	H	H	1	1	H	H	0	S	S

TABLE 6 (Cont.)  
Representative Heterocyclic Alkyls - Containing Compounds



Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	N <sub>1</sub> -	D <sub>1</sub> -	Y <sub>9</sub> -	Y <sub>10</sub> -	X <sub>5</sub> -	Y <sub>15</sub> -	R <sub>6</sub> -
H	H	CH <sub>3</sub>	H	H	1	1	H	H	0	S	S
H	H	OCH <sub>3</sub>	H	H	1	1	H	H	0	S	S
H	H	CF <sub>3</sub>	H	H	1	1	H	H	0	S	S
H	NO <sub>2</sub>	H	H	H	1	1	H	H	0	S	S
H	CN	H	H	H	1	1	H	H	0	S	S
H	H	H	H	H	0	1	-	-	-	S	S
H	H	Cl	H	H	0	1	-	-	-	S	S
Cl	H	Cl	H	H	0	1	-	-	-	S	S
H	H	CH <sub>3</sub>	H	H	0	1	-	-	-	S	S
H	H	OCH <sub>3</sub>	H	H	0	1	-	-	-	S	S
H	NO <sub>2</sub>	H	H	H	0	1	-	-	-	S	S
H	CN	H	H	H	0	1	-	-	-	S	S
H	H	H	H	H	0	1	-	-	-	S	S
H	H	C <sub>6</sub> H <sub>5</sub> O	H	H	0	1	-	-	-	S	S

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TABLE 7

Representative Heterocyclic Nitrogen - Containing Compounds



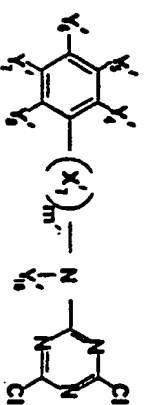
Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	M'	K' <sub>2</sub> -	Y' <sub>16</sub> -
H	H	H	H	H	1	CO	H
H	H	Cl	H	H	1	CO	H
Cl	H	Cl	H	H	1	CO	H
H	Cl	Cl	H	H	1	CO	H
H	Br	H	H	H	1	CO	H
H	H	Br	H	H	1	CO	H
H	F	F	H	H	1	CO	H
H	H	I	H	H	1	CO	H
F	H	Cl	H	H	1	CO	H
H	H	CH <sub>3</sub>	H	H	1	CO	H
H	CH <sub>3</sub>	H	H	H	1	CO	H
CH <sub>3</sub>	H	H	H	H	1	CO	H
H	H	isopropyl	H	H	1	CO	H
H	H	t-butyl	H	H	1	CO	H
OCH <sub>3</sub>	H	H	H	H	1	CO	H
H	OCH <sub>3</sub>	H	H	H	1	CO	H
H	H	OCH <sub>3</sub>	H	H	1	CO	H

## Representative Heterocyclic Nitrogen - Containing Compounds



$\gamma_{1,4}$	$\gamma_{1,5}$	$\gamma_{1,6}$	$\gamma_{1,7}$	$\gamma_{1,8}$	$\mu_1$	$\delta_{1,7}$	$\gamma_{1,6}$
H	CF <sub>3</sub>	H	H	H	1	CO	H
H	H	CF <sub>3</sub>	H	H	1	CO	H
H	OCF <sub>3</sub>	H	H	H	1	CO	H
H	H	OCF <sub>3</sub>	H	H	1	CO	H
H	H	OCF <sub>2</sub> H	H	H	1	CO	H
H	H	OCF <sub>2</sub> Cl	H	H	1	CO	H
H	NO <sub>2</sub>	H	H	H	1	CO	H
H	H	NO <sub>2</sub>	H	H	1	CO	H
H	CN	H	H	H	1	CO	H
H	H	CN	H	H	1	CO	H
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	1	CO	H
H	H	SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H	H	1	CO	H
H	H	SCCH <sub>3</sub>	H	H	1	CO	H
H	H	H	H	H	1	CO	CH <sub>3</sub>
H	H	Cl	H	H	1	CO	CH <sub>3</sub>
Cl	H	Cl	H	H	1	CO	CH <sub>3</sub>
H	H	CH <sub>3</sub>	H	H	1	CO	CH <sub>3</sub>
H	H	OCH <sub>3</sub>	H	H	1	CO	CH <sub>3</sub>

TABLE 7 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	n'	k'7-	Y'16-
H	NO <sub>2</sub>	H	H	H	1	CO	CH <sub>3</sub>
H	CN	H	H	H	1	CO	CH <sub>3</sub>
H	H	CF <sub>3</sub>	H	H	1	CO	CH <sub>3</sub>
H	H	H	H	H	0	-	COCH <sub>3</sub>
H	H	Cl	H	H	0	-	COCH <sub>3</sub>
Cl	H	Cl	H	H	0	-	COCH <sub>3</sub>
H	Cl	H	H	H	0	-	COCH <sub>3</sub>
H	H	OCH <sub>3</sub>	H	H	0	-	COCH <sub>3</sub>
H	CH <sub>3</sub>	H	H	H	0	-	COCH <sub>3</sub>
H	H	CH <sub>3</sub>	H	H	0	-	COCH <sub>3</sub>
H	H	SCCH <sub>3</sub>	H	H	0	-	COCH <sub>3</sub>
H	H	CF <sub>3</sub>	H	H	0	-	COCH <sub>3</sub>
H	H	OCF <sub>2</sub> H	H	H	0	-	COCH <sub>3</sub>
H	H	OCF <sub>2</sub> Cl	H	H	0	-	COCH <sub>3</sub>
H	NO <sub>2</sub>	H	H	H	0	-	COCH <sub>3</sub>
H	CN	H	H	H	0	-	COCH <sub>3</sub>
H	H	H	H	H	0	-	COCF <sub>3</sub>
Cl	H	Cl	H	H	0	-	COCF <sub>3</sub>

TABLE 7 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	m'	X'7-	Y'16-
H	H	H	H	H	1	CS	H
Cl	H	Cl	H	H	1	CS	H
H	H	H	H	H	1	SO <sub>2</sub>	H
H	H	Cl	H	H	1	SO <sub>2</sub>	H
Cl	H	Cl	H	H	1	SO <sub>2</sub>	H
CO <sub>2</sub> CH <sub>3</sub>	H	H	H	H	1	SO <sub>2</sub>	H
H	H	CH <sub>3</sub>	H	H	1	SO <sub>2</sub>	H
H	H	OCH <sub>3</sub>	H	H	1	SO <sub>2</sub>	H
H	OCH <sub>3</sub>	H	H	H	1	SO <sub>2</sub>	H
KO <sub>2</sub>	H	H	H	H	1	SO <sub>2</sub>	H
H	CN	H	H	H	1	SO <sub>2</sub>	H
H	H	CF <sub>3</sub>	H	H	1	SO <sub>2</sub>	H
H	H	H	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	H	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	Cl	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
Cl	H	Cl	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	CH <sub>3</sub>	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	OCH <sub>3</sub>	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	CF <sub>3</sub>	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>

+

4

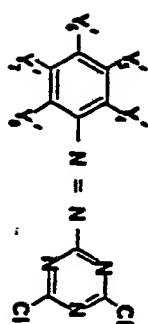
**TABLE 7 (cont.)**



$\gamma_{1.4}^-$	$\gamma_{1.5}^-$	$\gamma_{1.6}^-$	$\gamma_{1.7}^-$	$\gamma_{1.8}^-$	$\eta_1^-$	$K_{1.7}^-$	$\gamma_{1.6}^-$
H	NO <sub>2</sub>	H	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	CN	H	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	NO <sub>2</sub>	H	H	0	-	SO <sub>2</sub> CH <sub>3</sub>
H	H	H	H	H	0	-	SO <sub>2</sub> CF <sub>3</sub>
Cl	H	Cl	H	H	0	-	SO <sub>2</sub> CF <sub>3</sub>
H	Cl	H	Cl	H	0	-	SO <sub>2</sub> CH <sub>3</sub>

TABLE A

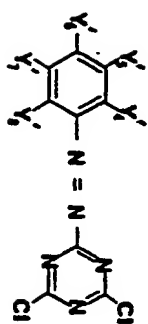
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-
H	H	H	H	H
H	H	Cl	H	H
Cl	H	Cl	H	H
H	Cl	H	H	H
H	Cl	Cl	H	H
H	H	Br	H	H
H	H	F	H	H
H	H	CF <sub>3</sub>	H	H
H	H	CH <sub>3</sub>	H	H
H	OCH <sub>3</sub>	H	H	H
H	H	OCH <sub>3</sub>	H	H
H	H	SCH <sub>3</sub>	H	H
H	NO <sub>2</sub>	H	H	H
H	H	NO <sub>2</sub>	H	H
H	CN	H	H	H
H		D		
H		SCH <sub>3</sub>	H	H

TABLE 8 (Cont.)

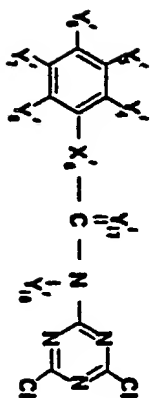
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sub>1</sub> -	Y <sub>2</sub> -	Y <sub>3</sub> -	Y <sub>4</sub> -	Y <sub>5</sub> -
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H
H	H	CO <sub>2</sub> CH <sub>3</sub>	H	H
OH	H	H	CH <sub>3</sub>	H

TABLE 9

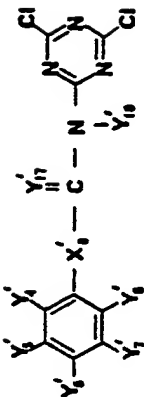
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	X <sub>8</sub> -	Y <sub>17</sub> -	Y <sub>18</sub> -
H	H	H	H	H	NH	O	H
H	H	Cl	H	H	NH	O	H
Cl	H	Cl	H	H	NH	O	H
H	H	CH <sub>3</sub>	H	H	NH	O	H
H	H	OCH <sub>3</sub>	H	H	NH	O	H
H	H	CF <sub>3</sub>	H	H	NH	O	H
H	H	SCH <sub>3</sub>	H	H	NH	O	H
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	NH	O	H
H	H	H	H	H	NH	S	H
Cl	H	Cl	H	H	NH	S	H
H	H	H	H	H	O	O	H
Cl	H	Cl	H	H	O	O	H
H	H	CH <sub>3</sub>	H	H	O	O	H
H	H	OCH <sub>3</sub>	H	H	O	O	H
H	H	CF <sub>3</sub>	H	H	O	O	H
H	NO <sub>2</sub>	H	H	H	O	O	H
H	CN	H	H	H	O	O	H
H	H	H	H	H	O	S	H



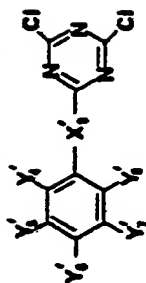
TABLE 9 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>17</sub> -	Y <sub>18</sub> -	Y <sub>19</sub> -
Cl	H	Cl	H	H	O	H
H	H	C <sub>6</sub> H <sub>5</sub> O	H	H	NH	H

TABLE 10

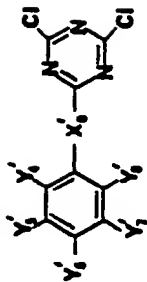
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	Y'9-
H	H	H	H	H	single bond
Cl	H	Cl	H	H	single bond
Cl	H	H	H	H	single bond
H	Cl	H	H	H	single bond
H	H	Cl	H	H	single bond
H	H	Br	H	H	single bond
F	H	H	H	H	single bond
H	F	H	H	H	single bond
H	H	F	H	H	single bond
H	H	I	H	H	single bond
CH <sub>3</sub>	H	H	H	H	single bond
H	CH <sub>3</sub>	H	H	H	single bond
H	H	CH <sub>3</sub>	H	H	single bond
H	H	isopropyl	H	H	single bond
H	H	t-butyl	H	H	single bond
OCH <sub>3</sub>	H	H	H	H	single bond
H	OCH <sub>3</sub>	H	H	H	single bond
H	H	OCH <sub>3</sub>	H	H	single bond

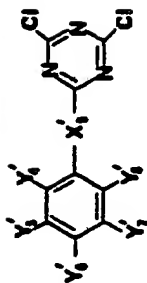
TABLE 10 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	K'9-
H	Cf <sub>3</sub>	H	H	H	single bond
H	H	Cf <sub>3</sub>	H	H	single bond
H	H	OCF <sub>2</sub> H	H	H	single bond
H	H	OCF <sub>2</sub> Cl	H	H	single bond
Cl	Cl	Cl	Cl	Cl	single bond
F	F	F	F	F	single bond
H	NO <sub>2</sub>	H	H	H	single bond
H	H	NO <sub>2</sub>	H	H	single bond
H	CN	H	H	H	single bond
H	H	CN	H	H	single bond
H	H	SC <sub>2</sub> H <sub>5</sub>	H	H	single bond
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	single bond
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	single bond
H	H	CO <sub>2</sub> CH <sub>3</sub>	H	H	single bond
H	H	H	H	H	CH <sub>2</sub>
Cl	H	Cl	H	H	CH <sub>2</sub>
H	Cl	H	H	H	CH <sub>2</sub>
H	Cl	Cl	H	H	CH <sub>2</sub>

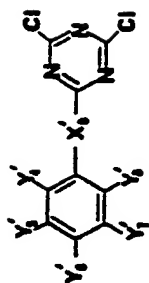
TABLE 10 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	Y'9-
H	H	Br	H	H	CH <sub>2</sub>
H	F	H	H	H	CH <sub>2</sub>
H	H	F	H	H	CH <sub>2</sub>
F	H	Cl	H	H	CH <sub>2</sub>
H	NO <sub>2</sub>	H	H	H	CH <sub>2</sub>
H	CN	H	H	H	CH <sub>2</sub>
H	H	OCH <sub>3</sub>	H	H	CH <sub>2</sub>
H	CH <sub>3</sub>	H	H	H	CH <sub>2</sub>
H	H	CH <sub>3</sub>	H	H	CH <sub>2</sub>
H	H	SCH <sub>3</sub>	H	H	CH <sub>2</sub>
H	H	SO <sub>2</sub> CH <sub>3</sub>	H	H	CH <sub>2</sub>
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	CH <sub>2</sub>
H	H	H	H	H	CHCN
H	H	Cl	H	H	CHCN
Cl	H	Cl	H	H	CHCN
H	H	CH <sub>3</sub>	H	H	CHCN
H	H	OCH <sub>3</sub>	H	H	CHCN
H	H	NO <sub>2</sub>	H	H	CHCN

TABLE 10 (Cont.)

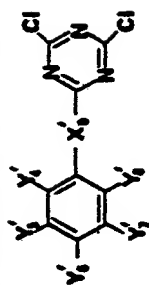
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	Y'9-
H	H	CN	H	H	CHCN
H	H	CF <sub>3</sub>	H	H	CHCN
H	H	H	H	H	CHCO <sub>2</sub> CH <sub>3</sub>
Cl	H	Cl	H	H	CHCO <sub>2</sub> CH <sub>3</sub>
H	H	H	H	H	-C≡C-
H	H	Cl	H	H	-C≡C-
Cl	H	Cl	H	H	-C≡C-
H	H	CH <sub>3</sub>	H	H	-C≡C-
H	H	OCH <sub>3</sub>	H	H	-C≡C-
H	H	CF <sub>3</sub>	H	H	-C≡C-
H	NO <sub>2</sub>	H	H	H	-C≡C-
H	CN	H	H	H	-C≡C-
H	H	H	H	H	-CH=CH-
H	H	Cl	H	H	-CH=CH-
Cl	H	Cl	H	H	-CH=CH-
H	H	CF <sub>3</sub>	H	H	-CH=CH-
H	H	CH <sub>3</sub>	H	H	-CH=CH-
H	H	OCH <sub>3</sub>	H	H	-CH=CH-

TABLE 10 (Cont.)

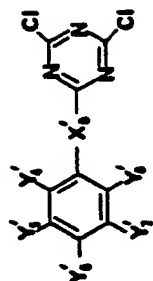
Representative Heterocyclic Nitrogen - Containing Compounds



Y'4-	Y'5-	Y'6-	Y'7-	Y'8-	X'9-
H	NO <sub>2</sub>	H	H	H	-CH=CH-
H	CN	H	H	H	-CH=CH-
H	H	H	H	H	O
H	H	Cl	H	H	-C-
Cl	H	Cl	H	H	O
H	H	CH <sub>3</sub>	H	H	-C-
H	H	OCH <sub>3</sub>	H	H	O
H	H	CF <sub>3</sub>	H	H	-C-
H	H	N(CH <sub>3</sub> ) <sub>2</sub>	H	H	O
H	H	H	H	H	-C-
H	H	H	H	H	O
H	H	H	H	H	CH <sub>2</sub> C-

TABLE 10 (cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



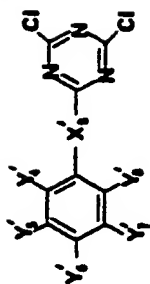
Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	Y <sub>9</sub> -
H	H	Cl	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CH}_2\text{C}- \end{array}$
Cl	H	Cl	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CH}_2\text{C}- \end{array}$
H	H	CH <sub>3</sub>	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CH}_2\text{C}- \end{array}$
H	H	OCH <sub>3</sub>	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CH}_2\text{C}- \end{array}$
H	H	H	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CCH}_2- \end{array}$
H	H	Cl	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CCH}_2- \end{array}$
Cl	H	Cl	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CCH}_2- \end{array}$
H	H	CH <sub>3</sub>	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CCH}_2- \end{array}$
H	H	OCH <sub>3</sub>	H	H	$\begin{array}{c} \text{O} \\   \\ \text{CCH}_2- \end{array}$





TABLE 10 (cont.)

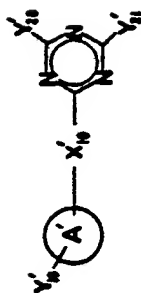
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sub>4</sub> -	Y <sub>5</sub> -	Y <sub>6</sub> -	Y <sub>7</sub> -	Y <sub>8</sub> -	Y <sub>9</sub> -
C <sub>6</sub> H <sub>5</sub> -	H	H	H	H	single bond
-CH-CH-CH-CH-		C <sub>2</sub> H <sub>5</sub> O	H	H	single bond
H	H	CH <sub>3</sub>	H	H	single bond
H	Cl	Cl	H	H	single bond

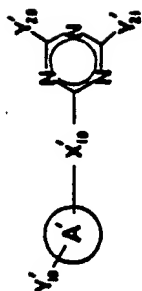
TABLE 11

Representative Heterocyclic Nitrogen - Fentanyl Compounds



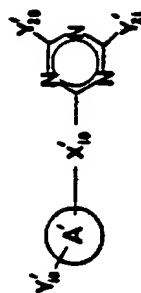
Y <sub>19</sub>	A <sub>1</sub>	A <sub>10</sub>	Y <sub>20</sub>	Y <sub>21</sub>
H	phenyl	-C(CH <sub>3</sub> )-NO-	Cl	Cl
2,6-Cl <sub>2</sub>	phenyl	-CH-NO-	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-CH-NO-	Cl	Cl
4-NO <sub>2</sub>	phenyl	-CH-NO-	Cl	Cl
2-CH <sub>3</sub> O-4-C <sub>2</sub> H <sub>5</sub>	phenyl	-CH-NO-	Cl	Cl
4-Cl	phenyl	-COCH-NO-	Cl	Cl
H	phenyl	-CH <sub>2</sub> CONHCH <sub>2</sub> CH-NO-	Cl	Cl
4-Cl	phenyl	-SCH <sub>2</sub> C(CH <sub>3</sub> )-NO-	Cl	Cl
4-Cl	phenyl	-SO <sub>2</sub> CH <sub>2</sub> C(CH <sub>3</sub> )-NO-	Cl	Cl
H	phenyl	Se	Cl	Cl
2,4-Cl	phenyl	Se	Cl	Cl
4-Br	phenyl	Se	Cl	Cl
2-Cl-5-CN	phenyl	-PO(OCH <sub>3</sub> )-	Cl	Cl
3,4-(CH <sub>3</sub> ) <sub>2</sub>	phenyl	-PH(=O)-	F	F
H	phenyl	-O-PO(OCH <sub>3</sub> )-	Cl	Cl
H	phenyl	-Si(CH <sub>3</sub> ) <sub>2</sub> -	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> CONH-	phenyl	-NH-SO <sub>2</sub> -	Cl	Cl
H	4-chloro-1-naphthyl-	-NH-SO <sub>2</sub> -	Cl	SC <sub>2</sub> H <sub>5</sub>

TABLE II (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'19-	A'	X'10-	Y'20-	Y'21-
4-Cl	phenyl	-C≡C-	F	F
2,4-Cl	phenyl	-C≡C-	F	OCH <sub>3</sub>
H	phenyl	-C≡C-	Cl	OCH <sub>2</sub> CF <sub>3</sub>
H	2-pyridinyl	-C≡C-	Cl	Cl
3-NO <sub>2</sub>	2-pyridinyl	-CH=CH-(cis)	Cl	Cl
3-NO <sub>2</sub>	2-pyridinyl	-CH=CH-(trans)	Cl	Cl
5-Cl		-CH <sub>2</sub> CH <sub>2</sub> -	F	CN
3,4-Cl <sub>2</sub>	phenyl		Cl	Cl
2,5-(CH <sub>3</sub> ) <sub>2</sub>	phenyl		Cl	Cl
3,4,5-(CH <sub>3</sub> ) <sub>3</sub>	phenyl	-CO-	Cl	OC <sub>6</sub> H <sub>5</sub>
3-Cl	phenyl	-CO-	I	I

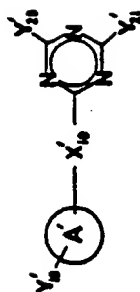
TABLE 11 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'19-	A'	A'10-	Y'20-	Y'21-
		-CH2CO-	Br	I
		-COCH2	F	I
5-C6H5O-	1-naphthyl	-NHO-	Cl	Cl
2,4-Cl2	phenyl	-S-S-	Cl	Cl
H	phenyl	-S-S-	Cl	Cl
2-F-3-Cl	phenyl	-S-S-	Cl	Cl
2,3-(CH=CHCH=CH)-	phenyl	single bond	Cl	CN
3-NO2	phenyl	single bond	Cl	CN
4-C6H5	phenyl	single bond	Cl	CN
2-Cl	phenyl	single bond	Cl	-C≡CH
H	phenyl	single bond	Cl	CCl3
2,3-(CH=CHCH=CH)-	phenyl	single bond	Cl	CH3SO2O-

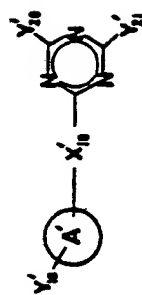
TABLE 11 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



Y'19-	A'	X'10-	Y'20-	Y'21-
3,4,5-(CH <sub>3</sub> ) <sub>3</sub>	phenyl	single bond	Cl	CH <sub>3</sub> SO <sub>2</sub> O-
H	phenyl	single bond	Cl	CF <sub>3</sub> CH <sub>2</sub> O
2-C <sub>6</sub> H <sub>5</sub>	phenyl	single bond	F	F
2,3-(CH=CHCH=CH)-	phenyl	single bond	Cl	-SO <sub>3</sub> CH <sub>3</sub> <sup>⊕</sup>
4-CH <sub>3</sub>	phenyl	-SO <sub>2</sub> NHCONH-	Cl	Cl
2-Cl	phenyl	-SO <sub>2</sub> NHCONH-	Cl	Cl
3,4-Cl <sub>2</sub>	phenyl		Cl	Cl
3-C <sub>6</sub> H <sub>5</sub>	phenyl		Cl	Cl
3-NO <sub>2</sub>	phenyl	-CH <sub>2</sub> COCH <sub>2</sub> -	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> O	phenyl	-CH <sub>2</sub> COCH <sub>2</sub> -	Cl	Cl

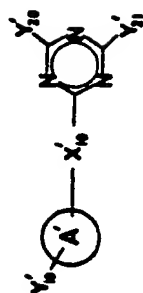
TABLE 11 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'19-	A'	A'10-	Y'20-	Y'21-
2,4-Cl <sub>2</sub>	phenyl		Cl	Cl
4-Cl	phenyl		Cl	Cl
H	phenyl	single bond	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
H	1-naphthyl	single bond	OSO <sub>2</sub> CH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
H	1-naphthyl	single bond	CH <sub>3</sub>	CN
H	phenyl	-C≡C-	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
H	1-naphthyl	single bond	CN	CN
3-C <sub>6</sub> H <sub>5</sub>	phenyl	single bond	CN	H
H	phenyl	-CH <sub>2</sub> N=CHC≡C-	Cl	Cl
H	phenyl	-CH <sub>2</sub> NHCH <sub>2</sub> C≡C-	Cl	Cl

TABLE 11 (cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



Y'9-	A'	X'10-	Y'20-	Y'21-
H	phenyl	CH <sub>3</sub>   -CH <sub>2</sub> NCH <sub>2</sub> C≡C-	Cl	Cl
H	phenyl	CH <sub>3</sub>   -NH-C-N-	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-OCH <sub>2</sub> CH <sub>2</sub> O-	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-OCH <sub>2</sub> -	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-OCH(CH <sub>3</sub> )-	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-OC(CH <sub>3</sub> ) <sub>2</sub> -	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	-OCH <sub>2</sub> O-	Cl	Cl

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TABLE 12  
Representative Heterocyclic Nitrogen-Containing Compounds

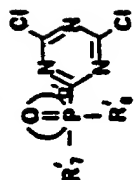
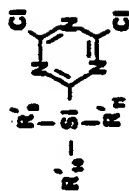
			
R <sub>7</sub> -	R <sub>6</sub> -	R <sub>1</sub> -	
OCH <sub>3</sub>	OCH <sub>3</sub>	1	1
OCH <sub>2</sub> CH <sub>3</sub>	OCH <sub>2</sub> CH <sub>3</sub>	1	1
phenyl	OCH <sub>3</sub>	1	1
phenyl	phenyl	0	0



TABLE 13

Representative Heterocyclic Nitrogen-Containing Compounds



R'9-	R'10-	R'11-
CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>
CH <sub>3</sub>	CH <sub>3</sub>	t-butyl
phenyl	CH <sub>3</sub>	CH <sub>3</sub>

TABLE 14  
Representative Heterocyclic Nitrogen - Fentanyl Compounds

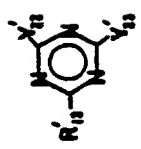

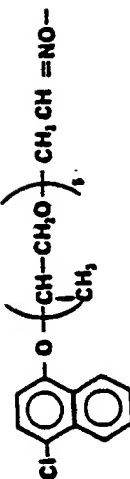
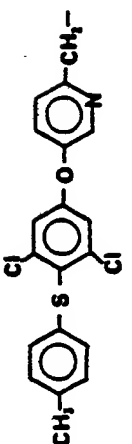
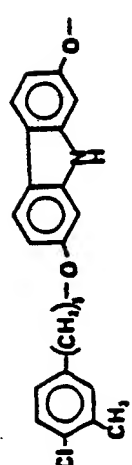
			
R'12-	Y'22-	Y'23-	
CH <sub>3</sub> (CH <sub>2</sub> ) <sub>18</sub> CF <sub>2</sub> CF <sub>2</sub> O-	Cl	CN	
CH <sub>3</sub> O-(CH <sub>2</sub> CH <sub>2</sub> O) <sub>7</sub> CH <sub>2</sub> C≡C-	Cl	OCH <sub>2</sub> CF <sub>3</sub>	
	F	SCH <sub>3</sub>	
	Cl	CCl <sub>3</sub>	
	F	OC <sub>2</sub> H <sub>5</sub>	
	Cl	OCF <sub>3</sub>	

TABLE 14 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

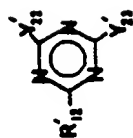






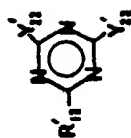
	Y'12-	Y'13-
R'12-	OSO <sub>2</sub> CH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
		OCH <sub>2</sub> CF <sub>3</sub>
	F	OCH <sub>3</sub>
	Cl	
	Cl	CH <sub>3</sub> SO <sub>2</sub>

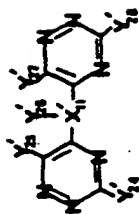
TABLE 14 (Cont.)  
Representative Heterocyclic Nitriles - Containing Compounds



$R'_{12}$	$Y'_{22}$	$Y'_{23}$
	Cl	Cl
	Cl	Cl

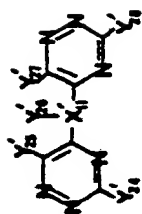
TABLE 15

Representative Heterocyclic Nitrogen - Containing Compounds



Y'24-	Y'25-	Y'11-	Y'26-	Y'27-	Y'28-
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl

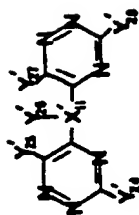
TABLE 15 (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'24-	Y'25-	Y'11-	Y'26-	Y'27-	Y'28-
Cl	Cl		—	Cl	Cl
Cl	Cl	N	H	Cl	Cl
Cl	Cl	N	CH <sub>3</sub> CO	Cl	Cl
Cl	Cl	N		Cl	Cl
Cl	Cl	N	CH <sub>3</sub> SO <sub>2</sub> -	Cl	Cl
Cl	Cl	S	—	Cl	Cl
Cl	Cl	-S-S-	—	Cl	Cl
Cl	Cl	-NH-NH-	—	Cl	Cl
Cl	Cl	-N=N-	—	Cl	Cl
Cl	Cl	single bond	—	Cl	Cl
Cl	Cl	O	—	Cl	Cl
Cl	Cl	-CH=CH-	—	Cl	Cl

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**TABLE 15 (Cont.)**  
**Representative Heterocyclic Nitrogen - Containing Compounds**




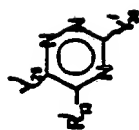
$\frac{Y'_{24}-}{C1}$	$\frac{Y'_{25}-}{C1}$	$\frac{E'_{11}-}{C1}$	$\frac{Y'_{26}-}{--}$	$\frac{Y'_{27}-}{C1}$	$\frac{Y'_{28}-}{C1}$
$C1$	$C1$	$-C-\overset{\overset{O}{\parallel}}{O}$	--	$C1$	$C1$
$C1$	$C1$	$-C=\overset{\overset{O}{\parallel}}{C}-$	--	$C1$	$C1$
$C1$	$C1$	$-C\equiv\overset{\overset{O}{\parallel}}{C}-$	--	$C1$	$C1$
$C1$	$C1$	$-O-\text{c1ccccc1}O-$	--	$C1$	$C1$
$C1$	$C1$	$N$		$C1$	$C1$
$C1$	$C1$	$SO$	--	$C1$	$C1$
$C1$	$C1$	$SO_2$	--	$C1$	$C1$
$C1$	$C1$	$\geq P=O$	$OC_2H_5$	$C1$	$C1$

TABLE 16  
Representative Heterocyclic Nitrogen - Containing Compounds

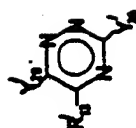


$R'_{13}$	$Y'_{29}$	$Y'_{30}$
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl



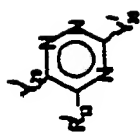
TABLE 16 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



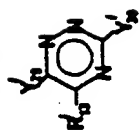
$R^{13}$ -	$Y^{29}$ -	$Y^{30}$ -
 <chem>COC1=CC=C(C=C1)Oc2ccccc2</chem>	Cl	Cl
 <chem>COc1ccc(cc1)S(=O)(=O)c2ccc(Cl)cc2</chem>	Cl	Cl
 <chem>COc1ccc(cc1)S(=O)(=O)c2ccc(cc2)Oc3ccc(OC)cc3</chem>	Cl	Cl
 <chem>COc1ccc(cc1)S(=O)(=O)c2ccc(Cl)cc2Oc3cccc4ccccc34</chem>	Cl	Cl
 <chem>COc1ccc(cc1)Oc2ccc(Cl)cc2Oc3cccc4ccccc34</chem>	Cl	Cl
 <chem>COc1ccc(cc1)Oc2ccc(Cl)cc2Oc3cccc4ccccc34</chem>	Cl	Cl

TABLE 16 (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sup>13</sup> -	Y <sup>29</sup> -	Y <sup>30</sup> -
	Cl	OCH <sub>2</sub> CF <sub>3</sub>
	CN	CN
	OSO <sub>2</sub> CH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
	CCl <sub>3</sub>	CCl <sub>3</sub>
	CH <sub>3</sub> S	Cl

TABLE 16 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'13-	Y'29-	Y'30-
	$C_2H_5SO_2$	Br
	F	F
	F	I
		F

TABLE 16 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

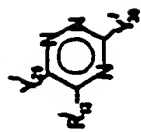

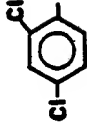
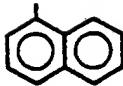

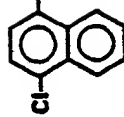
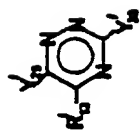
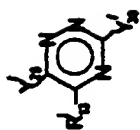
		R <sup>13</sup> -	Y <sup>29</sup> -	Y <sup>30</sup> -
			Cl	Cl
			Cl	Cl
			Cl	Cl
			Cl	Cl
			Cl	Cl

TABLE 16 (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$R_{13}$ -	$R_{29}$ -	$R_{30}$ -
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

TABLE 16 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R <sup>1</sup> <sub>13</sub> -	Y <sup>1</sup> <sub>29</sub> -	Y <sup>1</sup> <sub>30</sub> -
	Cl	Cl
C <sub>2</sub> H <sub>5</sub> O-C≡C-	Cl	Cl
HC≡C-	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

TABLE 16 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

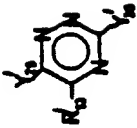
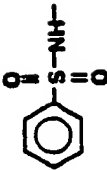
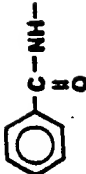
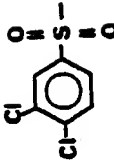

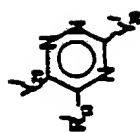
		
R <sub>13</sub>	Y <sub>29</sub>	Y <sub>30</sub>
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

TABLE 16 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



R <sub>13</sub> -	R <sub>14</sub> -	R <sub>15</sub> -
Cl <sub>2</sub> CH-O-	Cl	Cl
CCl <sub>3</sub>	Cl	Cl
-CH=CHCN	Cl	Cl
-CH=CHCOCH <sub>3</sub>	Cl	Cl
-CH=CHCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	Cl	Cl
-CO <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	Cl	Cl
-NHCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	Cl	Cl
CH <sub>3</sub> SO <sub>2</sub> NH-	Cl	Cl
-CH <sub>2</sub> CN	Cl	Cl



TABLE 17  
Representative Heterocyclic Nitrogen - Containing Compounds

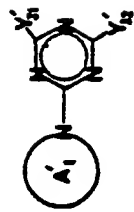
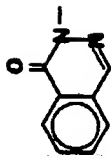
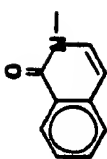
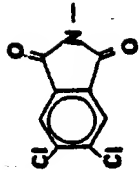
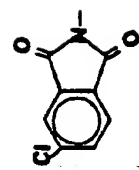
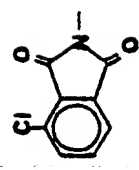
						
A1-	Y1-	Y2-				
	Cl	Cl				
	Cl	Cl				
	Cl	Cl				
	Cl	Cl				
	Cl	Cl				

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

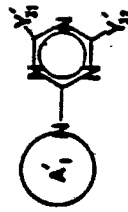
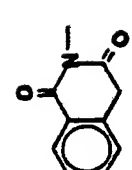
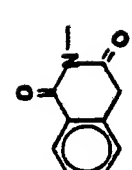
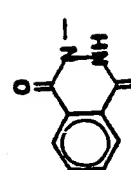
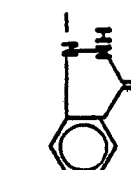
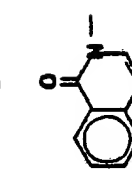
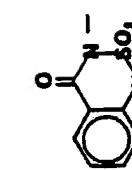
					
	A <sub>1</sub> -	Y <sub>1</sub> -	Y <sub>2</sub> -	Y <sub>3</sub> -	Y <sub>4</sub> -
		Cl	Cl	Cl	Cl
		Cl	Cl	Cl	Cl
		Cl	Cl	Cl	Cl
		Cl	Cl	Cl	Cl
		Cl	Cl	Cl	Cl

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

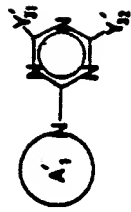


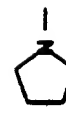
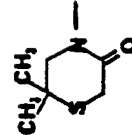

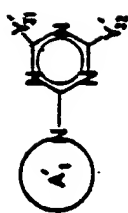
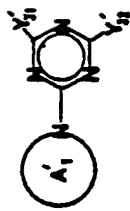
			
A'1-	Y'1-	Y'2-	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>1</sub> -	Y <sub>1</sub> -	Y <sub>2</sub> -
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$A_1$ -	$Y'_{31}$ -	$Y'_{32}$ -
 <chem>CN1C(=O)c2cc3c(c1)nc(=O)c4ccccc34</chem>	Cl	Cl
 <chem>CN1C(=O)c2cc3c(c1)nc(=O)c4c(Cl)c(Cl)c(Cl)c43</chem>	Cl	Cl
 <chem>CN1C(=O)c2cc3c(c1)nc(=O)c4cc(Cl)ccc34</chem>	Cl	Cl
 <chem>CN1C(=O)c2cc3c(c1)nc(=O)c4ccccc3c4Cl</chem>	Cl	Cl
 <chem>CN1C(=O)c2cc3c(c1)nc(=O)c4cc(Cl)ccc34</chem>	Cl	Cl

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

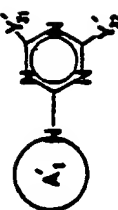
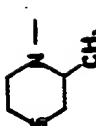


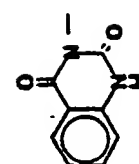
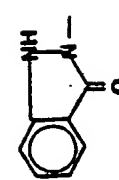
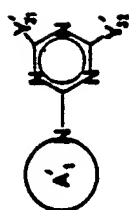
	Y'31-		Y'32-	
	Cl	Cl	Cl	Cl
	Cl	Cl	Cl	Cl
	Cl	Cl	Cl	Cl
	Cl	Cl	Cl	Cl
	Cl	Cl	Cl	Cl

TABLE 17 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>1</sub> -	Y <sub>31</sub> -	Y <sub>32</sub> -
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

TABLE II (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

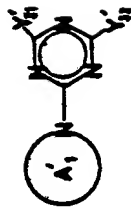

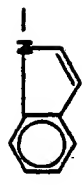



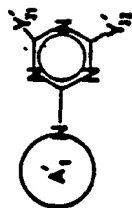
			
A'1-	Y'31-	Y'32-	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	
	Cl	Cl	



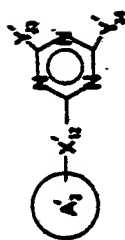
TABLE 17 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



$A_1$ -	$Y_1$ -	$Y_2$ -
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

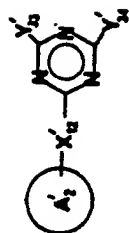
TABLE 18  
Representative Heterocyclic Mitogens - Containing Compounds



A'2-	B'12- single bond	Y'13-	Y'14-
2-pyridinyl	0	Cl	Cl
3-pyridinyl	0	Cl	Cl
	0	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	0	Cl	Cl
		Cl	Cl

TABLE 18 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds





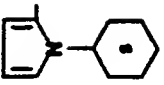
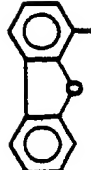
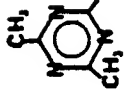


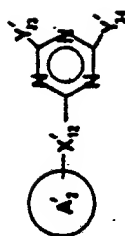
A <sub>12</sub> -	A <sub>12</sub> -	Y <sub>13</sub> -	Y <sub>14</sub> -
		Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	NH	Cl	Cl

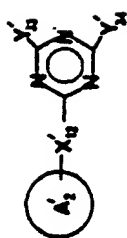
TABLE 1B (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>1</sub> -2-	A <sub>1</sub> '12-	Y <sub>1</sub> '33-	Y <sub>1</sub> '34-
	NH	Cl	Cl
	NH	Cl	Cl
	single bond	Cl	Cl
	O	Cl	Cl
	O	Cl	Cl
	NH	Cl	Cl
	O	Cl	Cl

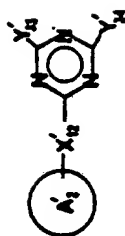
TABLE 1B (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



	A <sup>1</sup> -2-	Y <sup>1</sup> -33-	Y <sup>1</sup> -34-
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl
	0	Cl	Cl

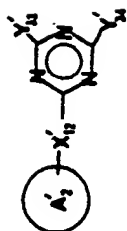
TABLE 1B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



	A <sub>1</sub> -	Y <sub>13</sub> -	Y <sub>14</sub> -
	0	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl

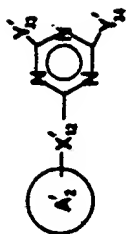
TABLE 1B (cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



A'2-	X'12-	Y'33-	Y'34-
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl

TABLE 1B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



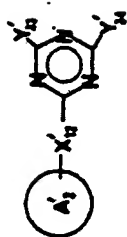
	A'12-	Y'33-	Y'34-
A'2- 	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl

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TABLE 1B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>12</sub> -	NH	NH	NH	NH	NH	NH	NH
Y <sub>13</sub> -	Cl	Cl	Cl	Cl	Cl	Cl	Cl
Y <sub>14</sub> -	Cl	Cl	Cl	Cl	Cl	Cl	Cl

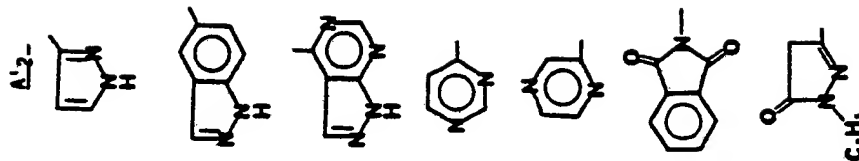
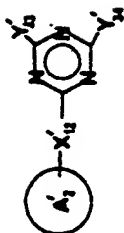


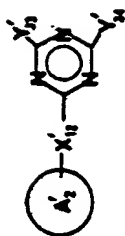
TABLE 18 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



A'12-	Y'33-	Y'34-
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl
	Cl	Cl

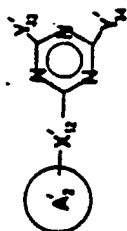
TABLE 1B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A'2-	X'12-	Y'33-	Y'34-
	NH	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	O	Cl	Cl
	O	Cl	Cl
	O	Cl	Cl
	O	Cl	Cl

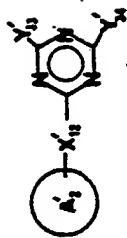
TABLE 1B (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>12</sub> -	A <sub>12</sub> -	Y <sub>33</sub> -	Y <sub>34</sub> -
	0	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	single bond	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl

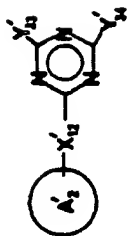
TABLE 10 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$A_2$ -	$X_{13}$ -	$Y_{12}$ -	$Y_{13}$ -	$Y_{14}$ -
	NH	Cl	Cl	Cl
	NH	Cl	Cl	Cl
	NH	Cl	Cl	Cl
	NH	Cl	Cl	Cl
	NH	Cl	Cl	Cl
	O	Cl	Cl	Cl
	O	Cl	Cl	Cl

TABLE 1B (Cont.)

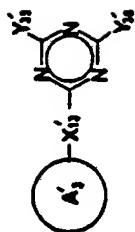
Representative Heterocyclic Nitrogen - Containing Compounds



A <sub>2</sub> -	X <sub>12</sub> -	Y <sub>13</sub> -	Y <sub>14</sub> -
	O	Cl	Cl
	O	Cl	Cl
	NH	Cl	Cl
	CH <sub>2</sub>	Cl	Cl
	O	Cl	Cl
	O	Cl	Cl
	CH <sub>2</sub>	Cl	Cl

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TABLE 19  
Representative Heterocyclic Nitrogen - Containing Compounds



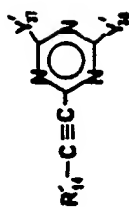
$A'_{12}$	$A'_{13}$	$Y'_{15}$	$Y'_{16}$
	O	Cl	Cl
	NH	Cl	Cl
	NH	Cl	Cl
	O	Cl	Cl
	S	Cl	Cl
	SO <sub>2</sub>	Cl	Cl
	S	Cl	Cl

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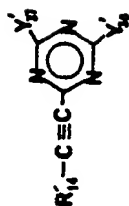
TABLE 20  
Representative Heterocyclic Nitrogen - Containing Compounds



$R'14-$	$Y'37-$	$Y'38-$
$(CH_3)_3Si$	Cl	Cl
$C_2H_5O-$	Cl	Cl
$CH_3O-C-$ O	Cl	Cl
$CH_3NH-CH(CH_3)-$	Cl	Cl
$(CH_3)_2C(OH)-$	Cl	Cl
	Cl	Cl
	Cl	Cl
$CH_2-CH-O-$	Cl	Cl
$(CH_3)_2NCH_2-$	Cl	Cl
$(CH_3)_3SiOCH_2-$	Cl	Cl

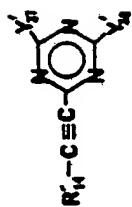
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TABLE 20 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'14-	Y'37-	Y'38-
	Cl	Cl
CH3OCH2-	Cl	Cl
	Cl	Cl
CH3SCH2-	Cl	Cl
CH2=CH-	Cl	Cl
CH3-C-   CH2	Cl	Cl
HC=C(CH2)5-	Cl	Cl
HC=C(CH2)4-	Cl	Cl
Cl-CH2-	Cl	Cl
Br-CH2-	Cl	Cl
HO-CH2-	Cl	Cl
CH3O-CH-CH-	Cl	Cl

TABLE 2B (cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

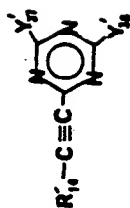


R'14-	Y'37-	Y'38-
HO(CH <sub>2</sub> ) <sub>2</sub> -	Cl	Cl
C <sub>2</sub> H <sub>5</sub> CH(OH)-	Cl	Cl
CH <sub>3</sub> (CH <sub>2</sub> ) <sub>4</sub> CH(OH)-	Cl	Cl
	Cl	Cl
	Cl	Cl
H <sub>2</sub> NCH <sub>2</sub> -	Cl	Cl
(CH <sub>3</sub> ) <sub>2</sub> NCH <sub>2</sub> -	Cl	Cl
(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> NCH <sub>2</sub> -	Cl	Cl
HC≡CCH <sub>2</sub> -NH-CH <sub>2</sub> -	Cl	Cl
(HC≡CCH <sub>2</sub> ) <sub>2</sub> N-CH <sub>2</sub> -	Cl	Cl
	Cl	Cl
CH <sub>3</sub> -C-	Cl	Cl

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TABLE 20 (Cont.)

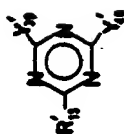
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{14}-$	$Y'_{37}-$	$Y'_{38}-$
$HO_2C-$	Cl	Cl
$C_2H_5O-C-$ O	Cl	Cl
H	Cl	Cl
$n-C_3H_7-$	Cl	Cl
$(CH_3)_3C-$	Cl	Cl

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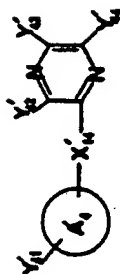
TABLE 21  
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{15}$ -	$Y'_{39}$ -	$Y'_{40}$ -
-SH	Cl	Cl
-N-CCl <sub>2</sub>	Cl	Cl
-SCH <sub>3</sub>	Cl	Cl
-CH-OH	Cl	Cl
-NHCOCF <sub>3</sub>	Cl	Cl
-NHCOCH <sub>3</sub>	Cl	Cl
-CONH <sub>2</sub>	Cl	Cl
-CH <sub>2</sub> CN	Cl	Cl
-CH=CN	Cl	Cl
-CH=CHCOCH <sub>3</sub>	Cl	Cl
-CH=CHCO <sub>2</sub> CH <sub>3</sub>	Cl	Cl
-OCOC <sub>6</sub> H <sub>5</sub>	Cl	Cl
-NHCOC <sub>2</sub> H <sub>5</sub>	Cl	Cl
-N(CH <sub>2</sub> Cl) <sub>2</sub>	Cl	Cl
CH <sub>3</sub> SO <sub>2</sub> NH-	Cl	Cl
(CH <sub>3</sub> ) <sub>2</sub> N-	Cl	Cl
(CH <sub>3</sub> ) <sub>2</sub> N-	Cl	(CH <sub>3</sub> ) <sub>2</sub> N-
(CH <sub>3</sub> ) <sub>2</sub> CHO-	Br	Br
(CH <sub>3</sub> ) <sub>2</sub> C=NO-	Cl	Cl

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TABLE 22  
Representative Heterocyclic Nitrogen - Containing Compounds

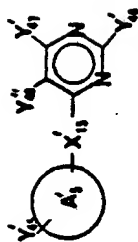


Y'1-	A'1-	X'1-	Y'2-	Y'3-	Y'4-
2,4-Cl	phenyl	O	H	H	Cl
2,4-Cl	phenyl	O	Cl	H	H
2,4-Cl	phenyl	O	H	Cl	H
3,5-Cl	phenyl	S	H	H	Cl
2-CH <sub>3</sub> -4- C <sub>6</sub> H <sub>4</sub> O-	phenyl	S	Cl	H	Cl
5-C <sub>6</sub> H <sub>5</sub> O-		O	H	F	F
4-C <sub>6</sub> H <sub>5</sub> -	phenyl	O	H	Br	Br
4-Cl	phenyl	O	F	-CH=CH-CH=CH-	-CH=CH-CH=CH-
2,4-Cl <sub>2</sub>	phenyl	O	Cl	-CH=CH-CH=CH-	-CH=CH-CH=CH-
H	phenyl	O	Cl	Cl	Cl

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TABLE 23

Representative Heterocyclic Nitrogen - Containing Compounds



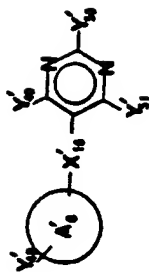
Y' <sub>15</sub> -	A' <sub>6</sub> -	X' <sub>13</sub> -	Y' <sub>16</sub> -	Y' <sub>17</sub> -	Y' <sub>18</sub> -
2,4-Cl <sub>2</sub>	phenyl	O	Cl	H	H
2,4-Cl <sub>2</sub>	phenyl	O	Cl	CH <sub>3</sub>	H
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl	H
3,5-Cl <sub>2</sub>	phenyl	O	F	F	H
4-Cl	phenyl	S	Cl	Cl	H
3,4-Cl <sub>2</sub>	phenyl	O	Br	Br	H
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl	Cl
H	1-naphthyl	O	Cl	Cl	Cl
4-C <sub>6</sub> H <sub>5</sub> O-	phenyl	S	Cl	Cl	Cl
H	phenyl	O	Cl	Cl	Cl

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TABLE 24

Representative Heterocyclic Nitrogen - Containing Compounds

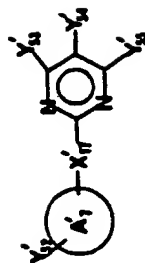


Y'48-	A'6-	X'16-	Y'49-	Y'50-	Y'51-
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl	Cl
4-NO <sub>2</sub>	phenyl	O	Cl	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl	Cl
4-NO <sub>2</sub>	phenyl	O	F	F	F
4-NO <sub>2</sub>	phenyl	O	Br	Br	Br
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	S	Cl	Cl	Cl
H	phenyl	CH <sub>2</sub>	Cl	Cl	CH <sub>3</sub>

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TABLE 25

Representative Heterocyclic Nitrogen - Containing Compounds

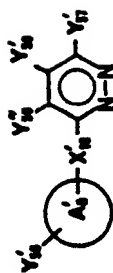


Y'52-	A'7-	X'17-	Y'53-	Y'54-	Y'55-
4-(CH <sub>3</sub> CH(CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub> )-)	phenyl	O	Cl	H	Cl
H	phenyl	-CH <sub>2</sub> CH <sub>2</sub> -	Cl	Cl	Cl
H	phenyl	O	Cl	Cl	Cl

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TABLE 26

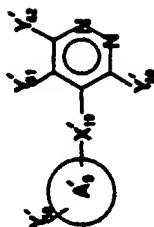
Representative Heterocyclic Nitrogen - Containing Compounds



Y'56-	A'8-	E'10-	Y'57-	Y'58-	Y'59-
3-Cl	phenyl	O	Cl	H	H
2,4-Cl <sub>2</sub>	phenyl	O	Cl	H	H
3,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl	H
4-Cl	phenyl	S	Cl	Cl	Cl
3,4-(CH <sub>3</sub> ) <sub>2</sub>	phenyl	O	F	F	F
H	1-naphthyl	O	Br	Br	Br
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl	H

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TABLE 27

Representative Heterocyclic Nitrogen - Containing Compounds

Y'59-	A'9-	X'19-	Y'60-	Y'61-	Y'62-
2,4-Cl <sub>2</sub>	phenyl	O	Cl	H	Cl
4-Cl-C <sub>6</sub> H <sub>4</sub> O-	phenyl	O	H	Cl	Cl
2-CH <sub>3</sub> -4-Cl	phenyl	S	Cl	H	Cl

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TABLE 28

Representative Heterocyclic Nitrogen - Containing Compounds



A'10-A'20-	A'11-	Y'63-	Y'64-
4-(4'-ClC <sub>6</sub> H <sub>4</sub> O-)		3-Cl	5-Cl
4-(3',4'-Br <sub>2</sub> C <sub>6</sub> H <sub>3</sub> NH-)		5-F	3-H
3-(2',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -)		5-Cl	4-CCl <sub>3</sub>
1-(4'-O <sub>2</sub> N-C <sub>6</sub> H <sub>5</sub> -)		3,5-Cl <sub>2</sub>	4-H
4-(2'-naphthoxy-)		3,5-F <sub>2</sub>	1-CH <sub>3</sub>
1-[4'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> O-]		3,4,5-Cl <sub>3</sub>	-

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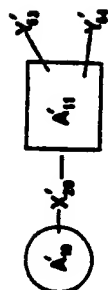
TABLE 28 (Cont.)  
 Representative Heterocyclic Nitrogen - Containing Compounds



A'10-A'20-	A'11-	Y'63-	Y'64-
4-(C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> O-)		2,5-Cl <sub>2</sub>	-
4-C <sub>6</sub> H <sub>5</sub> -		2,5-F <sub>2</sub>	-
4-(4'-Cl-C <sub>6</sub> H <sub>4</sub> -)		2-F	5-H
5-(3'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> -)		2,4-Cl <sub>2</sub>	-
4-(4'-Cl-C <sub>6</sub> H <sub>4</sub> -)		2-F	5-H
4-(3-C <sub>6</sub> H <sub>5</sub> (CH <sub>2</sub> ) <sub>3</sub> -)		2,5-Cl <sub>2</sub>	-

TABLE 28 (Cont.)

## Representative Heterocyclic Nitrogen - Containing Compounds



A'10=A'20-	A'11-	Y'63-	Y'64-
5-[3-O <sub>2</sub> NC <sub>6</sub> H <sub>4</sub> -]		2-Cl	4-NO <sub>2</sub>
4-C <sub>6</sub> H <sub>5</sub>		2,5-Cl <sub>2</sub>	1-C <sub>2</sub> H <sub>5</sub>
5-[3',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> O-]		2-F	4-CCl <sub>3</sub> -1-H-
4-[4'-Cl-C <sub>6</sub> H <sub>4</sub> CH=CH-]		2,5-Cl <sub>2</sub>	1-H
5-[3-Cl-C <sub>6</sub> H <sub>4</sub> -]		3-Cl	1-H
5-(C <sub>6</sub> H <sub>5</sub> CO-)		3-Cl	1-CH <sub>3</sub>

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TABLE 2B (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds

$A'_{10}-A'_{20}-$	$A'_{11}-$	$Y'_{63}-$	$Y'_{64}-$
1-( $C_6H_5CH_2-$ )		3,5-F <sub>2</sub>	-
3-(4-Cl- $C_6H_4-$ )		5-F	-
3-(1-naphthoxy)		5-Cl	-
2-(2',4'-Cl <sub>2</sub> - $C_6H_3O-$ )		5-F	-
2-(3',4'-(O <sub>2</sub> N) <sub>2</sub> $C_6H_3-$ )		5-F	-
3-(3',5'-Cl <sub>2</sub> - $C_6H_3-S-$ )		5-F	-



TABLE 2B (Cont.)

## Representative Heterocyclic Nitrogen - Containing Compounds



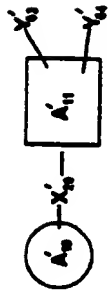
A'10-A'20-	A'11-	Y'63-	Y'64-
3-C <sub>6</sub> H <sub>5</sub> CO-		5-Cl	-
2-[1-naphthyl-C-]		5-F	-
2-[4'-C <sub>6</sub> H <sub>4</sub> -C-]		5-Br	-
2-[3'-O <sub>2</sub> N-C <sub>6</sub> H <sub>4</sub> -C-]		5-Cl	-
5-[		3-F	-
6-[3'-BrC <sub>6</sub> H <sub>4</sub> O-]		3-F	-

TABLE 2B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



A'10-A'20-	A'11-	Y'63-	Y'64-
4-(C <sub>6</sub> H <sub>5</sub> S-)		2-Cl	1-H 5,7-(NO <sub>2</sub> ) <sub>2</sub>
6-(3',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> -)		2-F	4,5,7-H <sub>3</sub>
5-(4'-C <sub>6</sub> H <sub>5</sub> -C <sub>6</sub> H <sub>4</sub> -)		2-Cl	5-NO <sub>2</sub>
4-(C <sub>6</sub> H <sub>5</sub> O-)		2-F	6-CH <sub>3</sub> SO <sub>2</sub>
4-(4'-NO <sub>2</sub> C <sub>6</sub> H <sub>4</sub> O-)		2-Cl	5,6,7-H <sub>3</sub>
7-(3',4',5'-Cl <sub>3</sub> -C <sub>6</sub> H <sub>2</sub> CH <sub>2</sub> -)		2-F	4,5,6-H <sub>3</sub>

TABLE 2B (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



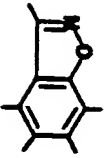
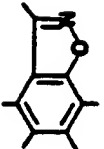
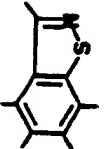

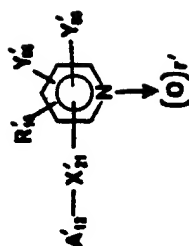
$A'_{10}=A'_{20}-$	$A'_{11}-$	$Y'_{63}-$	$Y'_{64}-$
5-C <sub>6</sub> H <sub>5</sub> -		3-Cl	4,6,7-H <sub>3</sub>
5-{2',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> -}		3-F	4,6,7-H <sub>3</sub>
6-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> -		3-Cl	4-NO <sub>2</sub> -5,7-H <sub>2</sub>
7-{4'-C <sub>6</sub> H <sub>5</sub> -C <sub>6</sub> H <sub>4</sub> ]		3-F	4,5,6-H <sub>3</sub>

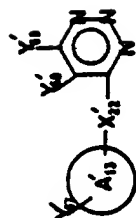
TABLE 29  
Representative Heterocyclic Nitrogen - Containing Compounds



A'12-X'21-	Y'65-	Y'66-	R'16-	R'
6-[2',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> O-]	2-Cl	4-Cl	3,5-H <sub>2</sub>	0
5-[4'-ClC <sub>6</sub> H <sub>4</sub> O-]	2-Cl	4-Cl	3-H-6-Cl	0
4-C <sub>6</sub> H <sub>5</sub> O-	2-Cl	6-Cl	3,5-H <sub>2</sub>	0
6-[4'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> O-]	2-F	4-F	3,5-H <sub>2</sub>	0
6-[2',4'-(O <sub>2</sub> N) <sub>2</sub> C <sub>6</sub> H <sub>3</sub> NH-]	2-F	4-F	3-H-5-CH <sub>3</sub>	0
4-[4'-ClC <sub>6</sub> H <sub>4</sub> S-]	2-F	6-F	3,5-H <sub>2</sub>	0
5-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> -	2-Cl	4-Cl	3,6-H <sub>2</sub>	0
4-(1-naphthoxy)	2-F	6-Cl	3,5-H <sub>2</sub>	0
4-[2',6'-Cl <sub>2</sub> -4'-pyridinyl-S-]	2-Cl	6-Cl	3,5-H <sub>2</sub>	0
6-[4'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> CH <sub>2</sub> -]	2-F	3-F	4,5-H <sub>2</sub>	0
5-[2',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> O-]	2-Cl	3-Cl	4-H	1
4-[4'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> O-]	2-F	6-F	3,5-H <sub>2</sub>	1
6-[3',4',5'-Br <sub>3</sub> C <sub>6</sub> H <sub>2</sub> NH-]	2-F	5-F	3,4-H <sub>2</sub>	1
6-C <sub>6</sub> H <sub>5</sub> O-	2-Cl	-	3-NO <sub>2</sub> -4,5-H <sub>2</sub>	0
4-[3',5'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> S]	2-F	3-CN	5,6-H <sub>2</sub>	0
4-[2',4'-Cl <sub>2</sub> C <sub>6</sub> H <sub>3</sub> O-]	2-Cl	-	6-CCl <sub>3</sub> -3,5-H <sub>2</sub>	0
5-[3'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> O-]	2-Cl	6-Cl	3-CCl <sub>3</sub> -4-H	0



TABLE 30  
Representative Heterocyclic Nitrogen - Containing Compounds





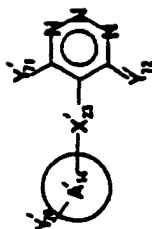
Y'67-	A'13-	A'22-	Y'68-	Y'69-
H	phenyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl
4-Br	phenyl	O	Cl	Cl
3-NO <sub>2</sub>	phenyl	S	Cl	Cl
2-CN	phenyl	O	Cl	Cl
4-(4'-ClC <sub>6</sub> H <sub>4</sub> O)	phenyl	O	Cl	Cl
4-Cl	phenyl	NH	F	F
5-C <sub>2</sub> H <sub>5</sub>	2-pyridyl	NH	Cl	Cl
5-Cl		O	Cl	Cl
5-Br		S	Br	Br
H	1-naphthyl	O	Cl	Cl
4-Cl	phenyl	CH <sub>2</sub>	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	single bond	Cl	Cl
H	phenyl	-C≡C-	Cl	Cl

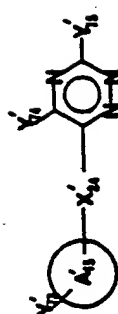
TABLE 31

Representative Heterocyclic Nitrogen - Containing Compounds



Y <sup>1</sup> 70-	A <sup>1</sup> 14-	X <sup>1</sup> 23-	Y <sup>1</sup> 71-	Y <sup>1</sup> 72-
H	phenyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl
4-Br	phenyl	O	Cl	Cl
3-NO <sub>2</sub>	phenyl	S	Cl	Cl
2-CN	phenyl	O	Cl	Cl
4-(4'-ClC <sub>6</sub> H <sub>4</sub> O)	phenyl	O	Cl	Cl
4-Cl	phenyl	NH	F	F
5-C <sub>2</sub> H <sub>5</sub>	2-pyridyl	NH	Cl	Cl
5-Cl		O	Cl	Cl
5-Br		S	Br	Br
H	1-naphthyl	O	Cl	Cl
4-Cl	phenyl	CH <sub>2</sub>	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	single bond	Cl	Cl
H	phenyl	-CaC-	Cl	Cl

TABLE 32  
Representative Heterocyclic Nitrogen - Containing Compounds

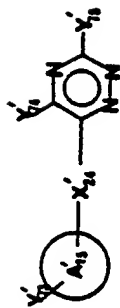


Y'73-	A'15-	A'24-	Y'74-	Y'75-
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl
4-NO <sub>2</sub>	phenyl	O	Cl	Cl
4-CN	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	S	Cl	Cl
4-Cl	1-naphthyl	O	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> O	phenyl	NH	Cl	Cl
5-Cl		S	Br	Br
5-C <sub>2</sub> H <sub>5</sub>		NH	Cl	Cl
H	phenyl	O	Cl	Cl
5-Cl		O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	NH	Cl	Cl
4-(4'-ClC <sub>6</sub> H <sub>4</sub> O)	phenyl	O	Cl	Cl
4-Cl	phenyl	CH <sub>2</sub>	Cl	Cl



TABLE 32 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



Y'73-	A'15-	X'24-	Y'74-	Y'75-
2,4-Cl <sub>2</sub>	phenyl	single bond	Cl	Cl
H	phenyl	-C≡C-	Cl	Cl

TABLE 32  
Representative Heterocyclic Nitrogen - Containing Compounds




Y'76-	A'16-	A'25-	Y'77-	Y'78-
H	phenyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl
4-HO <sub>2</sub>	phenyl	O	Cl	Cl
4-CN	phenyl	O	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	S	Cl	Cl
4-Cl	1-naphthyl	O	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	NH	Cl	Cl
5-Cl		S	Br	Br
5-C <sub>2</sub> H <sub>5</sub>		NH	Cl	Cl
5-Cl		O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	NH	Cl	Cl

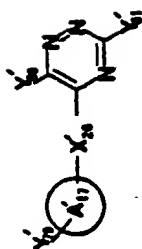


TABLE 33 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



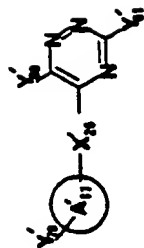
Y'76-	A'16-	X'25-	Y'77-	Y'78-
4-(4'-ClC <sub>6</sub> H <sub>4</sub> O)	phenyl	O	Cl	Cl
4-Cl	phenyl	CH <sub>2</sub>	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	single bond	Cl	Cl
H	phenyl	-C≡C-	Cl	Cl

TABLE 34  
Representative Heterocyclic Nitrogen - Containing Compounds



Y <sup>17</sup> -	A <sup>11</sup> -	X <sup>26</sup> -	Y <sup>18</sup> -	Y <sup>18</sup> -
3,5-Cl <sub>2</sub>	phenyl	O	Cl	Cl
4-NO <sub>2</sub>	phenyl	O	Cl	Cl
4-CN	phenyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	NH	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl	Cl
3-C <sub>6</sub> H <sub>5</sub> O	phenyl	NH	Cl	Cl
2,6-Cl <sub>2</sub>	phenyl	-CH-NO-	Cl	Cl
3,5-Cl <sub>2</sub>	phenyl	S	Cl	Cl
2-NO <sub>2</sub>	phenyl	NH	Cl	Cl
2,3-(CH <sub>2</sub> ) <sub>4</sub>	phenyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	F	F
3,5-Cl <sub>2</sub>	phenyl	O	Br	Br
2,5-Cl <sub>2</sub>	phenyl	O	F	F
3,5-Cl <sub>2</sub>	phenyl	S	Br	Br
2-Cl-2,3-(CH <sub>2</sub> ) <sub>4</sub> -	phenyl	O	Cl	Cl
4-(4'-C <sub>6</sub> H <sub>5</sub> O-C <sub>6</sub> H <sub>4</sub> )-	phenyl	O	Cl	Cl

TABLE 34 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds





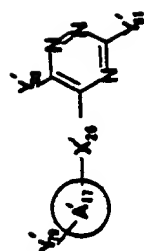
Y <sub>1</sub> 79-	A <sub>1</sub> 17-	A <sub>1</sub> 26-	Y <sub>1</sub> 80-	Y <sub>1</sub> 81-
2-NO <sub>2</sub>	phenyl	-N <sup>+</sup> (COC <sub>3</sub> H <sub>3</sub> )	Cl	Cl
4-CH <sub>3</sub> S		S	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	S	Cl	Cl
H	1-naphthyl	O	Cl	Cl
2,4-Cl <sub>2</sub>	phenyl	O	CN	CN
H	1-naphthyl	O	OSO <sub>2</sub> CH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	S	CCl <sub>3</sub>	CCl <sub>3</sub>
4-CH <sub>3</sub> O-	phenyl	O	CN	OSO <sub>2</sub> CF <sub>3</sub>
5-C <sub>6</sub> H <sub>5</sub> O-	3-pyridyl	S	CN	OCOC <sub>2</sub> H <sub>5</sub>
2,4-Cl <sub>2</sub>	phenyl	O	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
2,6-(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>		O	-N <sup>+</sup> (CH <sub>3</sub> ) <sub>3</sub>	CN
3,4,5-Br <sub>3</sub>	phenyl	S	CF <sub>3</sub>	CCl <sub>3</sub>

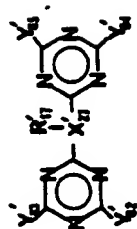
TABLE 34 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'79-	A'17-	A'26-	Y'80-	Y'81-
		0	NO <sub>2</sub>	$\begin{matrix} O \\   \\ OCC_2H_5 \end{matrix}$
2,4-Cl <sub>2</sub>	phenyl	0	Cl	OCH <sub>3</sub>
4-Cl	phenyl	0	Cl	CN
3,5-Br <sub>2</sub>	phenyl	0	Cl	OCH <sub>2</sub> CF <sub>3</sub>
3-NO <sub>2</sub>	phenyl	0	Cl	SC <sub>2</sub> H <sub>5</sub>
2,4,5-Cl <sub>3</sub>	phenyl	0	Cl	SO <sub>2</sub> CH <sub>3</sub>
4-CF <sub>3</sub>	phenyl	0	OCH <sub>2</sub> CF <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>
3-CN	phenyl	0	OCH <sub>2</sub> CF <sub>3</sub>	Cl
3-C <sub>6</sub> H <sub>5</sub> O	phenyl	0	CH <sub>3</sub> S-	Cl
3,4,5-(CH <sub>3</sub> ) <sub>3</sub> -	phenyl	0	CCl <sub>3</sub>	Cl
3,5-Cl <sub>2</sub>	phenyl	0	CH <sub>3</sub> O-	Cl
3,5-Cl <sub>2</sub>	phenyl	0	Cl	CH <sub>3</sub> O-
2,4-Cl <sub>2</sub>	phenyl	S	F	(CH <sub>3</sub> ) <sub>2</sub> N-
4-C <sub>6</sub> H <sub>5</sub> O-	phenyl	0	NO <sub>2</sub>	Cl
4-CH <sub>3</sub> S-	phenyl	0	Cl	Cl
2,4-F <sub>2</sub>	phenyl	0	Cl	-Cl

TABLE 35

Representative Heterocyclic Nitrogen - Containing Compounds



Y'82-	Y'83-	X'27-	R'17-	Y'84-	Y'85-
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl			--	Cl	Cl
Cl			--	Cl	Cl
Cl			--	Cl	Cl
Cl			--	Cl	Cl

TABLE 35 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

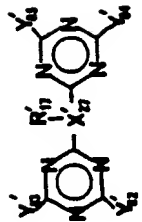
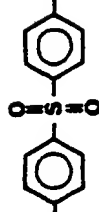


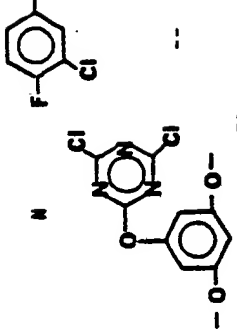
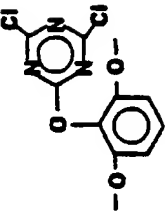
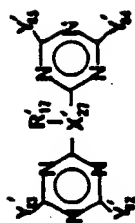
		Y'82-	Y'83-	X'27-	R'17-	Y'84-	Y'85-
Cl	Cl		Cl	H	H	Cl	Cl
Cl	Cl	H	H		Cl	Cl	Cl
Cl	Cl	H	H		Cl	Cl	Cl
Cl	Cl	H		Cl	Cl	Cl	Cl
Cl	Cl		Cl	Cl	Cl	Cl	Cl



TABLE 35 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'62-	Y'83-	A'27-	R'17-	Y'84-	Y'85-
Cl	Cl	-NH-NH-	--	Cl	Cl
Cl	Cl	-N=N-	--	Cl	Cl
Cl	Cl	-S-	--	Cl	Cl
Cl	Cl	-S-	--	Cl	Cl
		0			
Cl	Cl	-SO <sub>2</sub>	--	Cl	Cl
Cl	Cl	single bond	--	Cl	Cl
Cl	Cl	-S-S-	--	Cl	Cl
Cl	Cl	-O-	--	Cl	Cl
Cl	Cl	-C-	--	Cl	Cl
		0			
Cl	Cl	-C≡C-	--	Cl	Cl
Cl	Cl	-C-NH-	--	Cl	Cl
		0			
Cl	Cl		--	Cl	Cl

TABLE 35 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

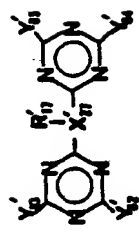
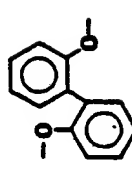



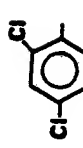

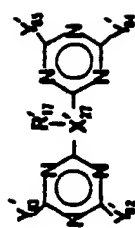
		Y'82-	Y'83-	R'17-	Y'84-	Y'85-
		Cl	Cl		Cl	Cl
		Cl	Cl	CH <sub>3</sub> CO-	Cl	Cl
		Cl	Cl		Cl	Cl
		Cl	Cl		Cl	Cl
		Cl	Cl		Cl	Cl
		Cl	Cl		Cl	Cl
		Cl	Cl		Cl	Cl

TABLE 35 (Cont.)

## Representative Heterocyclic Nitrogen - Containing Compounds

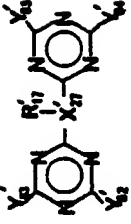
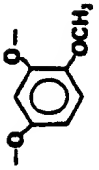
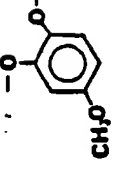
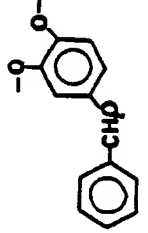
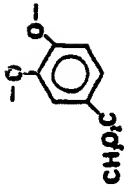
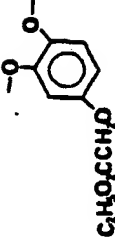
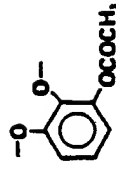
|--|--|--|--|--|--|--|

TABLE 35 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

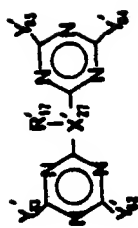


Y'82-	Y'83-	A'27-	R'17-	Y'84-	Y'85-
Cl	Cl		CH3O-	Cl	Cl
Cl	Cl		-	Cl	Cl
Cl	Cl		-	Cl	Cl
Cl	Cl		-	Cl	Cl
Cl	Cl		-	Cl	Cl
Cl	Cl		-	Cl	Cl
Cl	Cl		-	Cl	Cl

TABLE 35 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

					
Y'82-	Y'83-	R'17-	Y'84-	Y'85-	R'17-
Cl	Cl	--	Cl	Cl	
Cl	Cl	--	Cl	Cl	
Cl	Cl	--	Cl	Cl	
Cl	Cl	--	Cl	Cl	
Cl	Cl	--	Cl	Cl	
Cl	Cl	--	Cl	Cl	

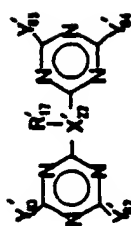
5



Y'82-	Y'83-	N'27-	R'17-	Y'84-	Y'85-
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	f	Cl

TABLE 35 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



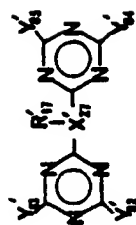
Y'82-	Y'83-	X'27-	R'17-	Y'84-	Y'85-
Cl	Cl		--	F	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl





TABLE 35 (Cont.)

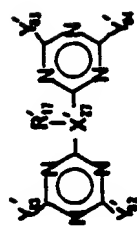
Representative Heterocyclic Nitrogen - Containing Compounds



Y'82-	Y'83-	X'27-	R'17-	Y'84-	Y'85-
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl

TABLE 35 (Cont.)

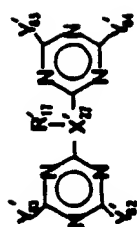
Representative Heterocyclic Nitrogen -- Containing Compounds



Y'82-	Y'83-	Z'27-	R'17-	Y'84-	Y'85-
Cl	Cl	$-\text{OCH}_2\text{CH}_2\text{C}(=\text{O})\text{O}-$ 	--	Cl	Cl
Cl	Cl	 $-\text{NHCH}_2\text{CH}_2\text{NH}-$ 	--	Cl	Cl
Cl	Cl	$-\text{OCH}_2\text{CH}_2\text{O}-$  $-\text{C}(=\text{O})\text{O}-$ 	--	Cl	Cl
Cl	Cl	$-\text{OCH}_2\text{CH}_2\text{OCH}_2\text{O}-$ 	--	Cl	Cl
Cl	Cl	$-\text{O}(\text{CH}_2\text{CH}_2\text{O})_3\text{CH}_2\text{O}-$ 	--	Cl	Cl
Cl	Cl	$-\text{O}(\text{CH}_2\text{CH}_2\text{O})_4-$ 	--	Cl	Cl

TABLE 35 (Cont.,)

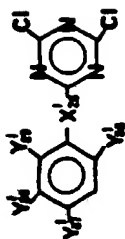
Representative Heterocyclic Nitrogen - Containing Compounds



Y'82-	Y'83-	X'17-	R'17-	Y'84-	Y'85-
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
Cl	Cl		--	Cl	Cl
CH3	Cl		--	Cl	Cl

TABLE 36

Representative Heterocyclic Nitrogen - containing Compounds

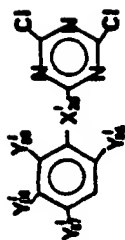


Y'86-	Y'87-	Y'88-	Y'89	Y'28-
H		H	H	O
H		-CH=CH-CH=CH-		O
H	n-C7H15O-	H	H	O
H	H		H	O
H	n-C9H19-	H	H	O
H		H	H	O
H		H	H	O

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TABLE 36 (Cont.)

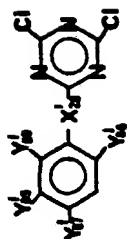
Representative Heterocyclic Nitrogen - Containing Compounds



Y'86-	Y'87-	Y'88-	Y'89	X'28-
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O
Cl		H	Cl	O
H		H	H	O

SUBSTITUTE SHEET

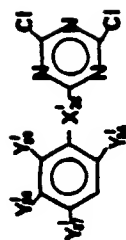
TABLE 36 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Y'86-	Y'87-	Y'88-	Y'89	X'28-
Cl		H	Cl	O
H		H	H	O
Cl		H	Cl	O
Cl		H	Cl	O
H		H	H	O
H		H	H	O

SUBSTITUTE SHEET

TABLE 36 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

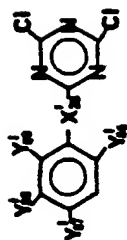


Y'86-	Y'87-	Y'88-	Y'89	X'28-
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O

SUBSTITUTE SHEET

TABLE 36 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



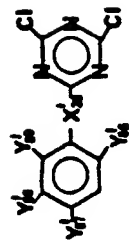
Y'86-	Y'87-	Y'88-	Y'89	X'28-
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O
H		H	H	O
CH <sub>3</sub>		H	H	S

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TABLE 36 (Cont.)

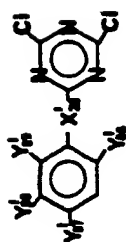
Representative Heterocyclic Nitrogen - Containing Compounds



Y'86-	Y'87-	Y'88-	Y'89	X'28-
H		H	H	O
H		H	H	SO2
H		CH3	H	O
H		H	H	O
H		H	H	O
H		H	H	O

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TABLE 36 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

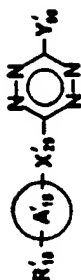


Y'86-	Y'87-	Y'88-	Y'89	Y'28-
H			H	S
H		H	H	NH
H	$\text{CH}_3\text{CH}_2(\text{CH}_2)_5\text{CH}_2-$	Cl	Cl	O
Cl	$\text{CH}_3\text{OCH}_2\text{CH}_2\text{OC}(=\text{O})\text{CH}_2\text{CH}_2\text{C}(=\text{O})\text{O}-$	Cl	H	NH
H		H	H	O
H	$n\text{-C}_3\text{H}_7\text{O}-\text{C}_6\text{H}_4-\text{C}(\text{CH}_3)_2-$	H	H	O

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TABLE 37

Representative Heterocyclic Nitrogen - Containing Compounds



R' <sub>10</sub> -	A' <sub>10</sub> -	X' <sub>10</sub> -	Y' <sub>10</sub>
H	phenyl	O	Cl
4-Cl	phenyl	O	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl
3-CN	phenyl	O	Cl
2,4-(CH <sub>3</sub> ) <sub>2</sub>	phenyl	O	Cl
2-CH <sub>3</sub> -4-Cl	phenyl	O	Cl
4-C <sub>6</sub> H <sub>5</sub> O-	phenyl	O	Cl
3-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> O-	phenyl	O	Cl
3,5-Cl <sub>2</sub>	phenyl	O	Cl
2,4,5-Br <sub>3</sub>	phenyl	S	Cl
2,4-Cl <sub>2</sub>	phenyl	S	Cl
3-(4-Cl-C <sub>6</sub> H <sub>4</sub> )-	phenyl	S	Cl
4-Cl	phenyl	NH	Cl
4-Cl	phenyl	NH	F
3,4,5-(CH <sub>3</sub> ) <sub>3</sub>	phenyl	NH	F
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	NH	F
3-NO <sub>2</sub>	phenyl	O	F
3,4-Cl <sub>2</sub>	phenyl	CH <sub>2</sub>	Cl

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TABLE 37 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

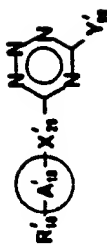


R'10-	A'10-	X'29-	Y'90
2,4-Cl <sub>2</sub>	phenyl	single bond	Cl
H	phenyl	single bond	Cl
4-(C <sub>6</sub> H <sub>5</sub> O)-	phenyl	single bond	F
4-CF <sub>3</sub>	phenyl	-CONH-	Cl
3-Cl	phenyl	-C≡C-	Cl
H	1-naphthyl	O	Cl
4-Cl	1-naphthyl	O	Cl
5,6,7,8-H <sub>4</sub>	1-naphthyl	O	F
6-CH <sub>3</sub>	3-pyridinyl	O	F
3-Cl	4-pyridinyl	O	Cl
5-Cl	2-thienyl	O	Cl
3-Cl	phenyl	O	Br
H	2-benzoxazolyl	NH	Br
H	2-naphthyl	O	Cl
2,4-Cl <sub>2</sub>	phenyl	-CH <sub>2</sub> O-	Cl

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TABLE 3B

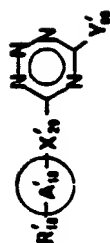
Representative Heterocyclic Nitrogen - Containing Compounds:



R'18-	A'18-	X'25-	Y'90
H	phenyl	O	Cl
H	phenyl	S	Cl
4-Cl	phenyl	O	Cl
3,5-Cl <sub>2</sub>	phenyl	S	Cl
4-C <sub>6</sub> H <sub>5</sub> O-	phenyl	O	Cl
2,4-Br <sub>2</sub>	phenyl	S	Cl
4-CH <sub>3</sub>	phenyl	O	F
3-(4-Cl-C <sub>6</sub> H <sub>4</sub> O)	phenyl	O	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	NH	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	NH	F
2,4-Cl <sub>2</sub>	phenyl	CH <sub>2</sub>	Cl
2,4,5-Cl <sub>3</sub>	phenyl	single bond	Cl
4-CH <sub>3</sub> O-	phenyl	single bond	Cl
3,5-(CH <sub>3</sub> O) <sub>2</sub>	phenyl	O	Cl
2-Br-4-Cl	phenyl	O	Br
3-Cl-4-CH <sub>3</sub> CH <sub>2</sub> O	phenyl	-CH <sub>2</sub> CH <sub>2</sub> -	Cl
3-CH <sub>3</sub> CH <sub>2</sub> O-4-CH <sub>3</sub>	phenyl	-CONH-	Cl
H	1-naphthyl	O	Cl

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TABLE 3B (Cont.)  
Representative Heterocyclic Nitrogen -- Containing Compounds



R'18-	A'18-	X'29-	Y'90
H	2-naphthyl	O	Cl
5-CH <sub>3</sub> CH <sub>2</sub>	3-pyridinyl	-NH-	F
4-Br	2-thienyl	O	Cl
4-CH <sub>3</sub>	2-thiazolyl	-NH-	F
H	phenyl	-C≡C-	Cl
2,4-Cl <sub>2</sub>	phenyl	-CH <sub>2</sub> O-	Cl
H	phenyl	-CH <sub>2</sub> O-	Cl
5,6,7,8-H <sub>4</sub>	1-naphthyl	O	Cl
3,4,5-Cl <sub>3</sub>	phenyl	CH <sub>2</sub>	Br

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TABLE 39

Representative Heterocyclic Nitrogen - Containing Compounds



R'18-	A'18-	A'29-	Y'90
H	phenyl	O	Cl
2,4-Cl <sub>2</sub>	phenyl	O	Cl
2,6-Cl <sub>2</sub>	phenyl	O	Cl
3-C <sub>6</sub> H <sub>5</sub> O-	phenyl	O	Cl
4-C <sub>6</sub> H <sub>5</sub> CH <sub>2</sub> -	phenyl	O	Cl
3,4-(CH <sub>3</sub> ) <sub>2</sub>	phenyl	S	Cl
3,5-Cl <sub>2</sub>	phenyl	S	Cl
4-(4-ClC <sub>6</sub> H <sub>4</sub> O)-	phenyl	S	Cl
2,4-(CH <sub>3</sub> O) <sub>2</sub>	phenyl	O	Cl
3,4-OCH <sub>2</sub> O-	phenyl	O	Cl
2,3-OCH <sub>2</sub> O-	phenyl	NH	F
4-Cl	phenyl	NH	F
3-NO <sub>2</sub>	phenyl	O	F
2-NO <sub>2</sub>	phenyl	O	Cl
3-CH <sub>3</sub> CH <sub>2</sub> -	phenyl	O	Cl
H	phenyl	CH <sub>2</sub>	Cl
H	phenyl	single bond	Cl
3,5-Br <sub>2</sub>	phenyl	single bond	Cl

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TABLE 39 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

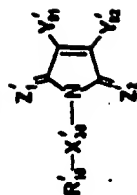


R'18-	A'18-	A'29-	Y'90
2-CH <sub>3</sub> -4-Cl	phenyl	CH <sub>2</sub> O	Cl
3-Cl	phenyl	CH <sub>2</sub>	Br
4-Cl	phenyl	CH <sub>2</sub> O	Br
H	1-naphthyl	O	Cl
H	2-naphthyl	O	Cl
4-Cl	1-naphthyl	O	Cl
4-CH <sub>3</sub>	2-pyridinyl	O	Cl
H	3-pyridinyl	O	F
5-Cl	2-thienyl	O	Cl
5-CH <sub>3</sub>	3-isoxazolyl	NH	F
H	phenyl	-C≡C-	Cl
2,5-Cl <sub>2</sub>	phenyl	O	Br

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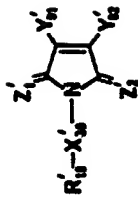


TABLE 40  
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{19}$	$X'_{30}$	$Z'_1$	$Z'_2$	$V'_{91}$	$V'_{92}$
$(CH_3)_2CHCH_2CH_2-$	single bond	0	0	Cl	Cl
$CH_2=CHCH_2-$	single bond	0	0	Cl	Cl
$HCCCH_2-$	single bond	0	0	Cl	Cl
$HC-\overset{\cdot}{\underset{\cdot}{O}}$	single bond	0	0	Cl	Cl
$HN=C-\overset{\cdot}{\underset{\cdot}{NH_2}}$	single bond	0	0	Cl	Cl
$HO-$	single bond	0	0	Cl	Cl

TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

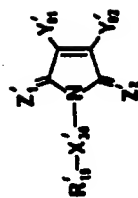


R'19	X'30	Z'1	Z'2	Y'91	Y'92
CH <sub>3</sub> (CH <sub>2</sub> ) <sub>16</sub> C- 0	single bond	0	0	Cl	Cl
H <sub>2</sub> N-C- S	single bond	0	0	Cl	Cl
(HOCH <sub>2</sub> ) <sub>3</sub> C-	single bond	0	0	Cl	Cl
H <sub>2</sub> N-C- 0	single bond	0	0	Cl	Cl
CH <sub>3</sub> OCH <sub>2</sub> CH <sub>2</sub> -	single bond	0	0	Cl	Cl
5-bromo-2-thiazolyl	single bond	0	0	Cl	Cl

9 4 8 8

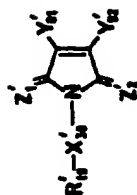
TABLE 40 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



R'19	X'30	Z'1	Z'2	Y'91	Y'92
2-pyridinyl	single bond	0	0	Cl	Cl
5-bromo-2-pyridinyl	single bond	0	0	Cl	Cl
6-methyl-4-pyrimidinyl	single bond	0	0	Cl	Cl
2-benzimidazolyl	single bond	0	0	Cl	Cl
2-(2-indolyl)ethyl	single bond	0	0	Cl	Cl
2-thienylcarboxamido	single bond	0	0	Cl	Cl

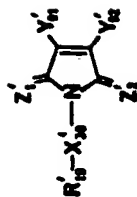
TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'19	X'30	Z'1	Z'2	Y'91	Y'92
2-benzoxazolyl	single bond	0	0	Cl	Cl
2-benzothiazolyl	single bond	0	0	Cl	Cl
3-pyridinylmethyl	single bond	0	0	Cl	Cl
2-pyridinylmethyl	single bond	0	0	Cl	Cl
4-pyridinylmethyl	single bond	0	0	Cl	Cl
3-phenyl-2-propenyl	single bond	0	0	Cl	Cl

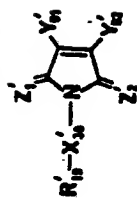
TABLE 4D (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



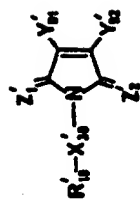
R'19	X'30	Z'1	Z'2	Y'91	Y'92
phenylethynyl	single bond	0	0	Cl	Cl
4-tolylsulfanyl	single bond	0	0	Cl	Cl
3-phenylpropyl	single bond	0	0	Cl	Cl
	single bond	0	0	Cl	Cl
	single bond	0	0	Cl	Cl
phenyl	single bond	0	0	F	F

TABLE 40 (Cont.)  
Representative Heterocyclic Nitronen - Containing Compounds



R'19	X'30	Z'1	Z'2	Y'91	Y'92
2-phenylethyl	single bond	0	0	Br	H
benzenesulfonyl	single bond	0	0	CH3	Cl
benzyl	single bond	0	0	Cl	Br
C2H5	single bond	0	0	F	Cl
cyclohexyl	single bond	0	0	F	Cl
cyclohexyl	single bond	0	0	F	H

TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

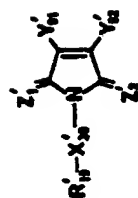


R'19	X'30	Z'1	Z'2	Y'91	Y'92
n-C <sub>3</sub> H <sub>7</sub>	single bond	0	0	Br	H
	-CH <sub>2</sub> CH <sub>2</sub> -	0	0	Cl	Cl
	-CH <sub>2</sub> CH <sub>2</sub> -	0	0	Br	H
	-CH <sub>2</sub> CH <sub>2</sub> -	0	0	Br	Br
4-methyl-2-thiazolyl	-NH-	0	0	Cl	Cl
4-chloro-2-benzothiazolyl	-NH-	0	0	Cl	Cl

- 218 -

TABLE 40 (Cont.)

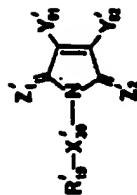
Representative Heterocyclic Nitrogen - Containing Compounds



R'19	X'30	Z'1	Z'2	Y'91	Y'92
3-methyl-5-isoxazolyl	-NH-	0	0	Cl	Cl
5-methyl-3-isoxazolyl	-NH-	0	0	Cl	Cl
3-methyl-5-isothiazolyl	-NH-	0	0	Cl	Cl
5-bromo-3-isothiazolyl	-NH-	0	0	Cl	Cl
5-ethyl-2-(1,3,4-thiadiazolyl)	-NH-	0	0	Cl	Cl
3,5-dimethyl-2-pyrimidinyl	-NH-	0	0	Cl	Cl



TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds




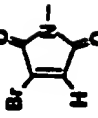

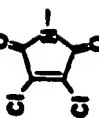
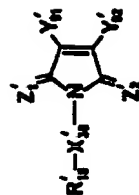
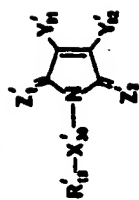
R'19	X'30	Z'1	Z'2	Y'91	Y'92
	-CH2	0	0	Cl	Cl
	-CH2-	0	0	Br	H
	-(CH2)3-	0	0	Cl	Cl
	-(CH2)4-	0	0	Cl	Cl
2-thienyl	-CH2-	0	0	Cl	Cl
2-methoxyphenyl	-NH-	S	S	Cl	H

TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'19	X'30	Z'1	Z'2	Y'91	Y'92
3,4-dichlorophenyl	-NH-	S	S	Cl	Cl
phenyl	-CH2-	S	S	Cl	Cl
4-chlorophenyl	-CH2-	S	S	Cl	CH3
3-methyl-4-chlorophenyl	single bond	S	S	Br	H
phenyl	-CH2-	O	O	Br	Cl
2-furyl	-CH2-	CH3N	O	Cl	Cl

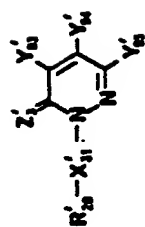
TABLE 40 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'19	K'30	Z'1	Z'2	Y'91	Y'92
1-methyl-3-indolyl	-CH(CH <sub>3</sub> )-	C <sub>2</sub> H <sub>5</sub> N	0	Cl	Cl
3-chlorophenyl	-N(CH <sub>3</sub> )-	0	0	Cl	H
	-CH <sub>2</sub> -	0	0	Cl	Cl
	single bond	0	0	Cl	H
	single bond	0	0	Cl	H

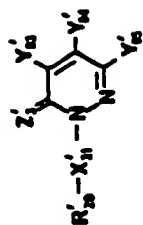
TABLE 41

Representative Heterocyclic Nitrogen - Containing Compounds



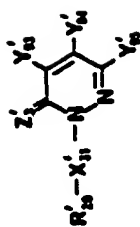
<u>R'20</u>	<u>X'31</u>	<u>Z'3</u>	<u>Y'93</u>	<u>Y'94</u>	<u>Y'95</u>
2,4-dichlorophenyl	single bond	S	Cl	Cl	Cl
2,4-dichlorophenyl	single bond	CH <sub>2</sub>	Cl	Cl	Cl
phenyl	single bond	CH <sub>2</sub>	F	F	F
2,4-dichlorophenyl	single bond	NH	H	H	Br
phenyl	single bond	NCH <sub>3</sub>	F	F	F
4-chlorophenyl	single bond	NH	Cl	Cl	Cl

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



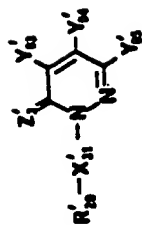
R'20	X'31	Z'3	Y'93	Y'94	Y'95
2,4-dichlorophenyl	single bond	NH	Cl	Cl	Cl
phenyl	-CH <sub>2</sub> CH <sub>2</sub> -	O	Cl	Cl	Cl
phenyl	-CH <sub>2</sub> -	S	Cl	Cl	Cl
phenyl	-CH(CH <sub>3</sub> )-	O	Cl	Cl	Cl
phenyl	-CH <sub>2</sub> -	O	Cl	Cl	Cl
phenyl	-CH=CH-	O	Cl	Cl	Cl

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



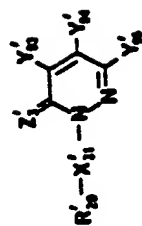
R'20	X'31	Z'3	Y'93	Y'94	Y'95
phenyl	-C≡C-	0	Cl	Cl	Cl
phenyl	-S(=O)-	0	Cl	Cl	Cl
phenyl	-SO2-	0	Cl	Cl	Cl
2-chlorophenyl	-CH2-	MH	Cl	Cl	Cl
1-naphthyl	single bond	0	Cl	Cl	Cl
1-naphthyl(methyl)	single bond	0	Cl	Cl	Cl

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'20	X'31	Z'3	Y'93	Y'94	Y'95
phenyl	single bond	0	H	Cl	Cl
cyclohexyl	single bond	0	Cl	Cl	Cl
n-butyl	single bond	0	Cl	Cl	Cl
	single bond	0	Cl	Cl	Cl
	single bond	0	Cl	Cl	Cl
4-phenoxyphenyl	single bond	0	Cl	Cl	Cl

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

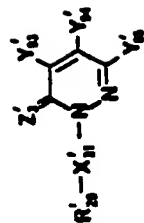


R'20	X'31	Z'3	Y'93	Y'94	Y'95
3-phenoxyphenyl	single bond	O	Cl	Cl	Cl
cyclohexyl	single bond	O	H	H	Br
cyclohexyl	single bond	O	F	F	F
phenyl	-CH2-	O	H	H	Br
H	single bond	O	Br	Br	OSO <sub>2</sub> CH <sub>3</sub>
H	single bond	O	H	H	OSO <sub>2</sub> CH <sub>3</sub>



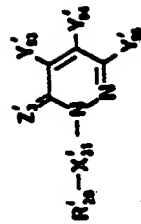
TABLE 41 (cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



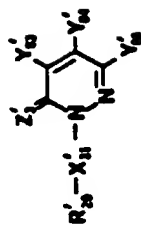
R'20	X'31	Z'3	Y'93	Y'94	Y'95
H	single bond	O	CH <sub>3</sub>	H	OSO <sub>2</sub> CH <sub>3</sub>
phenyl	single bond	O	Br	Br	OSO <sub>2</sub> CH <sub>3</sub>
phenyl	single bond	O	H	OCH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
phenyl	single bond	O	H	OCOCH <sub>3</sub>	OSO <sub>2</sub> CH <sub>3</sub>
cyclohexyl	single bond	O	Cl	Cl	OSO <sub>2</sub> CH <sub>3</sub>
phenyl	single bond	O	Cl	Cl	CN

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



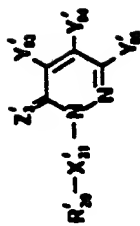
R'20	X'31	Z'3	Y'93	Y'94	Y'95
H	single bond	O	Cl	Cl	OP(-S)(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>
phenyl	single bond	O	Cl	Cl	SCH <sub>3</sub>
2,4-dichlorophenyl	single bond	O	Cl	Cl	CF <sub>3</sub>
phenyl	single bond	O	Cl	Cl	OC <sub>2</sub> H <sub>5</sub>
(C <sub>1</sub> CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> NCH <sub>2</sub> -	single bond	O	Cl	Cl	Cl
phenyl	single bond	O	OCH <sub>3</sub>	Cl	Cl

TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{20}$	$X'_{31}$	$Z'_3$	$Y'_{93}$	$Y'_{94}$	$Y'_{95}$
phenyl	single bond	0		Cl	Cl
4-methylphenyl	single bond	0		H	Cl
phenyl	single bond	0		H	Cl
4-morpholinylmethyl	single bond	0	Cl	Cl	Cl
4-aminophenyl	single bond	0	Cl	Cl	Cl
4-nitrophenyl	single bond	0	Cl	Cl	Cl

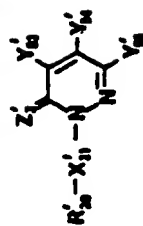
TABLE 41 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



R'20	X'31	Z'3	Y'93	Y'94	Y'95
phenyl	single bond	O	Cl	Cl	Br
phenyl	single bond	O	SCH <sub>2</sub> CONHHCNH <sub>2</sub>	H	Cl
CH <sub>3</sub>	single bond	O	F	F	F
	single bond	O	Cl	Cl	Cl
	CH <sub>2</sub>	O	Cl	Cl	Cl
	CH <sub>2</sub>	S	Cl	Br	Cl

TABLE 41 (Cont.)

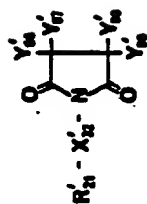
Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{20}$	$X'_{31}$	$Z'_3$	$Y'_{93}$	$Y'_{94}$	$Y'_{95}$
3-chloro-2-pyridinyl	$CH_2$	0	Cl	Cl	Cl
2-benzothiazolyl	single bond	0	Cl	Cl	Cl
5-chloro-2-thienyl	$CH(CH_3)-$	0	Cl	Cl	Cl
5-methyl-3-isoxazolyl	single bond	0	Cl	Cl	Cl
3,5-dimethyl-2-pyrimidinyl	single bond	0	Cl	Cl	Cl
2-furyl	$CH_2$	0	Cl	Cl	Cl

TABLE 42

Representative Heterocyclic Nitrogen - Containing Compounds

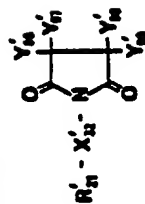


<u>R' 21</u>	<u>X' 32</u>	<u>Y' 96</u>	<u>Y' 97</u>	<u>Y' 98</u>	<u>Y' 99</u>
2-methoxyphenyl	-NH-	Cl	H	H	Cl
3-trifluoromethylphenyl	-CH <sub>2</sub> -	Cl	H	Cl	H
2,4-dichlorophenyl	-CH <sub>2</sub> -	Br	H	H	H
phenyl	-CH(CH <sub>3</sub> )-	Br	Br	H	H
3-aminophenyl	-CH <sub>2</sub> -	Br	Br	H	H
3-fluorophenyl	single bond	Br	Br	H	H
cyclohexyl	single bond	Cl	H	Cl	H

- 233 -

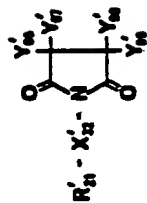
TABLE 42 (Cont.)

Representative Heterocyclic Nitrogen - Containing Compounds



<u>R' 21</u>	<u>X' 32</u>	<u>Y' 96</u>	<u>Y' 97</u>	<u>Y' 98</u>	<u>Y' 99</u>
phenyl	-CONH-	Br	H	Br	H
cyclohexyl	-CH <sub>2</sub> -	Br	H	Br	H
n-propyl	-CH <sub>2</sub> -	Br	H	Br	H
2-chlorophenyl	-NH-	H	H	Br	Br
phenyl	-CH <sub>2</sub> -	H	H	Cl	Cl
phenyl	-CH <sub>2</sub> -	H	Br	Br	H
2-methoxyphenyl	-CH <sub>2</sub> -	H	Br	Br	H

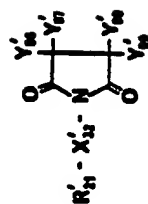
TABLE 42 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



<u>R' 21</u>	<u>X' 32</u>	<u>Y' 96</u>	<u>Y' 97</u>	<u>Y' 98</u>	<u>Y' 99</u>
phenyl	single bond	H	Cl	H	Cl
3-methoxyphenyl	-CH <sub>2</sub> -	Cl	Cl	H	H
3-fluorophenyl	-CH <sub>2</sub> -	Cl	Cl	H	H
3-bromophenyl	-CH <sub>2</sub> -	Cl	H	H	H
2-ethoxyphenyl	-NH-	Cl	Cl	H	H
n-propyl	-CH <sub>2</sub> -	Cl	H	Cl	H
2-furyl	-CH <sub>2</sub> -	Br	H	Br	H



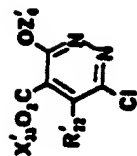
TABLE 42 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



<u>R' 21</u>	<u>X' 32</u>	<u>Y' 96</u>	<u>Y' 97</u>	<u>Y' 98</u>	<u>Y' 99</u>
2-norbornyl	single bond	Cl	H	Br	H
3-pyridinyl	-N(CH <sub>3</sub> )-	F	H	H	Cl
5-isoxazolyl	-NH-	F	Br	H	H
2-naphthyl	-CH(CH <sub>3</sub> )-	Cl	Cl	Cl	H
3,5-dichlorophenyl	-CH <sub>2</sub> CH <sub>2</sub> -	Cl	Cl	Cl	Cl

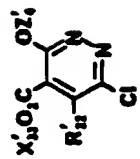
TABLE 43

Representative Heterocyclic Nitrogen - Containing Compounds



$R'_{22}$	$X'_{33}$	$Z'_{44}$
H	$CH_3CH_2$	H
$CH_3$	$CH_3CH_2$	$C_2H_5$
$n-C_4H_9$	$CH_3$	$CH_3$
benzyl	$CH_3CH_2$	H
3-chlorobenzyl	$CH_3$	$COCH_3$
phenyl	H	H
4-chlorophenyl	$n-C_3H_7$	$CH_3$
phenoxy	$CH_3CH_2$	H
phenoxy	$CH_3CH_2$	$COC_2H_5$
1-naphthyl	$CH_3$	$CH_3$

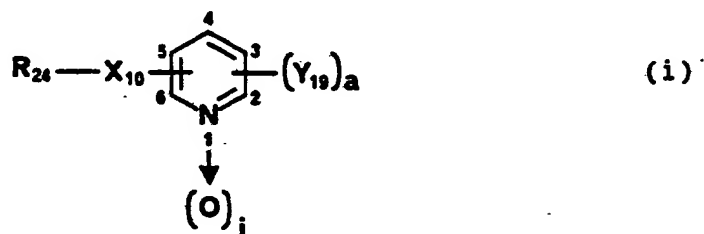
TABLE 43 (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds

			
<u>R'22</u>	<u>X'33</u>	<u>Z'4</u>	
2,4-dichlorophenoxy	CH <sub>3</sub>	OCH <sub>3</sub>	
3-pyridinylmethyl	CH <sub>2</sub> CH <sub>2</sub>	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	
4-chlorothiényloxy	OH	H	

- 238 -

It is appreciated that the particular compounds listed in Tables 1 through 43 hereinabove are illustrative of heterocyclic nitrogen-containing compounds which may be used in reducing transpirational water loss from plants and increasing crop yields according to this invention. This invention is not to be construed as being limited only to the use of these compounds; but rather, this invention includes those heterocyclic nitrogen-containing compounds encompassed within formula 1 hereinabove.

The novel heterocyclic nitrogen-containing compounds of this invention can be depicted by the following formulae:



wherein:

$\text{R}_{24}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$\text{X}_{10}$  represents O, S, SO,  $\text{SO}_2$ , NH,  $-\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{S}-$ ,  $-\text{CH}(\text{CH}_3)\text{O}-$ ,  $-\text{CH}(\text{CN})\text{O}-$ ,  $-\text{CH}=\text{NO}-$ ,  $-\text{C}(\text{CH}_3)=\text{NO}-$ ,  $-\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{CH}_2\text{SO}-$ ,  $-\text{CH}_2\text{SO}_2-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}(\text{alkyl})-$ , or  $-\text{CONH}-$ ;

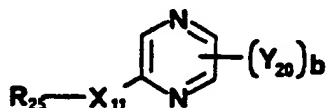
$j$  is a value of 0 or 1;

$a$  is a value of from 2 to 4 inclusive; and

$\text{Y}_{19}$  is the same or different and represents halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl,

- 239 -

alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl; provided that (i) at least two ring position pairs selected from 2 and 4, 2 and 6, 2 and 3, and 3 and 4 are substituted with the same or different halogen; (ii) when ring positions 2,4 and 6 are substituted with chlorine and  $j$  is a value of 0 and  $X_{10}$  is  $SO_2$ , then  $R_{24}$  is not unsubstituted phenyl; and (iii) when ring positions 2,3, and 5 are substituted with chlorine and  $j$  is a value of 1 and  $X_{10}$  is S, then  $R_{24}$  is not unsubstituted phenyl.



(ii)

wherein:

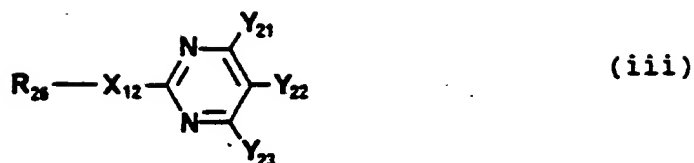
$R_{25}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$X_{11}$  represents O, S, SO,  $SO_2$ , NH,  $CH_2$ , a single covalent bond,  $-CH_2O-$ ,  $-CH_2S-$ ,  $-CH(CH_3)O-$ ,  $-CH(CN)O-$ ,  $-CH=NO-$ ,  $-C(CH_3)=NO-$ ,  $-CH_2CH_2O-$ ,  $-CH_2CH_2-$ ,  $-C\equiv C-$ ,  $-CH_2SO-$ ,  $-CH_2SO_2-$ ,  $-OCH_2CH_2O-$ ,  $-CH(alkyl)-$ , or  $-CONH-$ ;

$b$  is a value of 2 to 3; and

$Y_{20}$  is the same or different and represents halogen, alkyl, cyano, polyhaloalkyl, polyhaloalkoxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl provided that at least two ring position pairs selected from 2 of  $Y_{20}$  are halogen;

- 240 -



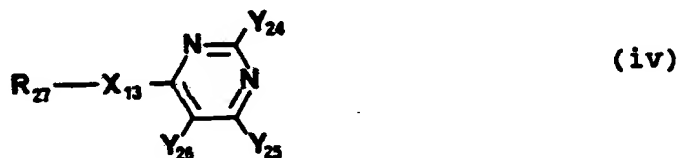
wherein:

$\text{R}_{26}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$\text{X}_{12}$  represents O, S, SO,  $\text{SO}_2$ , NH,  $\text{CH}_2$ , a single covalent bond,  $-\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{S}-$ ,  $-\text{CH}(\text{CH}_3)\text{O}-$ ,  $-\text{CH}(\text{CN})\text{O}-$ ,  $-\text{CH}=\text{NO}-$ ,  $-\text{C}(\text{CH}_3)=\text{NO}-$ ,  $-\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{CH}_2\text{SO}-$ ,  $-\text{CH}_2\text{SO}_2-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}(\text{alkyl})-$ , or  $-\text{CONH}-$ ;

$\text{Y}_{21}$  and  $\text{Y}_{22}$  are independently the same or different halogen; and

$\text{Y}_{23}$  represents hydrogen, halogen, alkyl, polyhaloalkyl, alkoxy, polyhaloalkoxy, cyano, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl;



wherein:

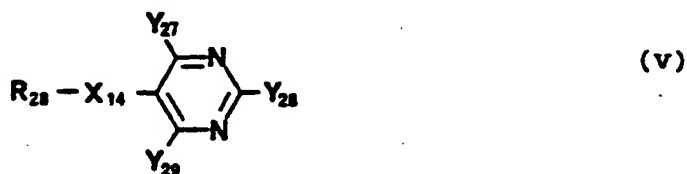
$\text{R}_{27}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$\text{X}_{13}$  represents O, S, SO,  $\text{SO}_2$ , NH,  $\text{CH}_2$ , a single covalent bond,  $-\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{S}-$ ,  $-\text{CH}(\text{CH}_3)\text{O}-$ ,  $-\text{CH}(\text{CN})\text{O}-$ ,  $-\text{CH}=\text{NO}-$ ,  $-\text{C}(\text{CH}_3)=\text{NO}-$ ,  $-\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{CH}_2\text{SO}-$ ,  $-\text{CH}_2\text{SO}_2-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$ ,  $-\text{CH}(\text{alkyl})-$ , or  $-\text{CONH}-$ ;

$\text{Y}_{24}$  represents halogen; and

- 241 -

$Y_{25}$  and  $Y_{26}$  independently represent hydrogen, halogen, alkyl, polyhaloalkyl, alkoxy, polyhaloalkoxy, cyano, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl provided that at least one of  $Y_{25}$  and  $Y_{26}$  is halogen and further provided that when  $Y_{24}$ ,  $Y_{25}$  and  $Y_{26}$  are chloro and  $X_{13}$  is O, then  $R_{27}$  is not unsubstituted phenyl;



wherein:

$R_{28}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$X_{14}$  represents O, S, SO,  $SO_2$ , NH,  $CH_2$ , a single covalent bond,  $-CH_2O-$ ,  $-CH_2S-$ ,  $-CH(CH_3)O-$ ,  $-CH(CN)O-$ ,  $-CH=NO-$ ,  $-C(CH_3)=NO-$ ,  $-CH_2CH_2O-$ ,  $-CH_2CH_2-$ ,  $-C\equiv C-$ ,  $-CH_2SO-$ ,  $-CH_2SO_2-$ ,  $-OCH_2CH_2O-$ ,  $-CH(alkyl)-$ , or  $-CONH-$ ;

$Y_{27}$  and  $Y_{28}$  are independently halogen;

and

$Y_{29}$  represents hydrogen, halogen, alkyl, polyhaloalkyl, alkoxy, polyhaloalkoxy, cyano, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl;



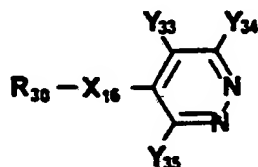
- 242 -

wherein:

$R_{29}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$X_{15}$  represents O, S, SO, SO<sub>2</sub>, NH, CH<sub>2</sub>, a single covalent bond, -CH<sub>2</sub>O-, -CH<sub>2</sub>S-, -CH(CH<sub>3</sub>)O-, -CH(CN)O-, -CH=NO-, -C(CH<sub>3</sub>)=NO-, -CH<sub>2</sub>CH<sub>2</sub>O-, -CH<sub>2</sub>CH<sub>2</sub>-, -C≡C-, -CH<sub>2</sub>SO-, -CH<sub>2</sub>SO<sub>2</sub>-, -OCH<sub>2</sub>CH<sub>2</sub>O-, -CH(alkyl)-, or -CONH-; and

$Y_{30}$ ,  $Y_{31}$  and  $Y_{32}$  independently represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or polyhaloalkylsulfonyl provided that at least 2 of  $Y_{30}$ ,  $Y_{31}$  and  $Y_{32}$  are halogen;



(vii)

wherein:

$R_{30}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

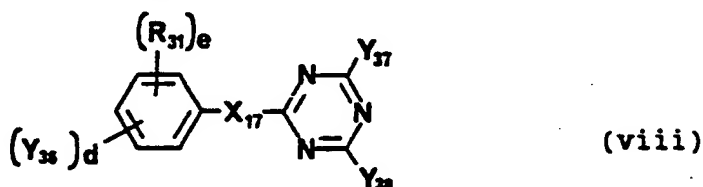
$X_{16}$  represents O, S, SO, SO<sub>2</sub>, NH, CH<sub>2</sub>, a single covalent bond, -CH<sub>2</sub>O-, -CH<sub>2</sub>S-, -CH(CH<sub>3</sub>)O-, -CH(CN)O-, -CH=NO-, -C(CH<sub>3</sub>)=NO-, -CH<sub>2</sub>CH<sub>2</sub>O-, -CH<sub>2</sub>CH<sub>2</sub>-, -C≡C-, -CH<sub>2</sub>SO-, -CH<sub>2</sub>SO<sub>2</sub>-, -OCH<sub>2</sub>CH<sub>2</sub>O-, -CH(alkyl)-, or -CONH-; and

$Y_{33}$ ,  $Y_{34}$  and  $Y_{35}$  independently represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl or



- 243 -

polyhaloalkylsulfonyl provided that (i) at least 2 of  $Y_{33}$ ,  $Y_{34}$  and  $Y_{35}$  are halogen, (ii) when  $Y_{34}$  and  $Y_{35}$  are both chloro and  $X_{16}$  is O, then  $R_{30}$  is not unsubstituted phenyl, and (iii) when  $Y_{33}$  and  $Y_{34}$  are both chloro and  $X_{16}$  is O, the  $R_{30}$  is not unsubstituted phenyl or 4-methoxyphenyl;



wherein:

$d$  is a value of from 0 to 4 inclusive;

$e$  is a value of 1 or 2 provided that  $d$  and  $e$  are not greater than 5;

$R_{31}$  is the same or different and represents unsubstituted or substituted aryl provided that when  $R_{31}$  is 2- or 4-aryl then  $d$  is not O, aralkyl provided that when  $R_{31}$  is R-aralkyl then  $d$  is not O, alkoxy, cycloalkoxy, aryloxy, aralkoxy provided that when  $R_{31}$  is 4-aralkoxy then  $d$  is not O, arylaryloxy, aralkoxyaralkyl, arylaralkoxy, aryloxyaralkyl, aryloxyalkyl, aryloxyaryloxy, aralkoxyaralkoxy, aryloxyalkoxy, alkylthio, alkenylthio, arylthio, aralkylthio, arylthioaralkyl, arylsulfonylarylsulfonyl, alkylamino, dialkylamino, acyloxy, aroyloxy, alkoxycarbonyloxy, phenylazo provided that  $X_{17}$  is O or S, naphthylazo, or  $-OCH_2O-$  or  $-OCH_2CH_2O-$  which join adjacent carbon atoms to form a five- or six-membered ring;

$Y_{36}$  is the same or different and represents halogen, alkyl, alkenyl, alkynyl,

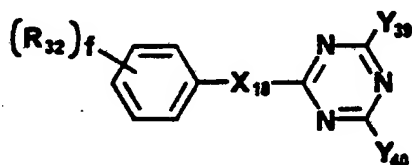
- 244 -

-CH=CHCH=CH-, which joins adjacent carbon atoms to form a six-membered ring,  $-(CH_2)_4$ , nitro, cyano, haloalkyl, or polyhaloalkyl;

$X_{17}$  represents O, S, NH,  $CH_2$ ,  $-CH_2O-$ ,  $-CH_2S-$  or  $-OCH_2CH_2O-$ ;

$Y_{37}$  represents halogen; and

$Y_{38}$  represents halogen, alkoxy, alkylthio, alkylsulfonyl, polyhaloalkoxy, polyhaloalkyl, cyano, nitro or unsubstituted or substituted arylthio, aryloxy or arylsulfonyl;



(ix)

wherein:

$f$  is a value of from 0 to 5;

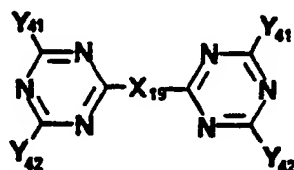
$R_{32}$  is the same or different and represents halogen, alkyl, alkenyl, alkynyl, polyhaloalkyl, cyano, nitro, alkylamino, dialkylamino, alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, acyl,  $CO_2$ (alkyl),  $CONH$ (alkyl),  $CON$ (alkyl) $_2$ ,  $SO_2N$ (alkyl) $_2$ , alkylcarbonyloxy, alkoxycarbonyloxy, or unsubstituted or substituted aryloxy, arylthio, arylsulfonyl or aroyl;

$X_{18}$  represents O, S,  $CH_2$ , a single covalent bond or  $-C\equiv C-$ ;

$Y_{39}$  represents halogen, polyhaloalkoxy, polyhaloalkyl, cyano, alkylsulfonyl, alkylsulfonyloxy, polyhaloalkylsulfonyl or polyhaloalkylsulfonyloxy; and

- 245 -

$Y_{40}$  represents haloalkyl, polyhaloalkyl, alkoxy provided that  $X_{18}$  is not S or a single covalent bond; polyhaloalkoxy, cyano, alkylthio provided that  $X_{18}$  is not O or a single covalent bond; alkylsulfonyl, nitro, dialkoxyphosphinyl or trialkylammonium;



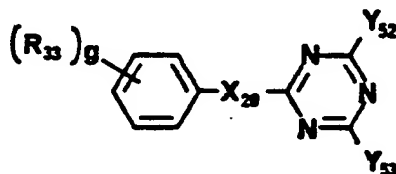
(x)

wherein:

$Y_{41}$  is the same or different and represents halogen;

$Y_{42}$  is the same or different and represents halogen, alkoxy, alkylthio or polyhaloalkoxy; and

$X_{19}$  represents O, dithio, -P(=O)(O-alkyl)-, -P(alkyl)-, -P(O-alkyl)-, sulfinyl, sulfonyl, thiosulfinyl, a single covalent bond, carbonyl, aminocarbonylamino, aminooxalyl-amino, aminocarbonylalkylenecarbonylamino, aminoalkyleneamino, unsubstituted or substituted oxyaryloxy provided that 1,3-arylenebis (oxy) is substituted with at least one substituent, oxyaryl-alkylaryloxy, oxyarylthioaryloxy, oxyarylsulfonylaryloxy and oxyarylaryloxy:



(xi)

- 246 -

wherein:

$Y_{52}$  and  $Y_{53}$  are independently halogen;

$g$  is a value of from 0 to 5 inclusive;

$R_{33}$  is the same or different and represents halogen, alkyl, alkenyl, alkynyl, polyhaloalkyl, cyano, nitro, amino, alkylamino, dialkylamino, alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkoxycarbonyl, alkylaminocarbonyl, aminocarbonyl, dialkylaminocarbonyl, dialkylaminosulfonyl, alkylaminosulfonyl, aminosulfonyl, alkylcarbonyl, dialkoxyalkyl, alkylcarbonyloxy, alkylcarbonylalkylamino,  $-\text{CH}=\text{CHCH}=\text{CH}-$  which joins adjacent carbon atoms to form a six-membered ring, or unsubstituted or substituted aryl, aralkyl, aryloxy, arylthio, arylsulfonyl or aralkoxy; and

$X_{20}$  represents  $-\text{CH}(\text{alkyl})\text{O}-$ ,

$-\text{C}(\text{alkyl})_2\text{O}-$ ,  $-\text{OCH}_2-$ ,  $-\text{CH}_2\text{O}-$ ,  $-\text{CH}_2-$ ,

$-\text{C}(\text{halogen})_2$ ,  $-\text{OCH}_2\text{O}-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$  or  $-\text{C}\equiv\text{C}-$

provided that  $g$  is a value of at least 1;

$-\text{OCH}(\text{alkyl})-$ ,  $-\text{OC}(\text{alkyl})_2$ ,  $-\text{OCH}(\text{alkyl})\text{O}-$ ,

$-\text{OC}(\text{alkyl})_2\text{O}-$ ,  $-\text{OCH}(\text{alkyl})\text{CH}_2\text{O}-$ ,

$-\text{OCH}(\text{alkyl})\text{CH}(\text{alkyl})\text{O}-$ ,  $-\text{CH}(\text{alkyl})\text{CH}(\text{alkyl})-$ ,

$-\text{CH}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})_2-$ ,  $-\text{CH}_2\text{CH}_2\text{O}-$ ,

$-\text{OCH}_2\text{CH}_2-$ ,  $-\text{CH}(\text{alkyl})\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{CH}_2-$ ,

$-\text{CH}(\text{CN})\text{O}-$ ,  $-\text{C}(\text{alkyl})(\text{CN})\text{O}-$ ,  $-\text{CH}(\text{polyhaloalkyl})\text{O}-$ ,

$-\text{C}(\text{CN})=\text{NO}-$ ,  $-\text{C}(\text{NH alkyl})=\text{NO}-$ ,  $-\text{C}[\text{N}(\text{alkyl})_2]=\text{NO}-$ ,


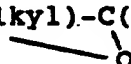
$-\text{C}(\text{S-alkyl})=\text{NO}-$ ,  $-\text{C}(\text{O-alkyl})=\text{NO}-$ ,  $-\text{SC}(=\text{O})\text{O}-$ ,

$-\text{NHC}(=\text{O})\text{O}-$ ,  $-\text{N}(\text{alkyl})\text{C}(=\text{O})\text{O}-$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,

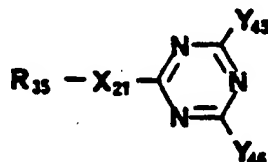
$-\text{CH}_2\text{S}(\text{O})_h-$ ,  $-\text{CH}(\text{alkyl})\text{S}(\text{O})_h-$ ,  $-\text{S}(\text{O})_h\text{CH}_2-$ ,

$-\text{OC}(=\text{S})\text{S}-$ ,  $-\text{C}(=\text{O})\text{S}-$ ,  $-\text{C}(=\text{S})\text{S}-$ ,  $-\text{NH}(\text{alkyl})\text{C}(=\text{O})\text{S}-$ .

- 247 -

$-\text{O}(\text{C}=\text{O})\text{S}-$ ,  $-\text{N}(\text{R}_{34})-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{SO}_2\text{N}(\text{alkyl})-$ ,  
 $-\text{CONH}-$ ,  $-\text{CON}(\text{alkyl})-$ ,  $-\text{SC}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{S}-\text{C}(=\text{O})\text{NH}-$ ,  
 $-\text{NHSO}_2\text{NH}-$ ,  $-\text{N}(\text{alkyl})\text{SO}_2\text{N}(\text{alkyl})-$ ,  
 $-\text{N}(\text{alkyl})\text{SO}_2\text{NH}-$ ,  $-\text{NHSO}_2\text{N}(\text{alkyl})-$ ,  
 $-\text{C}(\text{O}-\text{alkyl})=\text{N}-$ ,  $-\text{C}(\text{S}-\text{alkyl})=\text{N}-$ ,  $-\text{CH}(\text{halogen})-$ ,  
 $-\text{C}(\text{alkyl})(\text{halogen})$ ,  $-\text{CH}(\text{CN})-$ ,  $-\text{C}(\text{alkyl})(\text{CN})-$ ,  
 $-\text{NH}(\text{alkyl})\text{NH}-$ ,  $-\text{NH}-\text{N}(\text{alkyl})-$ ;  $-\text{NH}-\text{NH}-$  or  $-\text{N}=\text{N}-$   
 provided that  $\text{R}_{33}$  is not nitro;  $-\text{C}(=\text{O})-$ ,  
 $-\text{C}(=\text{O})\text{C}(=\text{O})-$ ,  $-\text{CH}(\text{O}-\text{alkyl})-$ ,  $-\text{CH}_2\text{C}(=\text{O})-$ ,  
 $-\text{C}(=\text{O})\text{CH}_2-$ ,  $-\text{CH}(\text{alkyl})\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}(\text{alkyl})-$ ,  
 $-\text{CH}=\text{CH}-$ ,  $-\text{C}(\text{alkyl})=\text{CH}-$ ,  $-\text{CH}=\text{C}(\text{alkyl})-$ ,  
 $-\text{C}(\text{alkyl})=\text{C}(\text{alkyl})-$ ,  $-\text{C}(=\text{O})\text{CH}=\text{CH}-$ ,  
 $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{alkyl})-$ , unsubstituted or  
 substituted  $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{aryl})$  or arylene,  
 $-\text{Si}(\text{halogen})_2-$ ,  $-\text{Si}(\text{alkyl})_2-$ ,  $-\text{OC}(=\text{O})\text{N}(\text{alkyl})-$ ,  
 $-\text{OCH}_2\text{C}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{alkyl})\text{CON}(\text{alkyl})-$ ;  
 $-\text{OC}(=\text{O})\text{NH}-$ ,  $-\text{NHCONH}-$ ,  $-\text{SO}_2\text{NHC}(=\text{O})\text{NH}-$ , or  
 $-\text{NHC}(=\text{S})\text{NH}$  provided that  $g$  is a value of at least 1;  
 $-\text{CH}-\text{CH}-$ ,  $-\text{C}(\text{alkyl})-\text{CH}-$ ,  $-\text{CH}-\text{C}(\text{alkyl})$ , or  
  
 $-\text{C}(\text{alkyl})-\text{C}(\text{alkyl})-$   


wherein  $h$  is a value of from 0 to 2 inclusive,  $\text{R}_{34}$   
 represents acyl, alkylsulfonyl, polyhaloalkyl,  
 polyhaloacyl, polyhaloalkylsulfonyl or unsubstituted  
 or substituted aroyl or arylsulfonyl and  $\text{Y}_{43}$  and  
 $\text{Y}_{44}$  are independently O or S;



(xii)

- 248 -

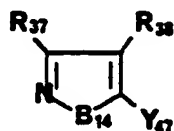
wherein:

$R_{35}$  represents an unsubstituted or substituted heterocyclic ring system selected from isoxazole, isothiazole, pyrazole, imidazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-oxadiazole, 1,2,4,-thiadiazole, 1,3,4-thiadiazole, oxazole, thiazole, benzopyrazole, benzimidazole, benzoxazole, benzothiazole, indole, pyrrole, furan, thiophene, benzofuran, benzothiophene, pyridine, pyrimidine, pyridazine, pyrazine, 1,3,5-triazine, 1,2,4-triazine, quinoline, isoquinoline, quinazoline, phthalazine, benzopyridazine, benzopyrazine, carbazole, dibenzofuran, dibenzothiophene, benzoxazine, phthalimide, benzopyran, dibenzopyridine, pyridopyridine, pyrazolopyrimidine, tetrahydropyrimidinedione, coumarin, piperidine, morpholine, tetrahydrofuran, tetrahydrothiophene, pyrrolidine, thiomorpholine, piperidine-2-one, piperidine-2,6-dione, 2,5-pyrrolidinedione, 3-morpholinone, 2-oxohexamethyleneimine, 2-oxotetramethyleneimine, 1-pyrazoline, 2-pyrazoline, pyrazolidine, 2-imidazolidinone, 2-imidazolidinethione, 2,4-imidazolidinedione, 1,2-oxathiolane, 1,3-oxathiolane, 1,3-oxathiane, 1,4-oxathiane, 2(1H)-pyrazinone, 2H-pyran-2-one, 4H-pyran-4-one, 2H-pyran-2-thione, 4H-pyran-4-thione, tetrahydropyran, tetrahydrothiopyran, 7-oxabicyclo[2.2.1]heptane, 7-azabicyclo[2.2.1]heptane, oxetane, coumarin, 1,3-dioxane, 1,4-dioxane or 1,3-dioxolane;

- 249 -

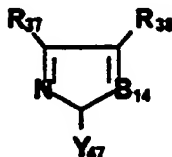
$X_{21}$  represents O, S or NH provided that  
 when  $X_{21}$  is NH then  $R_{35}$  is not pyridine, and  
 when  $X_{21}$  is S then  $R_{35}$  is not unsubstituted  
 benzothiazole; and

$Y_{45}$  and  $Y_{46}$  are independently halogen;



(xiii)

or



(xiv)

wherein:

$R_{37}$  and  $R_{38}$  independently represent  
 halogen, nitro, cyano, polyhaloalkyl,  
 polyhaloalkoxy, alkylsulfonyl,  
 polyhaloalkylsulfonyl, acyl, alkoxycarbonyl,  
 polyhaloalkylsulfonyl or  $R_{39}-X_{22}-$  provided that

- 250 -

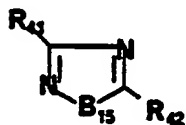
only one of  $R_{37}$  and  $R_{38}$  may be  $R_{39}-X_{22}-$  at any one time;

$R_{39}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$X_{22}$  represents O, S, SO,  $SO_2$ ,  $CH_2$ , a single covalent bond,  $-CH_2O-$ ,  $-CH_2S-$ ,  $-CH(CH_3)O-$ ,  $-CH(CN)O-$ ,  $-CH=NO-$ ,  $-C(CH_3)=NO-$ ,  $-CH_2CH_2O-$ ,  $-CH_2CH_2-$ ,  $-C\equiv C-$ ,  $-CH_2SO-$ ,  $-CH_2SO_2-$ ,  $-OCH_2CH_2O-$ ,  $-CH(alkyl)-$ , or  $-CONH-$ ;

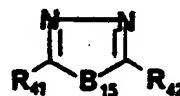
$Y_{47}$  represents halogen; and

$B_{14}$  represents O, S, NH or  $NR_{40}$  wherein  $R_{40}$  represents alkyl, alkylsulfonyl, alkenyl, alkynyl, alkoxycarbonyl; unsubstituted or substituted aryl, aralkyl, aryloxy, arylamino, aroyl or arylsulfonyl; provided that (i) when  $B_{14}$  is  $R_{39}-N<$ ,  $R_{39}-alkyl-N<$ ,  $R_{39}-C(=O)-N<$ ,  $R_{39}-SO_2N<$ ,  $R_{39}-O-N<$  or  $R_{39}-NH-N<$ , then both  $R_{37}$  and  $R_{38}$  are other than  $R_{39}-X_{22}-$ ; (ii) when  $B_{14}$  is other than  $R_{39}-N<$ ,  $R_{39}-alkyl-N<$ ,  $R_{39}-C(=O)-N<$ ,  $R_{39}-SO_2N<$ ,  $R_{39}-O-N<$  or  $R_{39}-NH-N<$ , then one of  $R_{37}$  and  $R_{38}$  is  $R_{39}-X_{22}-$ ; and (iii) when  $R_{38}$  and  $Y_{47}$  are both chlorine and  $X_{22}$  is a single covalent bond in formula (xiii), then  $R_{39}$  is not unsubstituted phenyl;



(xv)

OR



(xvi)



- 251 -

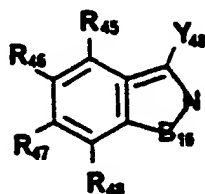
wherein:

$R_{41}$  and  $R_{42}$  independently represent halogen or  $R_{43}-X_{23}-$  provided that only one of  $R_{41}$  and  $R_{42}$  may be  $R_{43}-X_{23}-$  at any one time;

$R_{43}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

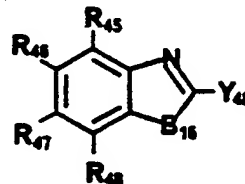
$X_{23}$  represents O, S, SO,  $SO_2$ ,  $CH_2$ , a single covalent bond,  $-CH_2O-$ ,  $CH_2S-$ ,  $-CH(CH_3)O-$ ,  $-CH(CN)O-$ ,  $-CH=NO-$ ,  $-C(CH_3)=NO-$ ,  $-CH_2CH_2O-$ ,  $-CH_2CH_2-$ ,  $-C\equiv C-$ ,  $-CH_2SO-$ ,  $-CH_2SO_2-$ ,  $-OCH_2CH_2O-$ ,  $-CH(alkyl)-$ ,  $-CONH-$ ; and

$B_{15}$  represents O, S, NH or  $NR_{44}$  wherein  $R_{44}$  represents alkyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkenyl, alkynyl, alkoxy carbonyl; unsubstituted or substituted aryl, aralkyl, aryloxy, arylamino, aroyl or arylsulfonyl; provided that when  $B_{15}$  is  $R_{43}-N<$ ,  $R_{43}-alkyl-N<$ ,  $R_{43}-C(=O)-N<$ ,  $R_{43}-SO_2N<$ ,  $R_{43}-O-N<$  or  $R_{43}-NH-N<$ , then both  $R_{41}$  and  $R_{42}$  are other than  $R_{43}-X_{23}-$ ; and further provided that when  $B_{15}$  is other than  $R_{43}-N<$ ,  $R_{43}-alkyl-N<$ ,  $R_{43}-C(=O)-N<$ ,  $R_{43}-SO_2N<$ ,  $R_{43}-O-N<$  or  $R_{43}-NH-N<$ , then one of  $R_{41}$  and  $R_{42}$  is  $R_{43}-X_{23}-$ ;



(xvii)

or



(xviii)

- 252 -

wherein:

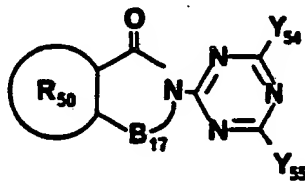
$R_{45}$ ,  $R_{46}$ ,  $R_{47}$ , and  $R_{48}$  independently represent hydrogen, halogen, nitro, cyano, polyhaloalkyl, polyhaloalkoxy, alkylsulfonyl, polyhaloalkylsulfonyl, acyl, alkylthio, alkyl, alkoxy, alkylsulfinyl or  $R_{49}-X_{24}$  provided that one of  $R_{45}$ ,  $R_{46}$ ,  $R_{47}$ , and  $R_{48}$  is  $R_{49}-X_{24}$  and further provided that  $R_{45}$ ,  $R_{46}$ ,  $R_{47}$ , and  $R_{48}$  include no more than two of hydrogen, alkyl or alkoxy at any one time;

$R_{49}$  represents unsubstituted or substituted phenyl, 1- or 2-naphthyl or heteroaryl;

$X_{24}$  represents O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, a single covalent bond, -CH<sub>2</sub>O-, -CH<sub>2</sub>S-, -CH(CH<sub>3</sub>)O-, -CH(CN)O-, -CH=NO-, -C(CH<sub>3</sub>)=NO-, -CH<sub>2</sub>CH<sub>2</sub>O-, -CH<sub>2</sub>CH<sub>2</sub>-, -C≡C-, -CH<sub>2</sub>SO-, -CH<sub>2</sub>SO<sub>2</sub>-, -OCH<sub>2</sub>CH<sub>2</sub>O-, -CH(alkyl)-, -CONH-;

$Y_{48}$  represents halogen; and

$B_{16}$  represents O, S or NH;



(xix)

wherein:

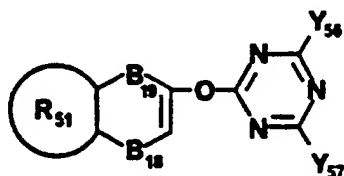
$R_{50}$  represents an unsubstituted or substituted, carbocyclic or heterocyclic ring system

- 253 -

selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated;

$B_{17}$  represents  $-\text{CH}=\text{N}-$ ,  $-\text{N}=\text{CH}-$ ,  $-\text{CH}=\text{CH}-$ ,  $-\text{CO}-$ ,  $-\text{SO}_2-$ ,  $-\text{CH}_2\text{CO}-$ ,  $-\text{COCH}_2-$ ,  $-\text{CONH}-$ ,  $-\text{NHCO}-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{NHSO}_2-$ ,  $-\text{SO}_2\text{N(alkyl)}-$ ,  $-\text{N(alkyl)SO}_2-$ ,  $-\text{OSO}_2-$ ,  $-\text{CS}-$ ,  $-\text{N}(\text{C})-$ ,  $-\text{NH}-$ ,  $-\text{N(alkyl)}-$ ,  $-\text{OCH}_2-$ ,  $-\text{SCH}_2-$ ,  $-\text{NHCH}_2-$ ,  $-\text{N(alkyl)CH}_2-$ ,  $-\text{SCO}-$ ,  $-\text{OCH}_2-$ ,  $-\text{OCO}-$ ,  $-\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{SCH}_2\text{CO}-$ ; provided that when  $B_{17}$  is  $-\text{CO}-$  and  $R_{50}$  is phenyl, then the phenyl is substituted; and

$Y_{54}$  and  $Y_{55}$  are independently halogen;



(xx)

wherein:

$R_{51}$  represents or unsubstituted or substituted, carbocyclic or heterocyclic ring system selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring

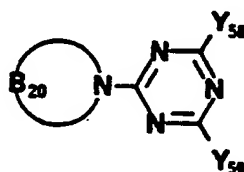
- 254 -

system, and a bridged ring system which may be saturated or unsaturated;

$B_{18}$  represents  $-\text{CH}=\text{N}-$ ,  $-\text{N}=\text{CH}-$ ,  $-\text{CH}=\text{CH}-$ ,  $-\text{CO}-$ ,  $-\text{SO}_2-$ ,  $-\text{CH}_2\text{CO}-$ ,  $-\text{COCH}_2-$ ,  $-\text{CONH}-$ ,  $-\text{NHCO}-$ ,  $-\text{SO}_2\text{NH}-$ ,  $-\text{NHSO}_2-$ ,  $-\text{SO}_2\text{N(alkyl)}-$ ,  $-\text{N(alkyl)SO}_2-$ ,  $-\text{OSO}_2-$ ,  $-\text{CS}-$ ,  $-\text{N(alkyl)}-$ ,  $-\text{NH}-$ ,  $-\text{N(alkyl)}-$ ,  $-\text{OCH}_2-$ ,  $-\text{SCH}_2-$ ,  $-\text{NHCH}_2-$ ,  $-\text{N(alkyl)CH}_2-$ ,  $-\text{S-CO}-$ ,  $-\text{OCH}_2-$ ,  $-\text{OCO}-$ ,  $-\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{SCH}_2\text{CO}-$ ;

$B_{19}$  represents  $-\text{CH}_2-$  or  $-\text{CH(alkyl)}-$ ; and  $i$  is a value of 0 or 1; and

$Y_{56}$  and  $Y_{57}$  are independently halogen;



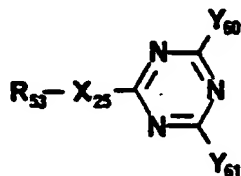
(xxi)

wherein:

$B_{20}$  represents  $-\text{CH}_2\text{C(CH}_3)_2\text{SCH}_2-$ ,  $-\text{CH}_2\text{CH}=\text{C(CH}_3)\text{OCH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH(CH}_3)-$ ,  $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{SCH}_2\text{CO}-$ ,  $-\text{COCH}_2\text{C(CH}_3)_2\text{CH}_2\text{CO}-$ ,  $-\text{COCH}_2\text{CH(C}_6\text{H}_5)\text{CH}_2\text{CO}-$ ,  $-\text{CONH(C}_6\text{H}_5)\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{COC(CH}_3)_2\text{NHCO}-$ ,  $-\text{CH}_2\text{CH}_2\text{N(C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{N(C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{CH(C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  $-\text{CO(CH}_2)_3\text{CO}-$ ,  $-\text{CO(CH}_2)_2\text{CO}-$ ,  $-\text{COCH}_2\text{CH(CH}_3)\text{CH}_2\text{CO}-$ ,  $-\text{COCH(CH}_3)\text{CH}_2\text{CO}-$ ,  $-\text{COC(CH}_3)_2\text{CH}_2\text{CO}-$ ,  $-\text{COC(CH}_3)_2\text{C(CH}_3)_2\text{CO}-$ ,  $-\text{CO(CH}_2)_4\text{CO}-$ .

- 255 -

$-\text{CO}(\text{CH}_2)_5\text{CO}-$ ,  $-\text{CO}(\text{CH}_2)_5\text{CH}_2-$ ,  
 $-\text{CO}(\text{CH}_2)_4\text{CH}_2-$ ,  $-\text{CO}(\text{CH}_2)_3\text{CH}_2-$ ,  
 $-\text{CO}(\text{CH}_2)_2\text{CH}_2-$ ,  $-\text{COCH}_2\text{SCH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{N}(\text{R}_{52})\text{CH}_2\text{CO}-$ ,  $-\text{COCH}_2\text{OCH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{SCS}-$ ,  $-\text{COCH}=\text{CH}-\text{N}=\text{CH}-$ ,  
 $-\text{CH}_2\text{CH}(\text{C}_6\text{H}_5)\text{CH}_2-\text{N}=\text{CH}-$ , or  $-\text{CO}_2-\text{CH}_2\text{CH}_2-$ ;  
 $\text{R}_{52}$  represents hydrogen, alkenyl;  
 unsubstituted or substituted aryl or alkaryl; and  
 $\text{Y}_{58}$  and  $\text{Y}_{59}$  are independently halogen;



(xxii)

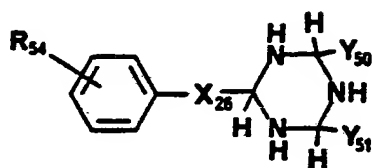
wherein:

$\text{R}_{53}$  represents unsubstituted or substituted cycloalkenyl, cycloalkadienyl, cycloalkatrienyl, bicycloalkyl, bicycloalkadienyl, tricycloalkyl, bicycloalkenyl, tricycloalkenyl or tricycloalkadienyl in which the permissible substituents are the same or different and are one or more alkyl, halogen, haloalkyl, polyhaloalkyl, alkoxy, alkylthio, alkylsulfonyl, polyhaloalkoxy, nitro, cyano, acyl, aroyl, aryl, alkoxycarbonyl, alkoxycarbonyloxy, acyloxy, oxo, or  $-\text{CH}=\text{CHCH}=\text{CH}-$  or  $-\text{CH}=\text{CHCH}_2-$  which join adjacent carbon atoms to form a six-or five membered ring;

- 256 -

$Y_{60}$  and  $Y_{61}$  are independently halogen;  
and

$X_{25}$  represents O, S, NH,  $CH_2$ ,  $-CH_2O-$   
or a single covalent bond;



(xxiii)

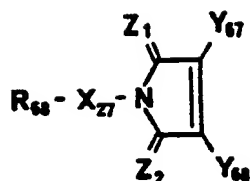
wherein:

$R_{54}$  is the same or different and is one or more hydrogen, halogen, alkyl, aryl, aralkyl, alkenyl, alkynyl, polyhaloalkyl,  $NH_2$ ,  $NH(alkyl)$ ,  $N(alkyl)_2$ , alkoxy, polyhaloalkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, aralkoxy,  $CO_2alkyl$ ,  $CONH(alkyl)$ ,  $CONH_2$ ,  $CON(alkyl)_2$ ,  $SO_2N(alkyl)_2$ ,  $SO_2NH(alkyl)$ ,  $SO_2NH_2$ , acyl,  $CO(O-alkyl)_2$ , acyloxy, acyl- $CON(alkyl)$  or 2,3- $(-CH=CHCH=CH-)$ , 3,4- $(-CH=CHCH=CH-)$ , 2,3- $(CH_2)_4-$  or 3,4- $(CH_2)_4-$  which join the adjacent carbon atoms to form an unsubstituted or substituted six-membered ring;

$X_{26}$  represents O, S, SO,  $SO_2$ ,  $CH_2$ , a single covalent bond,  $-CH_2O-$ ,  $-CH_2S-$ ,  $-CH(CH_3)O-$ ,  $-CH(CN)O-$ ,  $-CH=NO-$ ,  $-C(CH_3)=NO-$ ,  $-CH_2CH_2O-$ ,  $-CH_2CH_2-$ ,  $-C\equiv C-$ ,  $-CH_2SO-$ ,  $-CH_2SO_2-$ ,  $-OCH_2CH_2O-$ ,  $-OCH_2CH_2-$  or  $-OCH_2-$ ; and

$Y_{50}$  and  $Y_{51}$  are the same or different and are halogen;

- 257 -

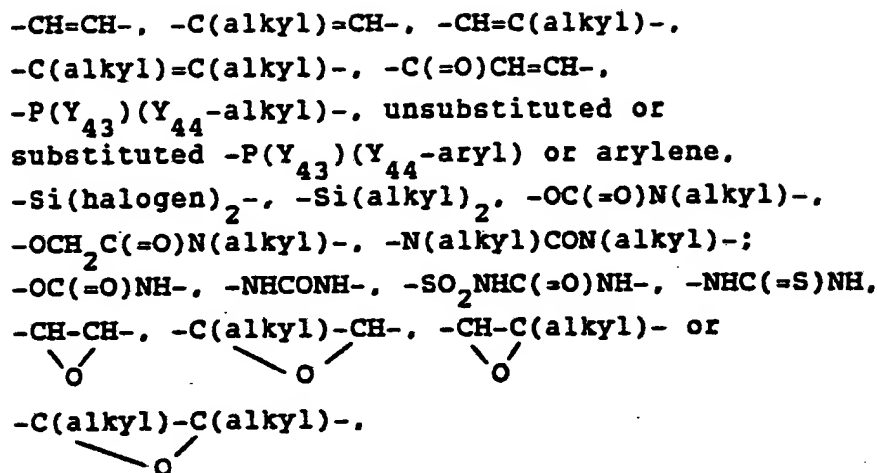


(xxiv)

$R_{68}$  represents unsubstituted or substituted phenyl or 1- or 2-naphthyl;

$X_{27}$  represents -CH(alkyl)O-,  
 -C(alkyl)<sub>2</sub>O-, -OCH<sub>2</sub>-, -C(halogen)<sub>2</sub>-, -OCH<sub>2</sub>O-,  
 -OCH<sub>2</sub>CH<sub>2</sub>O-, -CH<sub>2</sub>O-, -C≡C-, -OCH(alkyl)-,  
 -OC(alkyl)<sub>2</sub>-, -OCH(alkyl)O-, -OC(alkyl)<sub>2</sub>O-,  
 -OCH(alkyl)CH<sub>2</sub>O-, -OCH(alkyl)CH(alkyl)O-,  
 -CH(alkyl)CH(alkyl)-, -CH(alkyl)-, -C(alkyl)<sub>2</sub>-,  
 -CH<sub>2</sub>CH<sub>2</sub>O-, -OCH<sub>2</sub>CH<sub>2</sub>-, -CH(alkyl)CH<sub>2</sub>O-,  
 -CH<sub>2</sub>CH<sub>2</sub>-, -CH(CN)O-, -C(alkyl)(CN)O-,  
 -CH(polyhaloalkyl)O-, -C(CN)=NO-, -C(NH alkyl)=NO-,  
 -C[N(alkyl)<sub>2</sub>]=NO-, -C(S-alkyl)=NO-,  
 -C(O-alkyl)=NO-, -SC(=O)O-, -NHC(=O)O-,  
 -N(alkyl)C(=O)O-, SO, SO<sub>2</sub>, -CH<sub>2</sub>S(O)<sub>h</sub>-,  
 -CH(alkyl)S(O)<sub>h</sub>-, -S(O)<sub>h</sub>CH<sub>2</sub>-, -OC(=S)S-,  
 -C(=O)S-, -C(=S)-S-, -NH(alkyl)C(=O)S-, -O(C=O)S-,  
 -N(alkyl)-, -N(R<sub>34</sub>)-, -SO<sub>2</sub>NH-, -SO<sub>2</sub>N(alkyl)-,  
 -CONH-, -CON(alkyl)-, -SC(=O)N(alkyl)-, -S-C(=O)NH-,  
 -NHSO<sub>2</sub>NH-, -N(alkyl)SO<sub>2</sub>N(alkyl)-,  
 -N(alkyl)SO<sub>2</sub>NH-, -NHSO<sub>2</sub>N(alkyl)-,  
 -C(O-alkyl)=N-, -C(S-alkyl)=N-, -CH(halogen)-,  
 -C(alkyl)(halogen)-, -CH(CN)-, -C(alkyl)(CN)-,  
 -NH(alkyl)NH-, -NH-N(alkyl)-; -NH-NH-, -N=N-,  
 -C(=O)-, -C(=O)C(=O)-, -CH(O-alkyl)-, -CH<sub>2</sub>C(=O)-,  
 -C(=O)CH<sub>2</sub>-, -CH(alkyl)C(=O)-, -C(=O)CH(alkyl)-.

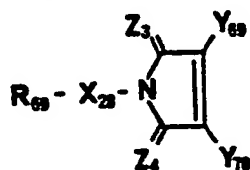
- 258 -



wherein  $h$  is a value of from 0 to 2 inclusive,  $\text{R}_{34}$  represents acyl, alkylsulfonyl, polyhaloalkyl, polyhaloacyl, polyhaloalkylsulfonyl or unsubstituted or substituted aroyl or arylsulfonyl and  $\text{Y}_{43}$  and  $\text{Y}_{44}$  are independently O or S;

$\text{Z}_1$  and  $\text{Z}_2$  are independently O, S,  $\text{C}_1-\text{C}_8$  alkylidene, substituted or unsubstituted benzylidene, NH or  $\text{NR}''$  wherein  $\text{R}''$  is alkyl, aryl, aralkyl, alkenyl or alkynyl; and

$\text{Y}_{67}$  and  $\text{Y}_{68}$  are the same or different and represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, aryl, polyhaloalkylsulfonyl, alkylamino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, phosphono or phosphino;



(xxv)



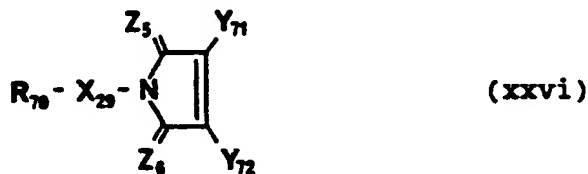
- 259 -

$R_{69}$  represents unsubstituted or substituted phenyl or 1- or 2-naphthyl;

$X_{28}$  is NH,  $CH_2$  or a covalent bond;

$Z_3$  and  $Z_4$  are independently O, S,  $C_1-C_8$  alkylidene, substituted or unsubstituted benzylidene, NH or  $NR'''$  wherein  $R'''$  is alkyl, aryl, aralkyl, alkenyl or alkynyl; and

$Y_{69}$  and  $Y_{70}$  are the same or different and represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, aryl, polyhaloalkylsulfonyl, alkylamino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, phosphono or phosphino, with the proviso that  $Y_{69}$  and  $Y_{70}$  taken together do not represent either the same halogen or halogen and hydrogen;



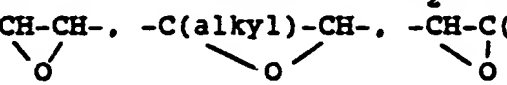
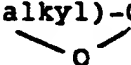
$R_{70}$  represents an unsubstituted or substituted, unsaturated or saturated, aromatic or non-aromatic heterocyclic ring system selected from isoxazole, isothiazole, pyrazole, imidazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-oxadiazole, 1,2,4,-thiadiazole, 1,3,4-thiadiazole, oxazole, thiazole, benzopyrazole, benzimidazole, benzoxazole, benzothiazole, indole, pyrrole, furan, thiophene,

- 260 -

benzofuran, benzothiophene, pyridine, pyrimidine,  
 pyridazine, pyrazine, 1,3,5-triazine,  
 1,2,4-triazine, quinoline, isoquinoline,  
 quinazoline, phthalazine, benzopyridazine,  
 benzopyrazine, carbazole, dibenzofuran,  
 dibenzothiophene, benzoxazine, phthalimide,  
 benzopyran, dibenzopyridine, pyridopyridine,  
 pyrazolopyrimidine, tetrahydropyrimidinedione,  
 piperidine, morpholine, tetrahydrofuran,  
 tetrahydrothiophene, pyrrolidine, thiomorpholine,  
 piperidine-2-one, piperidine-2,6-dione,  
 2,5-pyrrolidinedione, 3-morpholinone,  
 2-oxohexamethyleneimine, 2-oxotetramethyleneimine,  
 1-pyrazoline, 2-pyrazoline, pyrazolidine,  
 2-imidazolidinone, 2-imidazolidinethione,  
 2,4-imidazolidinedione, 1,2-oxathiolane,  
 1,3-oxathiolane, 1,3-oxathiane, 1,4-oxathiane,  
 2(1H)-pyrazinone, 2H-pyran-2-one, 4H-pyran-4-one,  
 2H-pyran-2-thione, 4H-pyran-4-thione,  
 tetrahydropyran, tetrahydrothiopyran,  
 7-oxabicyclo[2.2.1]heptane,  
 7-azabicyclo[2.2.1]heptane, oxetane, coumarin,  
 1,3-dioxane, 1,4-dioxane or 1,3-dioxolane;

$X_{29}$  represents -CH(alkyl)O-,  
 -C(alkyl)<sub>2</sub>O-, -OCH<sub>2</sub>-, -CH<sub>2</sub>O-, -CH<sub>2</sub>-, a  
 covalent bond, -C(halogen)<sub>2</sub>-, -OCH<sub>2</sub>O-,  
 -OCH<sub>2</sub>-H<sub>2</sub>O-, -C≡C-, -OCH(alkyl)-, -OC(alkyl)<sub>2</sub>-,  
 -OCH(alkyl)O-, -OC(alkyl)<sub>2</sub>O-, -OCH(alkyl)CH<sub>2</sub>O-,  
 -OCH(alkyl)CH(alkyl)O-, -CH(alkyl)CH(alkyl)-,  
 -CH(alkyl)-, -C(alkyl)<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>O-,  
 -OCH<sub>2</sub>CH<sub>2</sub>-, -CH(alkyl)CH<sub>2</sub>O-, -CH<sub>2</sub>CH<sub>2</sub>-,  
 -CH(CN)O-, -C(alkyl)(CN)O-, -CH(polyhaloalkyl)O-.

- 261 -

$-\text{C}(\text{CN})=\text{NO}-$ ,  $-\text{C}(\text{NH alkyl})=\text{NO}-$ ,  $-\text{C}[\text{N}(\text{alkyl})_2]=\text{NO}-$ ,  
 $-\text{C}(\text{S-alkyl})=\text{NO}-$ ,  $-\text{C}(\text{O-alkyl})=\text{NO}-$ ,  $-\text{SC}(=\text{O})\text{O}-$ ,  
 $-\text{NHC}(=\text{O})\text{O}-$ ,  $-\text{N}(\text{alkyl})\text{C}(=\text{O})\text{O}-$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  
 $-\text{CH}_2\text{S}(\text{O})_h-$ ,  $-\text{CH}(\text{alkyl})\text{S}(\text{O})_h-$ ,  $-\text{S}(\text{O})_h\text{CH}_2-$ ,  
 $-\text{OC}(=\text{S})\text{S}-$ ,  $-\text{C}(=\text{O})\text{S}-$ ,  $-\text{C}(=\text{S})-\text{S}-$ ,  $-\text{NH}(\text{alkyl})\text{C}(=\text{O})\text{S}-$ ,  
 $-\text{O}(\text{C}=\text{O})\text{S}-$ ,  $-\text{NH}-$ ,  $-\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{R}_{34})-$ ,  $-\text{SO}_2\text{NH}-$ ,  
 $-\text{SO}_2\text{N}(\text{alkyl})-$ ,  $-\text{CONH}-$ ,  $-\text{CON}(\text{alkyl})-$ ,  
 $-\text{SC}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{S}-\text{C}(=\text{O})\text{NH}-$ ,  $-\text{NHSO}_2\text{NH}-$ ,  
 $-\text{N}(\text{alkyl})\text{SO}_2\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{alkyl})\text{SO}_2\text{NH}-$ ,  
 $-\text{NHSO}_2\text{N}(\text{alkyl})-$ ,  $-\text{C}(\text{O-alkyl})=\text{N}-$ ,  $-\text{C}(\text{S-alkyl})=\text{N}-$ ,  
 $-\text{CH}(\text{halogen})-$ ,  $-\text{C}(\text{alkyl})(\text{halogen})-$ ,  $-\text{CH}(\text{CN})-$ ,  
 $-\text{C}(\text{alkyl})(\text{CN})-$ ,  $-\text{NH}(\text{alkyl})\text{NH}-$ ,  $-\text{NH}-\text{N}(\text{alkyl})-$ ,  
 $-\text{NH}-\text{NH}-$ ,  $-\text{N}=\text{N}-$ ,  $-\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{C}(=\text{O})-$ ,  
 $-\text{CH}(\text{O-alkyl})-$ ,  $-\text{CH}_2\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}_2-$ ,  
 $-\text{CH}(\text{alkyl})\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}=\text{CH}-$ ,  
 $-\text{C}(\text{alkyl})=\text{CH}-$ ,  $-\text{CH}=\text{C}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})=\text{C}(\text{alkyl})-$ ,  
 $-\text{C}(=\text{O})\text{CH}=\text{CH}-$ ,  $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{alkyl})-$ , unsubstituted  
or substituted  $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{aryl})$  or arylene,  
 $-\text{Si}(\text{halogen})_2-$ ,  $-\text{Si}(\text{alkyl})_2-$ ,  $-\text{OC}(=\text{O})\text{N}(\text{alkyl})-$ ,  
 $-\text{OCH}_2\text{C}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{alkyl})\text{CON}(\text{alkyl})-$ ,  
 $-\text{OC}(=\text{O})\text{NH}-$ ,  $-\text{NHCONH}-$ ,  $-\text{SO}_2\text{NHC}(=\text{O})\text{NH}-$ ,  $-\text{NHC}(=\text{S})\text{NH}-$ ,  
 $-\text{CH}-\text{CH}-$ ,  $-\text{C}(\text{alkyl})-\text{CH}-$ ,  $-\text{CH}-\text{C}(\text{alkyl})-$  or  
  
 $-\text{C}(\text{alkyl})-\text{C}(\text{alkyl})-$ ,  


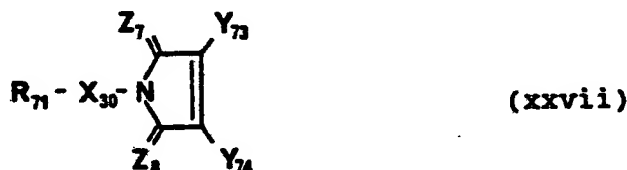
wherein  $h$  is a value of from 0 to 2 inclusive,  $\text{R}_{34}$   
 represents acyl, alkylsulfonyl, polyhaloalkyl,  
 polyhaloacyl, polyhaloalkylsulfonyl or unsubstituted  
 or substituted aroyl or arylsulfonyl and  $\text{Y}_{43}$  and  
 $\text{Y}_{44}$  are independently O or S;

$\text{Z}_5$  and  $\text{Z}_6$  are independently O, S,  
 $\text{C}_1-\text{C}_8$  alkylidene, substituted or unsubstituted

- 262 -

benzylidene, NH or NR''' wherein R''' is alkyl, aryl, aralkyl, alkenyl or alkynyl; and

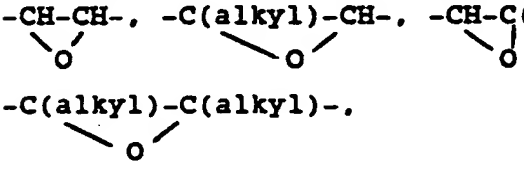
$Y_{71}$  and  $Y_{72}$  are the same or different and represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl, polyhaloalkylsulfonyl, amino, alkylamino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, alkoxycarbonyl, alkylaminocarbonyl, aminocarbonyl, dialkylaminocarbonyl, dialkylaminosulfonyl, alkylaminosulfonyl, aminosulfonyl, dialkoxyalkyl, arylsulfonyl, phosphono or phosphino;



$R_{71}$  represents unsubstituted or substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkadienyl, cycloalkatrienyl, bicycloalkyl, bicycloalkenyl, bicycloalkadienyl, tricycloalkyl, tricycloalkenyl or tricycloalkadienyl;

$X_{30}$  represents  $-\text{CH}(\text{alkyl})\text{O}-$ ,  $-\text{C}(\text{alkyl})_2\text{O}-$ ,  $-\text{OCH}_2-$ ,  $-\text{CH}_2\text{O}-$ ,  $-\text{CH}_2-$ , a covalent bond,  $-\text{C}(\text{halogen})_2$ ,  $-\text{OCH}_2\text{O}-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{OCH}(\text{alkyl})-$ ,  $-\text{OC}(\text{alkyl})_2$ ,  $-\text{OCH}(\text{alkyl})\text{O}-$ ,  $-\text{OC}(\text{alkyl})_2\text{O}-$ ,  $-\text{OCH}(\text{alkyl})\text{CH}_2\text{O}-$ ,  $-\text{OCH}(\text{alkyl})\text{CH}(\text{alkyl})\text{O}-$ ,  $-\text{CH}(\text{alkyl})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})_2-$ ,  $-\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{OCH}_2\text{CH}_2-$ ,  $-\text{CH}(\text{alkyl})\text{CH}_2\text{O}-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}(\text{CN})\text{O}-$ ,  $-\text{C}(\text{alkyl})(\text{CN})\text{O}-$ ,  $-\text{CH}(\text{polyhaloalkyl})\text{O}-$ ;

- 263 -

$-\text{C}(\text{CN})=\text{NO}-$ ,  $-\text{C}(\text{NH alkyl})=\text{NO}-$ ,  $-\text{C}[\text{N}(\text{alkyl})_2]=\text{NO}-$ ,  
 $-\text{C}(\text{S-alkyl})=\text{NO}-$ ,  $-\text{C}(\text{O-alkyl})=\text{NO}-$ ,  $-\text{SC}(=\text{O})\text{O}-$ ,  
 $-\text{NHC}(=\text{O})\text{O}-$ ,  $-\text{N}(\text{alkyl})\text{C}(=\text{O})\text{O}-$ ,  $\text{SO}$ ,  $\text{SO}_2$ ,  
 $-\text{CH}_2\text{S}(\text{O})_{\text{h}}-$ ,  $-\text{CH}(\text{alkyl})\text{S}(\text{O})_{\text{h}}-$ ,  $-\text{S}(\text{O})_{\text{h}}\text{CH}_2-$ ,  
 $-\text{OC}(=\text{S})\text{S}-$ ,  $-\text{C}(=\text{O})\text{S}-$ ,  $-\text{C}(=\text{S})\text{S}-$ ,  $-\text{NH}(\text{alkyl})\text{C}(=\text{O})\text{S}-$ ,  
 $-\text{O}(\text{C}=\text{O})\text{S}-$ ,  $-\text{NH}-$ ,  $-\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{R}_{34})-$ ,  $-\text{SO}_2\text{NH}-$ ,  
 $-\text{SO}_2\text{N}(\text{alkyl})-$ ,  $-\text{CONH}-$ ,  $-\text{CON}(\text{alkyl})-$ ,  
 $-\text{SC}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{S}-\text{C}(=\text{O})\text{NH}-$ ,  $-\text{NHSO}_2\text{NH}-$ ,  
 $-\text{N}(\text{alkyl})\text{SO}_2\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{alkyl})\text{SO}_2\text{NH}-$ ,  
 $-\text{NHSO}_2\text{N}(\text{alkyl})-$ ,  $-\text{C}(\text{O-alkyl})=\text{N}-$ ,  $-\text{C}(\text{S-alkyl})=\text{N}-$ ,  
 $-\text{CH}(\text{halogen})-$ ,  $-\text{C}(\text{alkyl})(\text{halogen})-$ ,  $-\text{CH}(\text{CN})-$ ,  
 $-\text{C}(\text{alkyl})(\text{CN})-$ ,  $-\text{NH}(\text{alkyl})\text{NH}-$ ,  $-\text{NH}-\text{N}(\text{alkyl})-$ ,  
 $-\text{NH}-\text{NH}-$ ,  $-\text{N}=\text{N}-$ ,  $-\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{C}(=\text{O})-$ ,  
 $-\text{CH}(\text{O-alkyl})-$ ,  $-\text{CH}_2\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}_2-$ ,  
 $-\text{CH}(\text{alkyl})\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}=\text{CH}-$ ,  
 $-\text{C}(\text{alkyl})=\text{CH}-$ ,  $-\text{CH}=\text{C}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})=\text{C}(\text{alkyl})-$ ,  
 $-\text{C}(=\text{O})\text{CH}=\text{CH}-$ ,  $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{alkyl})-$ , unsubstituted  
or substituted  $-\text{P}(\text{Y}_{43})(\text{Y}_{44}-\text{aryl})$  or arylene,  
 $-\text{Si}(\text{halogen})_2-$ ,  $-\text{Si}(\text{alkyl})_2$ ,  $-\text{OC}(=\text{O})\text{N}(\text{alkyl})-$ ,  
 $-\text{OCH}_2\text{C}(=\text{O})\text{N}(\text{alkyl})-$ ,  $-\text{N}(\text{alkyl})\text{CON}(\text{alkyl})-$ ,  
 $-\text{OC}(=\text{O})\text{NH}-$ ,  $-\text{NHCONH}-$ ,  $-\text{SO}_2\text{NHC}(=\text{O})\text{NH}-$ ,  $-\text{NHC}(=\text{S})\text{NH}$   
 $-\text{CH}-\text{CH}-$ ,  $-\text{C}(\text{alkyl})-\text{CH}-$ ,  $-\text{CH}-\text{C}(\text{alkyl})-$  or  
  
 $-\text{C}(\text{alkyl})-\text{C}(\text{alkyl})-$ .

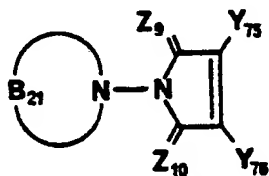
wherein  $h$  is a value of from 0 to 2 inclusive,  $\text{R}_{34}$   
represents acyl, alkylsulfonyl, polyhaloalkyl,  
polyhaloacyl, polyhaloalkylsulfonyl or unsubstituted  
or substituted aroyl or arylsulfonyl and  $\text{Y}_{43}$  and  
 $\text{Y}_{44}$  are independently O or S;

$\text{Z}_7$  and  $\text{Z}_8$  are independently O, S,  
 $\text{C}_1-\text{C}_8$  alkylidene, substituted or unsubstituted

- 264 -

benzylidene, NH or NR''' wherein R''' is alkyl, aryl, aralkyl, alkenyl or alkynyl; and

$Y_{73}$  and  $Y_{74}$  are the same or different and represent hydrogen, halogen, alkyl, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, aryl, polyhaloalkylsulfonyl, alkylamino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy and polyhaloalkylsulfonyloxy:



(xxviii)

wherein:

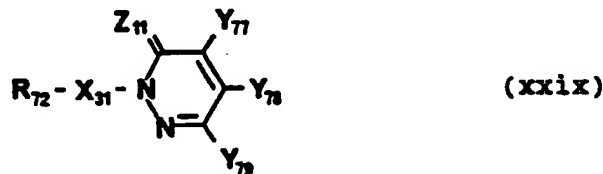
$B_{21}$  represents  $-\text{CH}_2\text{C}(\text{CH}_3)_2\text{SCH}_2-$ ,  
 $-\text{CH}_2\text{CH}=\text{C}(\text{CH}_3)\text{OCH}_2-$ ,  
 $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}(\text{CH}_3)-$ ,  
 $-\text{CH}_2\text{CH}_2\text{SCH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{SCH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{C}(\text{CH}_3)_2\text{CH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{CH}(\text{C}_6\text{H}_5)\text{CH}_2\text{CO}-$ ,  
 $-\text{CONH}(\text{C}_6\text{H}_5)\text{CH}_2\text{CH}_2\text{O}-$ ,  $-\text{COC}(\text{CH}_3)_2\text{NHCO}-$ ,  
 $-\text{CH}_2\text{CH}_2\text{N}(\text{C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  
 $-\text{CH}_2\text{N}(\text{C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  
 $-\text{CH}_2\text{CH}_2\text{CH}(\text{C}_6\text{H}_5)\text{CH}_2\text{CH}_2-$ ,  
 $-\text{CO}(\text{CH}_2)_3\text{CO}-$ ,  $-\text{CO}(\text{CH}_2)_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{CH}(\text{CH}_3)\text{CH}_2\text{CO}-$ ,  $-\text{COCH}(\text{CH}_3)\text{CH}_2\text{CO}-$ ,  
 $-\text{COC}(\text{CH}_3)_2\text{CH}_2\text{CO}-$ ,  
 $-\text{COC}(\text{CH}_3)_2\text{C}(\text{CH}_3)_2\text{CO}-$ ,  $-\text{CO}(\text{CH}_2)_4\text{CO}-$ ,  
 $-\text{CO}(\text{CH}_2)_5\text{CO}-$ ,  $-\text{CO}(\text{CH}_2)_5\text{CH}_2-$ ,  
 $-\text{CO}(\text{CH}_2)_4\text{CH}_2-$ ,  $-\text{CO}(\text{CH}_2)_3\text{CH}_2-$ .

- 265 -

$-\text{CO}(\text{CH}_2)_2\text{CH}_2-$ ,  $-\text{COCH}_2\text{SCH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{N}(\text{R}_{52})\text{CH}_2\text{CO}-$ ,  $-\text{COCH}_2\text{OCH}_2\text{CO}-$ ,  
 $-\text{COCH}_2\text{SCS}-$ ,  $-\text{COCH}=\text{CH}-\text{N}=\text{CH}-$ ,  
 $-\text{CH}_2\text{CH}(\text{C}_6\text{H}_5)\text{CH}_2-\text{N}=\text{CH}-$  or  $-\text{CO}_2-\text{CH}_2\text{CH}_2-$   
 wherein  $\text{R}_{52}$  represents hydrogen, alkenyl;  
 unsubstituted or substituted aryl or alkaryl;

$\text{Z}_9$  and  $\text{Z}_{10}$  are independently O, S,  
 $\text{C}_1-\text{C}_8$  alkylidene, substituted or unsubstituted  
 benzylidene, NH or  $\text{NR}'''$  wherein  $\text{R}'''$  is alkyl,  
 aryl, aralkyl, alkenyl or alkynyl; and

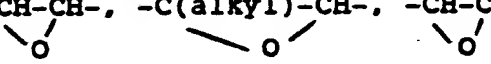
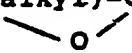
$\text{Y}_{75}$  and  $\text{Y}_{76}$  are the same or different  
 and represent hydrogen, halogen, alkyl, cyano,  
 polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl,  
 alkylthio, alkylsulfinyl, alkylsulfonyl, nitro,  
 acyl, polyhaloalkylsulfonyl, amino, alkylamino,  
 dialkylamino, acylamino, acyloxy, alkylsulfonyloxy,  
 arylsulfonyloxy, alkenylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 phosphono or phosphino;



$\text{R}_{72}$  represents unsubstituted or  
 substituted phenyl or 1- or 2-naphthyl;

$\text{X}_{31}$  represents  $-\text{OCH}_2-$ ,  $-\text{CH}_2-$ , a  
 covalent bond,  $-\text{C}(\text{halogen})_2$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{OCH}(\text{alkyl})-$ ,  
 $-\text{OC}(\text{alkyl})_2$ ,  $-\text{CH}(\text{alkyl})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}(\text{alkyl})-$ ,  
 $-\text{C}(\text{alkyl})_2-$ ,  $-\text{OCH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2-$ , SO,  $-\text{S}-$ ,  
 $\text{SO}_2$ ,  $-\text{CH}_2\text{S}(\text{O})_h-$ ,  $-\text{CH}(\text{alkyl})\text{S}(\text{O})_h-$ ,  
 $-\text{S}(\text{O})_h\text{CH}_2-$ ,  $-\text{CH}(\text{halogen})-$ ,  $-\text{C}(\text{alkyl})(\text{halogen})$ .

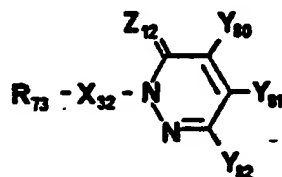
- 266 -

-CH(CN)-, -C(alkyl)(CN)-, or -C(=O)-, -CH(O-alkyl)-,  
 -CH<sub>2</sub>C(=O)-, -C(=O)CH<sub>2</sub>, -CH(alkyl)C(=O)-,  
 -C(=O)CH(alkyl)-, -CH=CH-, -C(alkyl)=CH-,  
 -CH=C(alkyl)-, -C(alkyl)=C(alkyl)-, -C(=O)CH=CH-,  
 arylene, -Si(halogen)<sub>2</sub>-, -Si(alkyl)<sub>2</sub>,  
 -CH-CH-, -C(alkyl)-CH-, -CH-C(alkyl)- or  
  
 -C(alkyl)-C(alkyl)-,  


wherein h is a value of from 0 to 2 inclusive;

Z<sub>11</sub> represents O, S, C<sub>1</sub>-C<sub>8</sub>  
 alkylidene, substituted or unsubstituted  
 benzylidene, NH or NR''' wherein R''' is alkyl,  
 aryl, aralkyl, alkenyl or alkynyl; and

Y<sub>77</sub>, Y<sub>78</sub> and Y<sub>79</sub> are the same or  
 different and represent hydrogen, halogen, alkyl,  
 hydroxy, cyano, polyhaloalkyl, alkoxy,  
 polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl,  
 alkylsulfonyl, nitro, acyl, polyhaloalkylsulfonyl,  
 alkylamino, amino, dialkylamino, acylamino, acyloxy,  
 alkylsulfonyloxy, arylsulfonyloxy,  
 alkenylsulfonyloxy, haloalkylsulfonyloxy,  
 polyhaloalkylsulfonyloxy, phosphono or phosphino,  
 with the proviso that when Y<sub>77</sub> is halogen and  
 Y<sub>79</sub> is hydrogen then Y<sub>78</sub> cannot be amino,  
 alkylamino, dialkylamino or acylamino and with the  
 further proviso that when Y<sub>77</sub> and Y<sub>78</sub> are the  
 same halogen then Y<sub>79</sub> cannot be hydrogen or  
 hydroxy;



(xxx)

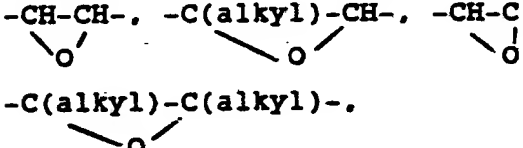


- 267 -

R<sub>73</sub> represents an unsubstituted or substituted, unsaturated or saturated, aromatic or non-aromatic heterocyclic ring system selected from isoxazole, isothiazole, pyrazole, imidazole, 1,2,4-triazole, 1,2,4-oxadiazole, 1,3,4-oxadiazole, 1,2,4,-thiadiazole, 1,3,4-thiadiazole, oxazole, thiazole, benzopyrazole, benzimidazole, benzoxazole, benzothizole, indole, pyrrole, furan, thiophene, benzofuran, benzothiophene, pyridine, pyrimidine, pyridazine, pyrazine, 1,3,5-triazine, 1,2,4-triazine, quinoline, isoquinoline, quinazoline, phthalazine, benzopyridazine, benzopyrazine, carbazole, dibenzofuran, dibenzothiophene, benzoxazine, phthalimide, benzopyran, dibenzopyridine, pyridopyridine, pyrazolopyrimidine, tetrahydropyrimidinedione, piperidine, morpholine, tetrahydrofuran, tetrahydrothiophene, pyrrolidine, thiomorpholine, piperidine-2-one, piperidine-2,6-dione, 2,5-pyrrolidinedione, 3-morpholinone, 2-oxohexamethyleneimine, 2-oxotetramethyleneimine, 1-pyrazoline, 2-pyrazoline, pyrazolidine, 2-imidazolidinone, 2-imidazolidinethione, 2,4-imidazolidinedione, 1,2-oxathiolane, 1,3-oxathiolane, 1,3-oxathiane, 1,4-oxathiane, 2(1H)-pyrazinone, 2H-pyran-2-one, 4H-pyran-4-one, 2H-pyran-2-thione, 4H-pyran-4-thione, tetrahydropyran, tetrahydrothiopyran, 7-oxabicyclo[2.2.1]heptane, 7-azabicyclo[2.2.1]heptane, oxetane, coumarin, 1,3-dioxane, 1,4-dioxane or 1,3-dioxolane;

- 268 -

$X_{32}$  represents  $-OCH_2-$ ,  $-CH_2-$ , a covalent bond,  $-C(halogen)_2$ ,  $-C\equiv C-$ ,  $-OCH(alkyl)-$ ,  $-OC(alkyl)_2$ ,  $-CH(alkyl)CH(alkyl)-$ ,  $-CH(alkyl)-$ ,  $-C(alkyl)_2-$ ,  $-OCH_2CH_2-$ ,  $-CH_2CH_2-$ ,  $SO$ ,  $-S-$ ,  $SO_2$ ,  $-CH_2S(O)_h-$ ,  $-CH(alkyl)S(O)_h-$ ,  $-S(O)_hCH_2-$ ,  $-CH(halogen)-$ ,  $-C(alkyl)(halogen)-$ ,  $-CH(CN)-$ ,  $-C(alkyl)(CN)-$ ,  $-C(=O)-$ ,  $-CH(O-alkyl)-$ ,  $-CH_2C(=O)-$ ,  $-C(=O)CH_2-$ ,  $-CH(alkyl)C(=O)-$ ,  $-C(=O)CH(alkyl)-$ ,  $-CH=CH-$ ,  $-C(alkyl)=CH-$ ,  $-CH=C(alkyl)-$ ,  $-C(alkyl)=C(alkyl)-$ ,  $-C(=O)CH=CH-$ , arylene,  $-Si(halogen)_2-$ ,  $-Si(alkyl)_2$ ,  $-CH-CH-$ ,  $-C(alkyl)-CH-$ ,  $-CH-C(alkyl)-$  or



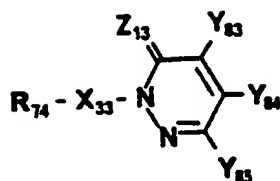
$-C(alkyl)-C(alkyl)-$ .

wherein  $h$  is a value of from 0 to 2 inclusive;

$Z_{12}$  represents  $O$ ,  $S$ ,  $C_1-C_8$  alkylidene, substituted or unsubstituted benzylidene,  $NH$  or  $NR'''$  wherein  $R'''$  is alkyl, aryl, aralkyl, alkenyl or alkynyl; and

$Y_{80}$ ,  $Y_{81}$  and  $Y_{82}$  are the same or different and represent hydrogen, halogen, alkyl, hydroxy, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl, polyhaloalkylsulfonyl, alkylamino, amino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, phosphono or phosphino;

- 269 -



(xxxi)

$\text{R}_{74}$  represents unsubstituted or substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkadienyl, cycloalkatrienyl, bicycloalkyl, bicycloalkenyl, bicycloalkadienyl, tricycloalkyl, tricycloalkenyl or tricycloalkadienyl;

$\text{X}_{33}$  represents  $-\text{OCH}_2-$ ,  $-\text{CH}_2-$ , a covalent bond,  $-\text{C}(\text{halogen})_2$ ,  $-\text{C}\equiv\text{C}-$ ,  $-\text{OCH}(\text{alkyl})-$ ,  $-\text{OC}(\text{alkyl})_2$ ,  $-\text{CH}(\text{alkyl})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})_2-$ ,  $-\text{OCH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $\text{SO}$ ,  $-\text{S}-$ ,  $\text{SO}_2$ ,  $-\text{CH}_2\text{S}(\text{O})_h-$ ,  $-\text{CH}(\text{alkyl})\text{S}(\text{O})_h-$ ,  $-\text{S}(\text{O})_h\text{CH}_2-$ ,  $-\text{CH}(\text{halogen})-$ ,  $-\text{C}(\text{alkyl})(\text{halogen})-$ ,  $-\text{CH}(\text{CN})-$ ,  $-\text{C}(\text{alkyl})(\text{CN})-$ , or  $-\text{C}(=\text{O})-$ ,  $-\text{CH}(\text{O-alkyl})-$ ,  $-\text{CH}_2\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}_2$ ,  $-\text{CH}(\text{alkyl})\text{C}(=\text{O})-$ ,  $-\text{C}(=\text{O})\text{CH}(\text{alkyl})-$ ,  $-\text{CH}=\text{CH}-$ ,  $-\text{C}(\text{alkyl})=\text{CH}-$ ,  $-\text{CH}=\text{C}(\text{alkyl})-$ ,  $-\text{C}(\text{alkyl})=\text{C}(\text{alkyl})-$ ,  $-\text{C}(=\text{O})\text{CH}=\text{CH}-$ , arylene,  $-\text{Si}(\text{halogen})_2-$ ,  $-\text{Si}(\text{alkyl})_2$ ,  $-\text{CH}-\text{CH}-$ ,  $-\text{C}(\text{alkyl})-\text{CH}-$ ,  $-\text{CH}-\text{C}(\text{alkyl})-$  or

$-\text{C}(\text{alkyl})-\text{C}(\text{alkyl})-$ :

wherein  $h$  is a value of from 0 to 2 inclusive;

$\text{Z}_{13}$  represents O, S,  $\text{C}_1-\text{C}_8$  alkylidene, substituted or unsubstituted benzylidene, NH or  $\text{NR}'''$  wherein  $\text{R}'''$  is alkyl, aryl, aralkyl, alkenyl or alkynyl; and .

- 270 -

$Y_{83}$ ,  $Y_{84}$  and  $Y_{85}$  are the same or different and represent hydrogen, halogen, alkyl, hydroxy, cyano, polyhaloalkyl, alkoxy, polyhaloalkoxy, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro, acyl, polyhaloalkylsulfonyl, alkylamino, amino, dialkylamino, acylamino, acyloxy, alkylsulfonyloxy, arylsulfonyloxy, alkenylsulfonyloxy, haloalkylsulfonyloxy or polyhaloalkylsulfonyloxy; in which the permissible substituents for formulae (i) through (xxxi) above are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxylimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocabonyl, alkylaminothiocabonyl, dialkylaminothiocabonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino.

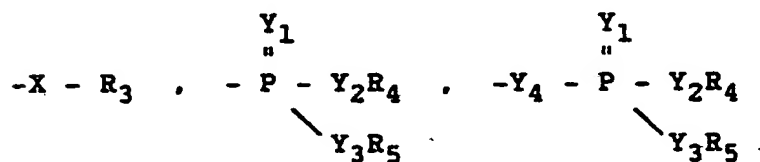
- 271 -

polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, carboxyalkoxy, carboxyalkylthio, alkoxycarbonylalkoxy, acyloxy, haloacyloxy, polyhaloacyloxy, aroyloxy, alkylsulfonyloxy, alkenylsulfonyloxy, arylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, aroylamino, haloacylamino, alkoxycarbonyloxy, arylsulfonylamino, aminocarbonyloxy, cyanato, isocyanato, isothiocyano, cycloalkylamino, trialkylammonium, arylamino, aryl(alkyl)amino,

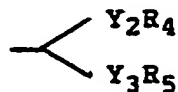
- 272 -

aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

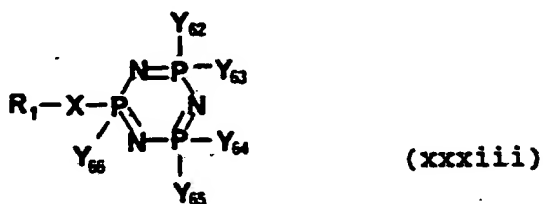
$-X, = X, -X = R_3, = X-R_3,$



or



$R_1 - X - R_{36} \quad (xxxii)$



wherein:

$Y_{62}, Y_{63}, Y_{64}, Y_{65}$  and  $Y_{66}$  are  
 the same or different and are halogen;

$R_1$  is a substituted or unsubstituted,  
 carbocyclic or heterocyclic ring system selected  
 from a monocyclic aromatic or nonaromatic ring  
 system, a bicyclic aromatic or nonaromatic ring

- 273 -

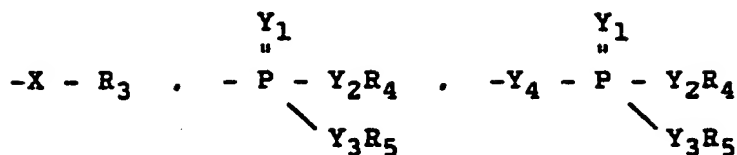
system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino, polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy,

- 274 -

polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, or a hydroxy  
group condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
aroyle, haloacyl, polyhaloacyl, aryloxycarbonyl,  
aminosulfonyl, alkylaminosulfonyl,  
dialkylaminosulfonyl, arylaminosulfonyl,  
carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
aroyleamino, haloacylamino, alkoxycarbonyloxy,  
arylsulfonylamino, aminocarbonyloxy, cyanato,  
isocyanato, isothiocyano, cycloalkylamino,  
trialkylammonium, arylamino, aryl(alkyl)amino,  
aralkylamino, alkoxyalkylphosphinyl,  
alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
dialkoxyphosphino, hydroxyamino, alkoxyamino,  
aryloxyamino, aryloxyimino, oxo, thiono,  
alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,



- 275 -



or



$R_1$  is a substituted heteroatom or substituted carbon atom, or a substituted or unsubstituted, branched or straight chain containing two or more carbon atoms or heteroatoms in any combination in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or

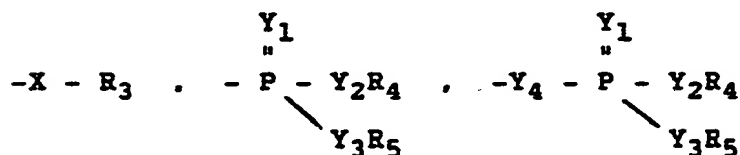
- 276 -

polyhaloalkenyl; alkylthio, polyhaloalkylthio,  
alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl,  
polyhaloalkylsulfonyl, alkylsulfonylamino,  
alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
aminosulfonyl, alkylaminosulfonyl,  
dialkylaminosulfonyl, arylaminosulfonyl,  
carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy.

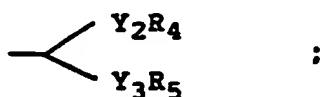
- 277 -

aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X, = X, -X = R_3, = X-R_3,$



or



$X$  is a covalent single bond or double bond,  
 a substituted or unsubstituted heteroatom or  
 substituted carbon atom, or a substituted or  
 unsubstituted, branched or straight chain containing  
 two or more carbon atoms or heteroatoms in any  
 combination in which the permissible substituents  
 are the same or different and are one or more  
 hydrogen, halogen, alkylcarbonyl,  
 alkylcarbonylalkyl, alkoxycarbonylalkyl,  
 alkoxycarbonylalkylthio, polyhaloalkenylthio,  
 thiocyano, propargylthio, hydroxyimino, alkoxyimino.

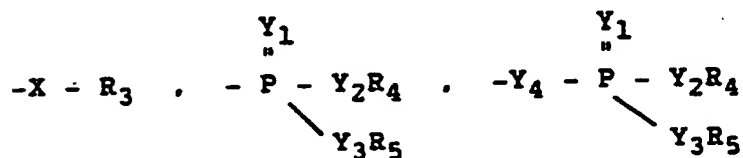
- 278 -

trialkylsilyloxy, aryldialkylsilyloxy,  
triarylsilyloxy, formamidino, alkylsulfamido,  
dialkylsulfamido, alkoxysulfonyl,  
polyhaloalkoxysulfonyl, hydroxy, amino,  
aminocarbonyl, alkylaminocarbonyl,  
dialkylaminocarbonyl, aminothiocarbonyl,  
alkylaminothiocarbonyl, dialkylaminothiocarbonyl,  
nitro, cyano, hydroxycarbonyl and derivative salts,  
formamido, alkyl, alkoxy, polyhaloalkyl,  
polyhaloalkoxy, alkoxycarbonyl, substituted amino in  
which the permissible substituents are the same or  
different and are one or two propargyl, alkoxyalkyl,  
alkylthioalkyl, alkyl, alkenyl, haloalkenyl or  
polyhaloalkenyl; alkylthio, polyhaloalkylthio,  
alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl,  
polyhaloalkylsulfonyl, alkylsulfonylamino,  
alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,

- 279 -

alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
 arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
 haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
 haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
 alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
 aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
 aminosulfonyl, alkylaminosulfonyl,  
 dialkylaminosulfonyl, arylaminosulfonyl,  
 carboxyalkoxy, carboxyalkylthio,  
 alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
 polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyposphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

-X, = X, -X = R<sub>3</sub>, = X-R<sub>3</sub>,



or

- 280 -



$R_{36}$  is a substituted or unsubstituted, asymmetrical heterocyclic ring system having at least three nitrogen atoms which are selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyano, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryl dialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino,

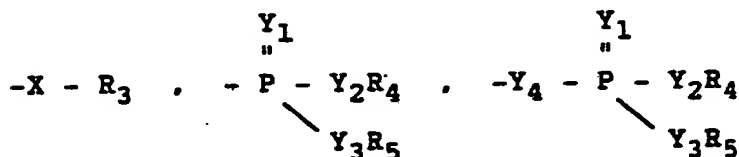
- 281 -

alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl,  
aminosulfonyl, alkylaminosulfonyl,  
dialkylaminosulfonyl, arylaminosulfonyl,  
carboxyalkoxy, carboxyalkylthio,  
alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
alkenylsulfonyloxy, arylsulfonyloxy,  
haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
aroylamino, haloacylamino, alkoxycarbonyloxy,  
arylsulfonylamino, aminocarbonyloxy, cyanato,  
isocyanato, isothiocyano, cycloalkylamino,

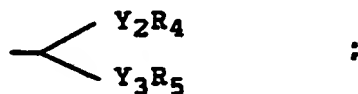
- 282 -

trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X_1 = X_1$ ,  $-X_1 = R_3$ ,  $-X_1 = X_1-R_3$ ,



or



wherein:

$R_3$  is a substituted or unsubstituted, carbocyclic or heterocyclic ring system selected from a monocyclic aromatic or nonaromatic ring system, a bicyclic aromatic or nonaromatic ring system, a polycyclic aromatic or nonaromatic ring system, and a bridged ring system which may be saturated or unsaturated in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxyalkonylalkyl, alkoxyalkonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido,



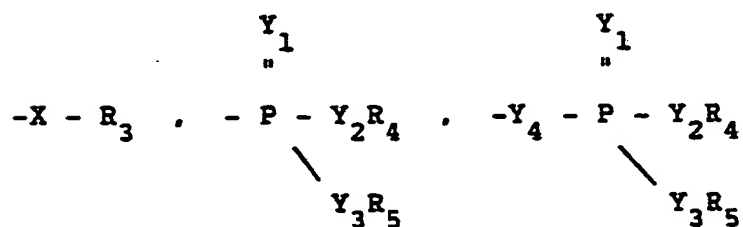
- 283 -

dialkylsulfamido, alkoxysulfonyl,  
polyhaloalkoxysulfonyl, hydroxy, amino,  
aminocarbonyl, alkylaminocarbonyl,  
dialkylaminocarbonyl, aminothiocarbonyl,  
alkylaminothiocarbonyl, dialkylaminothiocarbonyl,  
nitro, cyano, hydroxycarbonyl and derivative salts,  
formamido, alkyl, alkoxy, polyhaloalkyl,  
polyhaloalkoxy, alkoxycarbonyl, substituted amino in  
which the permissible substituents are the same or  
different and are one or two propargyl, alkoxyalkyl,  
alkylthioalkyl, alkyl, alkenyl, haloalkenyl or  
polyhaloalkenyl; alkylthio, polyhaloalkylthio,  
alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl,  
polyhaloalkylsulfonyl, alkylsulfonylamino,  
alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,

- 284 -

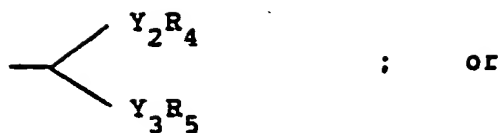
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
 haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
 alkoxysulfonyl, aryloxysulfonyl, propargyloxy,  
 aroyl, haloacyl, polyhaloacyl, aryloxy carbonyl,  
 aminosulfonyl, alkylaminosulfonyl,  
 dialkylaminosulfonyl, arylaminosulfonyl,  
 carboxyalkoxy, carboxyalkylthio,  
 alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
 polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X, = X, -X = R_3, = X-R_3,$



or

- 285 -



$R_3$  is a substituted heteroatom or substituted carbon atom, or a substituted or unsubstituted, branched or straight chain containing two or more carbon atoms or heteroatoms in any combination in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxycarbonylalkyl, alkoxycarbonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxysulfonyl, polyhaloalkoxysulfonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminothiocarbonyl, alkylaminothiocarbonyl, dialkylaminothiocarbonyl, nitro, cyano, hydroxycarbonyl and derivative salts, formamido, alkyl, alkoxy, polyhaloalkyl, polyhaloalkoxy, alkoxycarbonyl, substituted amino in which the permissible substituents are the same or different and are one or two propargyl, alkoxyalkyl, alkylthioalkyl, alkyl, alkenyl, haloalkenyl or polyhaloalkenyl; alkylthio, polyhaloalkylthio, alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl, polyhaloalkylsulfonyl, alkylsulfonylamino, alkylcarbonylamino, polyhaloalkylsulfonylamino.

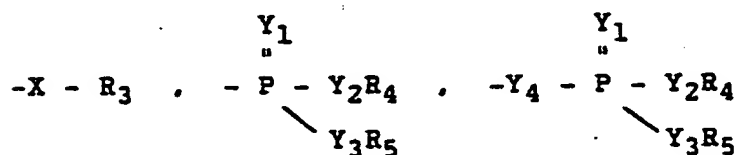
- 286 -

polyhaloalkylcarbonylamino, trialkylsilyl, aryldialkylsilyl, triarylsilyl, sulfonic acid and derivative salts, phosphonic acid and derivative salts, alkoxycarbonylamino, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl, alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy, polyhaloalkynyl, polyhaloalkynyloxy, polyfluoroalkanol, cyanoalkylamino, semicarbazonomethyl, alkoxycarbonylhydrazonomethyl, alkoxyiminomethyl, unsubstituted or substituted aryloxyiminomethyl, hydrazonomethyl, unsubstituted or substituted arylhydrazonomethyl, a hydroxy group condensed with a mono-, di- or polysaccharide, haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl, aryloxy, aralkoxy, arylthio, aralkylthio, alkylthioalkyl, arylthioalkyl, arylsulfinyl, arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl, haloalkenyloxy, haloalkynyloxy, haloalkynylthio, haloalkenylsulfonyl, polyhaloalkenylsulfonyl, alkoxysulfonyl, aryloxysulfonyl, propargyloxy, aroyl, haloacyl, polyhaloacyl, aryloxycarbonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, carboxyalkoxy, carboxyalkylthio, alkoxycarbonylalkoxy, acyloxy, haloacyloxy, polyhaloacyloxy, aroyloxy, alkylsulfonyloxy, alkenylsulfonyloxy, arylsulfonyloxy, haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy, aroylamino, haloacylamino, alkoxycarbonyloxy, arylsulfonylamino, aminocarbonyloxy, cyanato, isocyanato, isothiocyano, cycloalkylamino, trialkylammonium, arylamino, aryl(alkyl)amino,

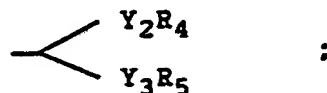
- 287 -

aralkylamino, alkoxyalkylphosphinyl,  
 alkoxyalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxyphosphino, hydroxyamino, alkoxyamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxyalkoxy,  
 alkoxyalkenyl, cyanoalkoxy, dialkylsulfonium,

-X, = X, -X = R<sub>3</sub>, = X-R<sub>3</sub>.



or



Y<sub>1</sub> and Y<sub>4</sub> are independently oxygen or sulfur;

Y<sub>2</sub> and Y<sub>3</sub> are independently oxygen, sulfur, amino or a covalent bond; and

R<sub>4</sub> and R<sub>5</sub> are independently hydrogen or substituted or unsubstituted alkyl, polyhaloalkyl, phenyl or benzyl in which the permissible substituents are the same or different and are one or more hydrogen, halogen, alkylcarbonyl, alkylcarbonylalkyl, alkoxyalkonylalkyl, alkoxyalkonylalkylthio, polyhaloalkenylthio, thiocyno, propargylthio, hydroxyimino, alkoxyimino, trialkylsilyloxy, aryldialkylsilyloxy, triarylsilyloxy, formamidino, alkylsulfamido, dialkylsulfamido, alkoxyalkonyl, polyhaloalkoxyalkonyl, hydroxy, amino, aminocarbonyl, alkylaminocarbonyl,

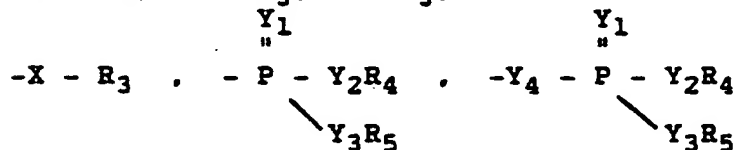
- 288 -

dialkylaminocarbonyl, aminothiocarbonyl,  
alkylaminothiocarbonyl, dialkylaminothiocarbonyl,  
nitro, cyano, hydroxycarbonyl and derivative salts,  
formamido, alkyl, alkoxy, polyhaloalkyl,  
polyhaloalkoxy, alkoxycarbonyl, substituted amino in  
which the permissible substituents are the same or  
different and are one or two propargyl, alkoxyalkyl,  
alkylthioalkyl, alkyl, alkenyl, haloalkenyl or  
polyhaloalkenyl; alkylthio, polyhaloalkylthio,  
alkylsulfinyl, polyhaloalkylsulfinyl, alkylsulfonyl,  
polyhaloalkylsulfonyl, alkylsulfonylamino,  
alkylcarbonylamino, polyhaloalkylsulfonylamino,  
polyhaloalkylcarbonylamino, trialkylsilyl,  
aryldialkylsilyl, triarylsilyl, sulfonic acid and  
derivative salts, phosphonic acid and derivative  
salts, alkoxycarbonylamino, alkylaminocarbonyloxy,  
dialkylaminocarbonyloxy, alkenyl, polyhaloalkenyl,  
alkenyloxy, alkynyl, alkynyloxy, polyhaloalkenyloxy,  
polyhaloalkynyl, polyhaloalkynyloxy,  
polyfluoroalkanol, cyanoalkylamino,  
semicarbazonomethyl, alkoxycarbonylhydrazonomethyl,  
alkoxyiminomethyl, unsubstituted or substituted  
aryloxyiminomethyl, hydrazonomethyl, unsubstituted  
or substituted arylhydrazonomethyl, a hydroxy group  
condensed with a mono-, di- or polysaccharide,  
haloalkyl, haloalkenyl, haloalkynyl, alkoxyalkyl,  
aryloxy, aralkoxy, arylthio, aralkylthio,  
alkylthioalkyl, arylthioalkyl, arylsulfinyl,  
arylsulfonyl, haloalkylsulfinyl, haloalkylsulfonyl,  
haloalkenyloxy, haloalkynyloxy, haloalkynylthio,  
haloalkenylsulfonyl, polyhaloalkenylsulfonyl,  
alkoxysulfonyl, aryloxysulfonyl, propargyloxy.

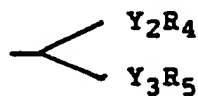
- 289 -

aroyl, haloacyl, polyhaloacyl, aryloxy carbonyl,  
 aminosulfonyl, alkylaminosulfonyl,  
 dialkylaminosulfonyl, arylaminosulfonyl,  
 carboxyalkoxy, carboxyalkylthio,  
 alkoxycarbonylalkoxy, acyloxy, haloacyloxy,  
 polyhaloacyloxy, aroyloxy, alkylsulfonyloxy,  
 alkenylsulfonyloxy, arylsulfonyloxy,  
 haloalkylsulfonyloxy, polyhaloalkylsulfonyloxy,  
 aroylamino, haloacylamino, alkoxycarbonyloxy,  
 arylsulfonylamino, aminocarbonyloxy, cyanato,  
 isocyanato, isothiocyano, cycloalkylamino,  
 trialkylammonium, arylamino, aryl(alkyl)amino,  
 aralkylamino, alkoxylalkylphosphinyl,  
 alkoxylalkylphosphinothioyl, alkylhydroxyphosphinyl,  
 dialkoxylphosphino, hydroxyamino, alkoxylamino,  
 aryloxyamino, aryloxyimino, oxo, thiono,  
 alkylaminoalkoxy, dialkylaminoalkoxy, alkoxylalkoxy,  
 alkoxylalkenyl, cyanoalkoxy, dialkylsulfonium,

$-X, = X, -X = R_3, = X-R_3,$



or

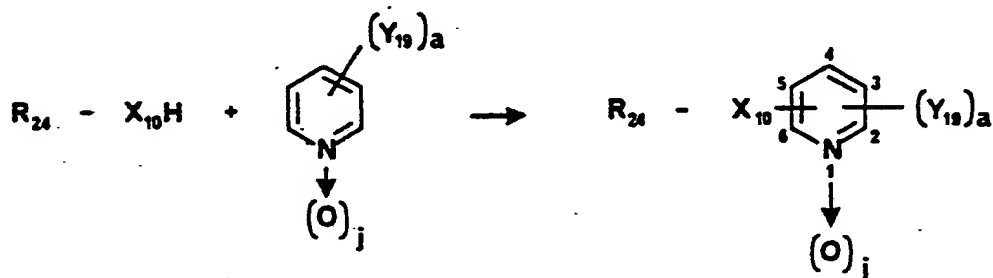


The heterocyclic nitrogen-containing compounds encompassed within formula 1 can be prepared by conventional methods known in the art, and many may be available from various suppliers.

- 290 -

The novel heterocyclic nitrogen-containing compounds of formulae (i) through (xxxiii) above which may be used in the method of this invention can be prepared by reacting appropriate starting ingredients in accordance with conventional procedures described in the art as illustrated below.

The novel heterocyclic nitrogen-containing compounds of formula (i) can be prepared by the following general reaction scheme:



Scheme I

wherein  $R_{24}$ ,  $X_{10}$ ,  $a$ ,  $j$  and  $Y_{19}$  are as defined hereinabove. Reactions of this general type for preparing substituted pyridines including process conditions are described for example by Mertel, H.E., The Chemistry of Heterocyclic Compounds, Pyridine and Derivatives-Part Two, Halopyridines, p. 351, Interscience, Wiley, New York (1961).

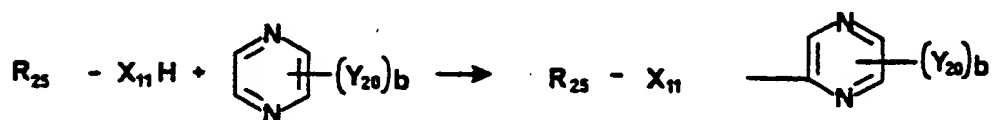
Intermediates such as 2,4,6-trichloropyridine are described in U.S. Patent 3,830,820. Other preparation methods for the novel compounds of formula (i) are described in Fuson, R.C., Advanced Organic Chemistry, p. 124, Wiley, New York (1950).



- 291 -

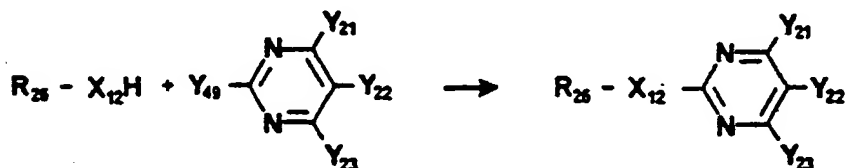
and Ochiai, E., Aromatic Amine Oxides, p. 21.  
Elsevier, New York (1967).

The novel heterocyclic nitrogen-containing  
compounds of formula (ii) can be prepared by the  
following general reaction scheme:

Scheme II

wherein  $R_{25}$ ,  $X_{11}$ ,  $b$  and  $Y_{20}$  are as defined  
hereinabove. Reactions of this general type for  
preparing substituted pyrazines including process  
conditions are described for example in U.S. Patent  
4,254,125.

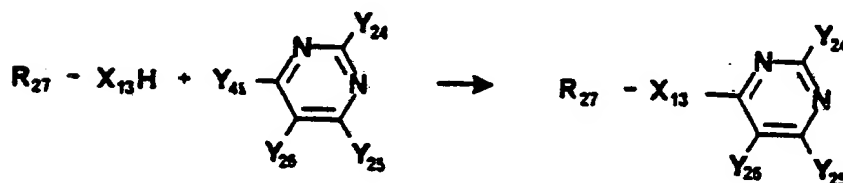
The novel heterocyclic nitrogen-containing  
compounds of formula (iii) can be prepared by the  
following general reaction scheme:

Scheme III

- 292 -

wherein  $R_{26}$ ,  $X_{12}$ ,  $Y_{21}$ ,  $Y_{22}$  and  $Y_{23}$  are as defined hereinabove and  $Y_{49}$  is halogen. Reactions of this general type for preparing 2-substituted pyrimidines including process conditions are described for example by Hurst, D.T., An Introduction to the Chemistry and Biochemistry of Pyrimidines, Purines and Pteridines, pp. 49-53, Wiley, New York (1980). Intermediates in which  $Y_{21}$  and  $Y_{23}$  are alkylthio are described by Eilingsfeld, H. and Schevermann, H., Chem. Ber., 100, pp. 1874-1891 (1967). Other preparation methods for the novel compounds of formula (iii) such as the Rembray-Hull pyrimidine synthesis are described in Brown, D.J., The Pyrimidines; The Chemistry of Heterocyclic Compounds, pp. 98, 169-170, 166, Interscience, Wiley, New York (1960).

The novel heterocyclic nitrogen-containing compounds of formula (iv) can be prepared by the following general reaction scheme:

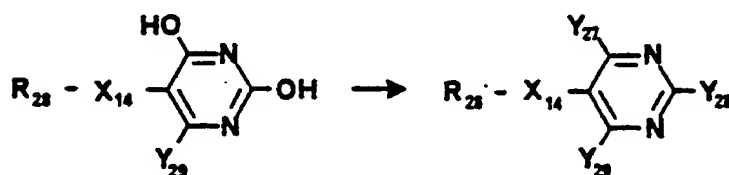


Scheme IV

- 293 -

wherein  $R_{27}$ ,  $X_{13}$ ,  $Y_{24}$ ,  $Y_{25}$ ,  $Y_{26}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing 4-substituted pyrimidines including process conditions are described for example by Josima, T., et. al. Sankyo Kenkyusho Newpo, 32, pp. 114-120 (1980).

The novel heterocyclic nitrogen-containing compounds of formula (v) can be prepared by the following general reaction scheme:

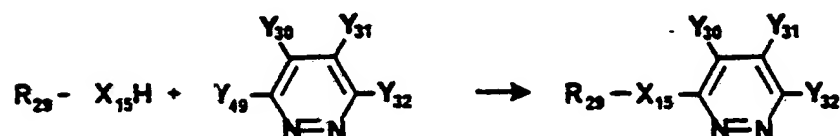


Scheme V

wherein  $R_{28}$ ,  $X_{14}$ ,  $Y_{27}$ ,  $Y_{28}$  and  $Y_{29}$  are as defined hereinabove. Reactions of this general type for preparing 5-substituted pyrimidines including process conditions and intermediate preparations are described for example by Fieser, L.F. and Fieser, M., Organic Chemistry, p. 310, Heath, Boston (1972) also Brown, D.J., The Pyrimidines; The Chemistry of Heterocyclic Compounds, pp. 50, 166, Interscience, Wiley, New York (1962).

- 294 -

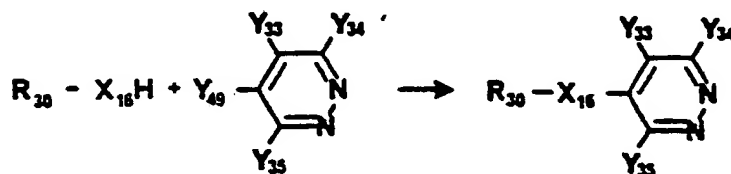
The novel heterocyclic nitrogen-containing compounds of formula (vi) can be prepared by the following general reaction scheme:



#### Scheme VI

wherein  $R_{29}$ ,  $X_{15}$ ,  $Y_{30}$ ,  $Y_{31}$ ,  $Y_{32}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing 3-substituted pyridazines including process conditions and intermediate preparations are described for example by Jojima, T. et al., Agric. Biol. Chem., 32, (11), 1376-1381 (1968) and Eillingsfeld, H. and Schevermann, H., Chem. Ber., 100, 1874-1891 (1967).

The novel heterocyclic nitrogen-containing compounds of formula (vii) can be prepared by the following general reaction scheme:

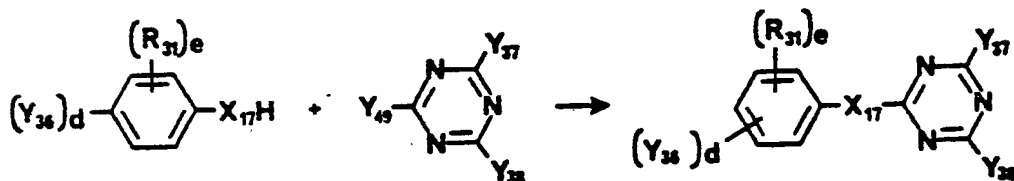


#### Scheme VII

- 295 -

wherein  $R_{30}$ ,  $X_{16}$ ,  $Y_{33}$ ,  $Y_{34}$ ,  $Y_{35}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing 4-substituted pyridazines including process conditions and intermediate preparations are described for example by Jojime, T. et al., Agric. Biol. Chem., 32, (11), 1376-1381 (1968).

The novel heterocyclic nitrogen-containing compounds of formula (viii) can be prepared by the following general reaction scheme:



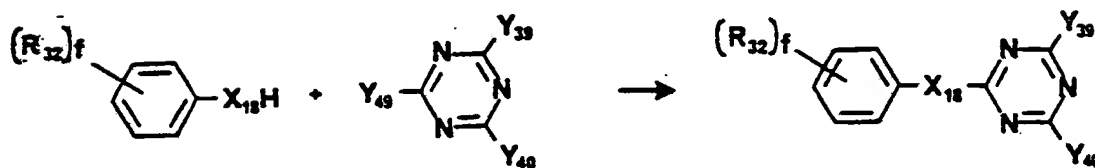
#### Scheme VIII

wherein  $Y_{36}$ ,  $Y_{37}$ ,  $Y_{38}$ ,  $Y_{49}$ ,  $d$ ,  $e$ ,  $R_{31}$  and  $X_{17}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are described for example in German Patent 952,478, U.S. Patent 2,824,823, Koopman, H. et al., Rec. Trav. Chim., 78, 967-980 (1959), Drabek, J. and Skrobal, M., Chem. Zvesti,

- 296 -

17, (7), 482-487 (1963), Hirt, R. et al., *Helv. Chim. Acta*, 33, 1365 (1950), and German Patent 1,076,696. Other preparation methods for the novel compounds of formula (viii) are described in U.S. Patent 4,220,765, U.S. Patent 2,691,019 and Chakrabarti, J.K. et al., *J. Chem. Soc.* 861 (1974).

The novel heterocyclic nitrogen-containing compounds of formula (ix) can be prepared by the following general reaction scheme:



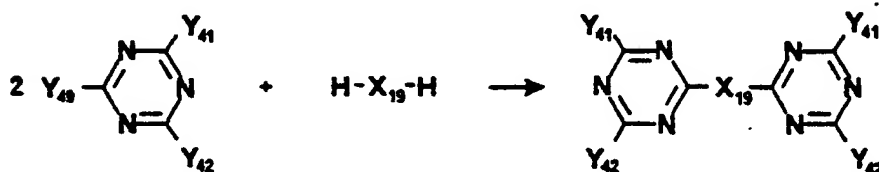
Scheme IX

wherein  $R_{32}$ ,  $f$ ,  $X_{18}$ ,  $Y_{39}$ ,  $Y_{40}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are described for example in U.S.

- 297 -

Patent 3,316,264. Intermediates such as 2,4-dichloro-6-(diethoxyphosphinyl)-1,3,5-triazine are described in Japan Patent 74 46635. Other preparation methods for the novel compounds of formula (ix) are described in Mendoza, C.E. et al., J. Ag. Food Chem., 19, (1), 41-45 (1972).

The novel heterocyclic nitrogen-containing compounds of formula (x) can be prepared by the following general reaction scheme:

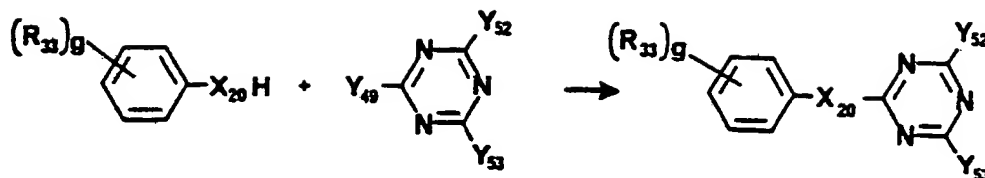


Scheme X

wherein  $Y_{41}$ ,  $Y_{42}$ ,  $Y_{49}$  and  $X_{19}$  are as defined hereinabove. Reactions of this general type for preparing bis-1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above.

The novel heterocyclic nitrogen-containing compounds of formula (xi) can be prepared by the following general reaction scheme:

- 298 -

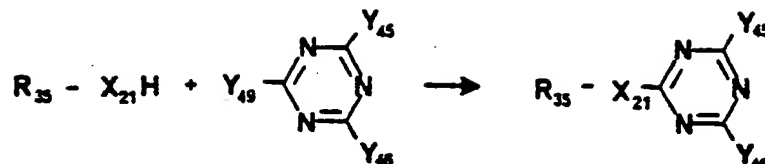
Scheme XI

wherein  $R_{33}$ ,  $g$ ,  $X_{20}$ ,  $Y_{52}$ ,  $Y_{53}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above. Other preparation methods for the novel compounds of formula (xi) are described in Allen, C.F.H. and Converse, S., Org. Syn. Coll., Vol. I, 226-227, U.S. Patent 1,911,689, Bessiere-Chretien, Y. and Serne, H., Bull. Soc. Chim. France, (6), Part 2, 2039-2046 (1973), Japan Patent 28,101, Japan Patent 28,100, Japan Patent 28,098, Japan Patent 9155, Loew, P. and Weis, C.D., J. Heterocyclic Chem., 13, 829-833 (1976) and Richter, G.H., Textbook of Organic Chemistry, p. 486, Wiley, New York (1967).

The novel heterocyclic nitrogen-containing compounds of formula (xii) can be prepared by the following general reaction scheme:



- 299 -

Scheme XII

wherein  $R_{35}$ ,  $X_{21}$ ,  $Y_{45}$ ,  $Y_{46}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing heterocyclic substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above. Other preparation methods for the novel compounds of formula (xii) are described in Koopman, H. and Daams, J., *Rec. Trav. Chim.*, **77**, 235-240 (1958) and United Kingdom Patent 908,352.

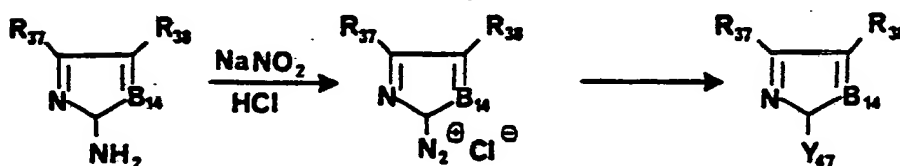
The novel heterocyclic nitrogen-containing compounds of formula (xiii) can be prepared by the following general reaction scheme:

Scheme XIII

- 300 -

wherein  $R_{37}$ ,  $R_{38}$ ,  $B_{14}$  and  $Y_{47}$  are as defined hereinabove. Reactions of this general type for preparing substituted azoles including process conditions and intermediate preparations are described for example by Hautzsch, A., Chem. Ber., 24, 495 (1891), Adembri, G. and Tedeschi, P., Bull. Sci. Facul. Chim. Ind. Bologna, 23, 203 (1965) and Carr, J.B. et al., J. Med. Chem., 20, (7), 934-939 (1977).

The novel heterocyclic nitrogen-containing compounds of formula (xiv) can be prepared by the following general reaction scheme:



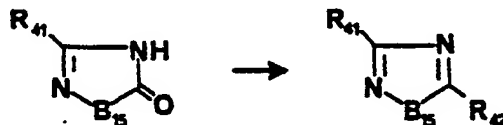
Scheme XIV

wherein  $R_{37}$ ,  $R_{38}$ ,  $B_{14}$  and  $Y_{47}$  are as defined hereinabove. Reactions of this general type for preparing substituted azoles including process conditions and intermediate preparations are described in Pahanayak, B.K., J. Ind. Chem. Soc., 55, (3), 264-267 (1978) and Young, T.E. and Amstutz, E.D., J. Amer. Chem. Soc., 73, 4773-4775, (1951). Other preparation methods for the novel compounds of formula (xiv) are described by Tripathi, H. et al.,

- 301 -

Agric. Biol. Chem., 37, 1375 (1973) and Young, T.E. and Amstutz, E.D., J. Amer. Chem. Soc. 73, 4773-4775 (1951).

The novel heterocyclic nitrogen-containing compounds of formula (xv) can be prepared by the following general reaction scheme:

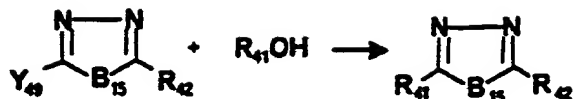


Scheme XV

wherein R<sub>41</sub>, R<sub>42</sub>, and B<sub>15</sub> are as defined hereinabove. Reactions of this general type for preparing substituted 1,2,4-azoles including process conditions and intermediate preparations are described by Selim, M. and Selim, M., Bull. Soc. Chim. France, 1219-1220 (1967).

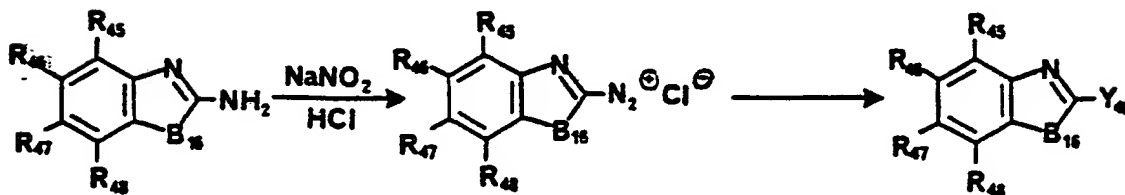
The novel heterocyclic nitrogen-containing compounds of formula (xvi) can be prepared by the following general reaction scheme:

- 302 -

Scheme XVI

wherein  $\text{R}_{41}$ ,  $\text{R}_{42}$ ,  $\text{B}_{15}$  and  $\text{Y}_{49}$  are defined hereinabove. Reactions of this general type for preparing substituted 1,3,4-azoles including process conditions and intermediate preparations are described by Koopman, H. et al., Rec. Trav. Chim, 78, 967-980 (1959). A useful intermediate is described in United Kingdom Patent 913,910.

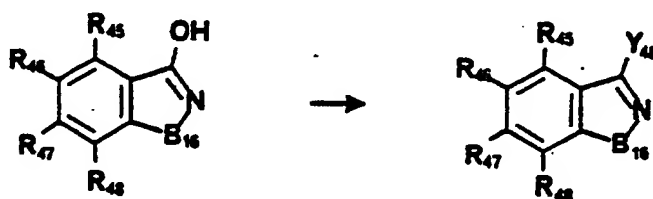
The novel heterocyclic nitrogen-containing compounds of formula (xvii) can be prepared by the following general reaction scheme:

Scheme XVII

- 303 -

wherein  $R_{45}$ ,  $R_{46}$ ,  $R_{47}$ ,  $R_{48}$ ,  $B_{16}$  and  $Y_{48}$  are as defined hereinabove. Reactions of this general type for preparing substituted benzazoles including process conditions and intermediate preparations are described by Hegershoff, A., Chem. Ber., 36, 3121-3134 (1903) and Young, T.E. and Amstutz, E.D., J. Amer. Chem. Soc., 73, 4773-4775 (1951).

The novel heterocyclic nitrogen-containing compounds of formula (xviii) can be prepared by the following general reaction scheme:

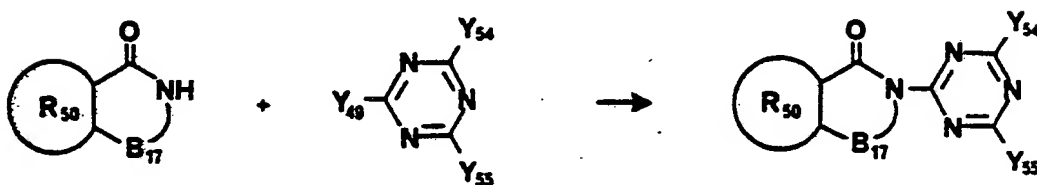


Scheme XVIII

wherein  $R_{45}$ ,  $R_{46}$ ,  $R_{47}$ ,  $R_{48}$ ,  $B_{16}$  and  $Y_{48}$  are as defined hereinabove. Reactions of this general type for preparing substituted benzisoxazoles including process conditions and intermediate preparations are described in Comprehensive Heterocyclic Chemistry, Vol. 16, p. 58, Pergamon Press, New York (1984).

- 304 -

The novel heterocyclic nitrogen-containing compounds of formula (xix) can be prepared by the following general reaction scheme:

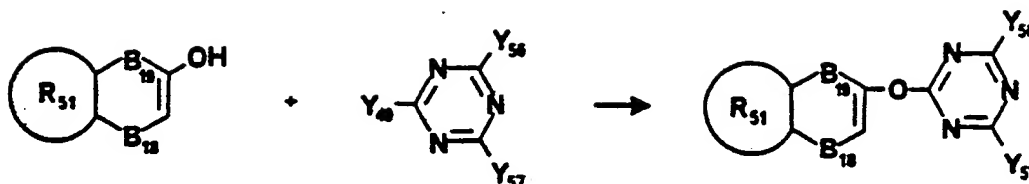


Scheme XIX

wherein  $R_{50}$ ,  $B_{17}$ ,  $Y_{54}$ ,  $Y_{55}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above. Other preparation methods for the novel compounds of formula (xix) are described by Beech, W.F., J. Chem. Soc., (C), 466-472 (1967).

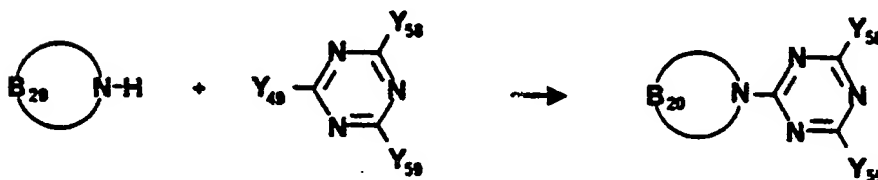
The novel heterocyclic nitrogen-containing compounds of formula (xx) can be prepared by the following general reaction scheme:

- 305 -

Scheme XX

wherein R<sub>51</sub>, B<sub>18</sub>, B<sub>19</sub>, Y<sub>56</sub>, Y<sub>57</sub> and Y<sub>49</sub> are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above.

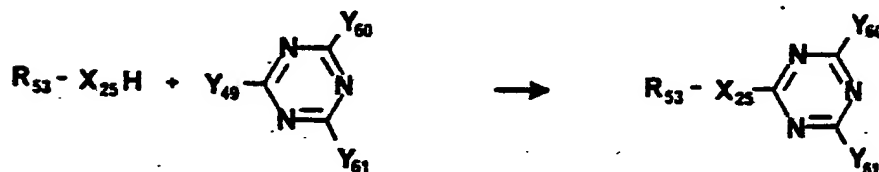
The novel heterocyclic nitrogen-containing compounds of formula (xxi) can be prepared by the following general reaction scheme:

Scheme XXI

- 306 -

wherein  $B_{20}$ ,  $Y_{58}$ ,  $Y_{59}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxii) can be prepared by the following general reaction scheme:



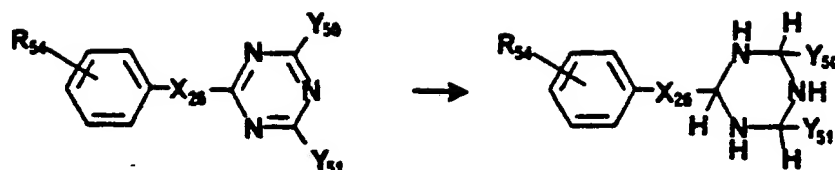
Scheme XXII

wherein  $R_{53}$ ,  $X_{25}$ ,  $Y_{60}$ ,  $Y_{61}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted 1,3,5-triazines including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxiii) can be prepared by the following general reaction scheme:

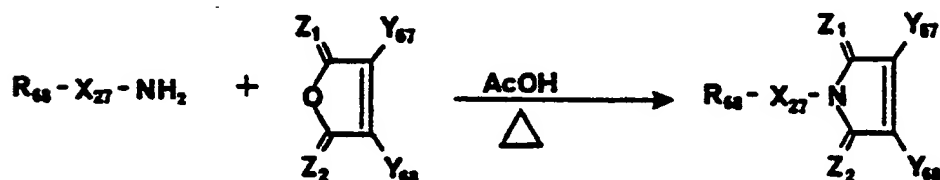


- 307 -

Scheme XXIII

wherein  $R_{54}$ ,  $X_{26}$ ,  $Y_{50}$  and  $Y_{51}$  are as defined hereinabove. Reactions of this general type for preparing hexahydro-1,3,5-triazines including process conditions are described for example by Meyers, A.I. et al., J. Amer. Chem. Soc., 91, 763 (1969). The preparation of appropriate intermediates is similar to procedures employed for preparing compounds of formula (viii) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxiv) can be prepared by the following general reaction scheme:

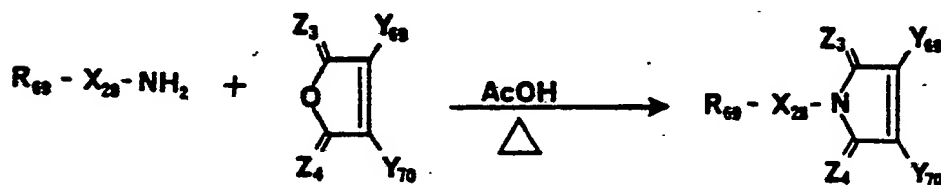
Scheme XXIV

wherein  $R_{68}$ ,  $X_{27}$ ,  $Z_1$ ,  $Z_2$ ,  $Y_{67}$  and  $Y_{68}$  are as defined hereinabove. Reactions of this general type for preparing substituted maleimides including process conditions and intermediate preparations are described for example in Japan

- 308 -

Patent 75,117,929. Other preparation methods for the novel compounds of formula (xxiv) are described in U.S. Patent 3,129,225 and Japan Patent 75,132,129.

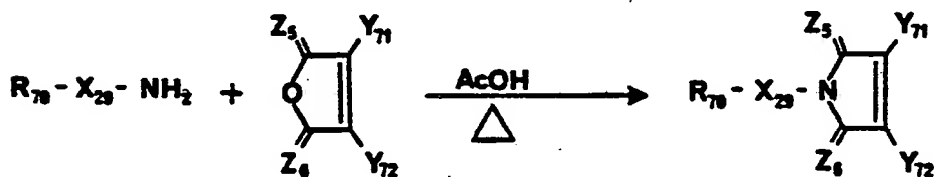
The novel heterocyclic nitrogen-containing compounds of formula (xxv) can be prepared by the following general reaction scheme:



Scheme XXV

wherein  $R_{69}$ ,  $X_{28}$ ,  $Z_3$ ,  $Z_4$ ,  $Y_{69}$  and  $Y_{70}$  are as defined hereinabove. Reactions of this general type for preparing substituted maleimides, including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (xxiv) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxvi) can be prepared by the following general reaction scheme:



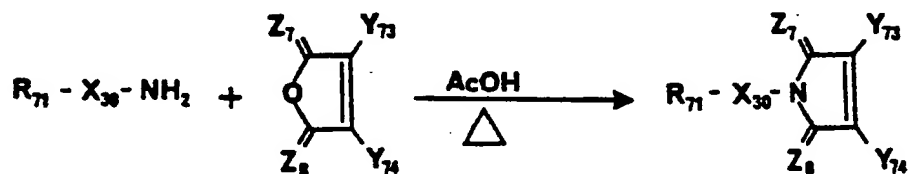
Scheme XXVI

wherein  $R_{70}$ ,  $X_{29}$ ,  $Z_5$ ,  $Z_6$ ,  $Y_{71}$  and  $Y_{72}$  are as defined hereinabove. Reactions of this general type for preparing substituted maleimides including process conditions and intermediate

- 309 -

preparations are similar to the procedures employed for preparing compounds of formula (xxiv) above.

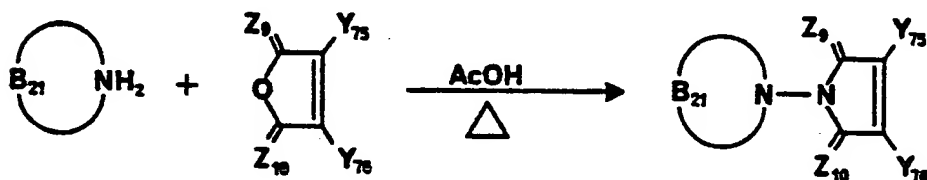
The novel heterocyclic nitrogen-containing compounds of formula (xxvii) can be prepared by the following general reaction scheme:



Scheme XXVII

wherein  $R_{71}$ ,  $X_{30}$ ,  $Z_7$ ,  $Z_8$ ,  $Y_{73}$  and  $Y_{74}$  are as defined hereinabove. Reactions of this general type for preparing substituted maleimides including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (xxiv) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxviii) can be prepared by the following general reaction scheme:



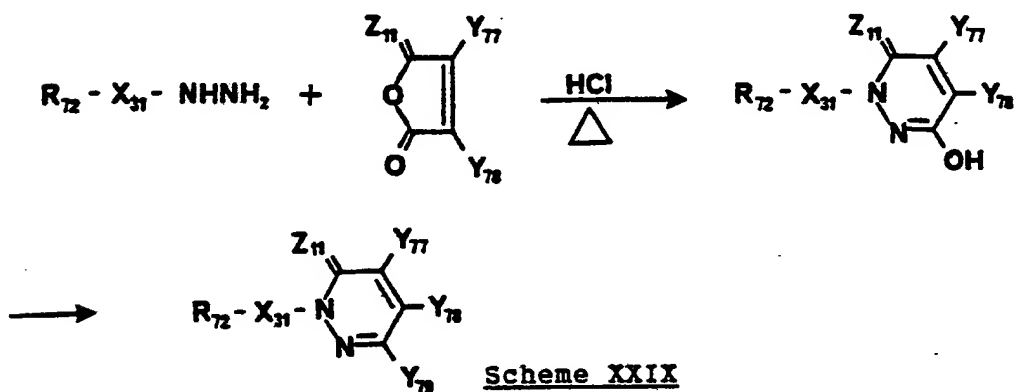
Scheme XXVIII

wherein  $B_{21}$ ,  $Z_9$ ,  $Z_{10}$ ,  $Y_{75}$  and  $Y_{76}$  are as defined hereinabove. Reactions of this general type for preparing substituted maleimides including process conditions and intermediate preparations are

- 310 -

similar to the procedures employed for preparing compounds of formula (xxiv) above.

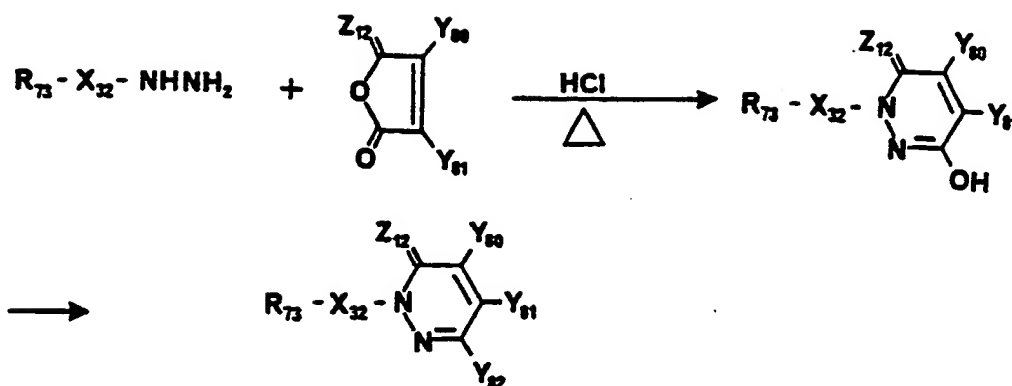
The novel heterocyclic nitrogen-containing compounds of formula (xxix) can be prepared by the following general reaction scheme:



wherein  $R_{72}$ ,  $Y_{31}$ ,  $Z_{11}$ ,  $Y_{77}$ ,  $Y_{78}$  and  $Y_{79}$  are as defined hereinabove. Suitable halogenating agents include, for example,  $PCl_5$ ,  $POCl_3$ ,  $PBr_5$  and  $POBr_3$  and mixtures thereof. Reactions of this general type for preparing substituted pyridazinones including process conditions and intermediate preparations are described for example in Yuki Gosei Kagaku Kyotai Shi 28, (4), 462-463 (1970). Other preparation methods for the novel compounds of formula (xxix) are described in Yakugaku Zasshi 86, (12), 1168-1172 (1966), Acta Dol. Pharm. 36, (3), 301-306 (1979), U.S. Patent 2,963,477, Japan Patent 6,822,309, Org. Prep. Proced. Int. 17, (2), 107-114 (1985), Arm. Khim. Zh. 21, (6), 515-520 (1968) and German Patent 1,948,550.

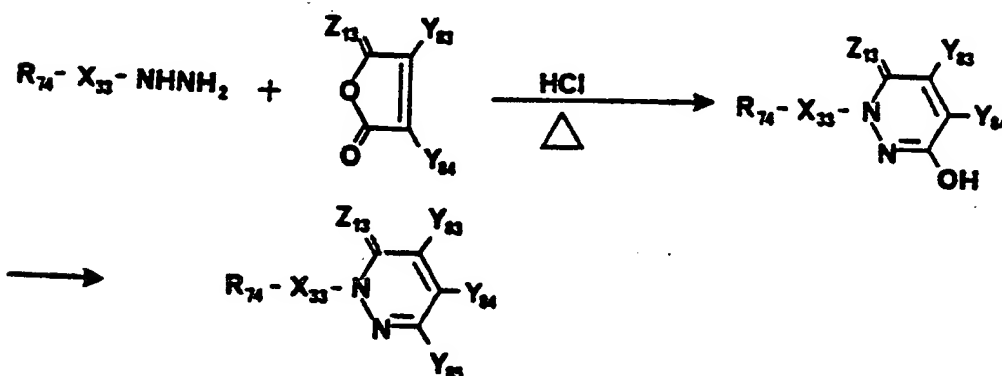
The novel heterocyclic nitrogen-containing compounds of formula (xxx) can be prepared by the following general reaction scheme:

- 311 -

Scheme XXX

wherein  $R_{73}$ ,  $X_{32}$ ,  $Z_{12}$ ,  $Y_{80}$ ,  $Y_{81}$  and  $Y_{82}$  are as defined hereinabove. Reactions of this general type for preparing substituted pyridazinones including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (xxix) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxxi) can be prepared by the following general reaction scheme:

Scheme XXXI

wherein  $R_{74}$ ,  $X_{33}$ ,  $Z_{13}$ ,  $Y_{83}$ ,  $Y_{84}$  and  $Y_{85}$  are as defined hereinabove. Reactions of this general type for preparing substituted pyridazinones

- 312 -

including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (xxix) above.

The novel heterocyclic nitrogen-containing compounds of formula (xxxii) can be prepared by the following general reaction scheme:

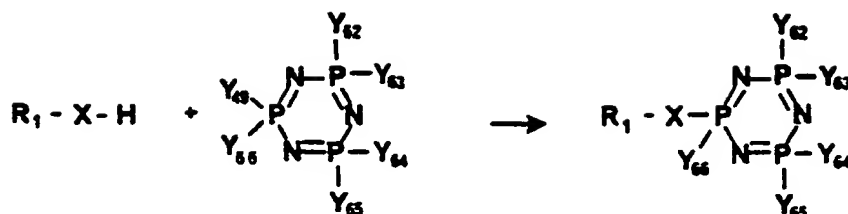


Scheme XXXII

wherein  $R_1$ , X,  $R_{36}$  and  $Y_{49}$  are as defined hereinabove. Reactions of this general type for preparing substituted asymmetrical compounds including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above using appropriate starting ingredients.

The novel heterocyclic nitrogen-containing compounds of formula (xxxiii) can be prepared by the following general reaction scheme:

- 313 -

Scheme XXXIII

wherein  $R_1$ ,  $Y_{62}$ ,  $Y_{63}$ ,  $Y_{64}$ ,  $Y_{65}$ ,  $Y_{66}$  and  $X$  are as defined hereinabove. Reactions of this general type for preparing substituted cyclotriphosphazenes including process conditions and intermediate preparations are similar to the procedures employed for preparing compounds of formula (viii) above using appropriate starting ingredients.

In addition to the above, other illustrative procedures which may be employed in preparing heterocyclic nitrogen-containing compounds encompassed within formula 1 are described, for example, in the following: Italy Patent 589,543, Italy Patent 588,280, United Kingdom Patent 872,313, Canada Patent 659,610, PCT Application AU81/00046, U.S. Patent 3,203,550, U.S. Patent, 3,931,165, U.S. Patent, 2,720,480, U.S. Patent 4,038,197, U.S. Patent 3,682,903, U.S. Patent 3,775,406, U.S. Patent 3,932,167, U.S. Patent 4,390,538, U.S. Patent 3,361,746, U.S. Patent 4,414,221, U.S. Patent 4,237,127, U.S. Patent 3,951,971 and U.S. Patent 3,973,947.

- 314 -

The antitranspirant compounds of formula 1 have been found to significantly reduce plant and crop usage of water, i.e., reduce transpiration rate, and increase the resistance of plant leaf surfaces to the loss of moisture vapor, i.e., increase diffusive resistance. In addition, the antitranspirant compounds used in this invention are substantially non-inhibiting of photosynthetic light-requiring reactions, substantially non-phytotoxic to growing plants and serve to increase crop yields in comparison with untreated crops at similar conditions, especially in regions where plants are subject to moisture stress conditions. The antitranspirant compounds used in this invention provide for the conservation of soil moisture by reducing plant and crop usage of water during certain development periods, e.g., vegetative period, thereby making the unused water available at other periods of plant or crop development, e.g., reproductive growth period.

As indicated above, stomata are minute openings in the epidermis of plant leaf surfaces through which occurs gaseous interchange between the atmosphere and the intercellular spaces within the leaf. It is believed that the antitranspirant compounds of formula 1 effectively reduce the transpiration rate in plants by closing plant stomata or constricting plant stomatal openings to such a degree that moisture loss is reduced and, in addition, the compounds exhibit substantially no detrimental effect on photosynthetic electron flow.



- 315 -

The photosynthetic process in plants consists of light-requiring reactions, i.e., light reactions, and non-light-requiring reactions, i.e., dark reactions. The dark reactions in general involve a complex of enzyme-mediated reactions which provide for the conversion of carbon dioxide to sugar. In addition to carbon dioxide, the dark reactions require reducing power and chemical energy which are produced and provided by the light reactions. In general, two light-requiring reactions are involved in plant photosynthesis and are conventionally termed Photosystem I and Photosystem II. See, for example, Salisbury, F.B. and Ross, C.W., Plant Physiology, pp. 131-135 (1978). These photosystems are interconnected by an electron transport chain, and provide reducing power and chemical energy to the dark reactions. Inhibition of either or both of these photosystems can detrimentally affect photosynthesis, thereby causing plant injury or even plant death.

The antitranspirant compounds used in this invention have been found to cause no or substantially no inhibition of Photosystem I or Photosystem II. In contrast, the herbicide atrazine is known to substantially inhibit the light reactions of photosynthesis, particularly the electron transport chain. See, for example, Jachetta, J.J. and Radosevich, S.R., Weed Science 29: 37-43 (1981). Such herbicidal inhibition leads to a buildup of carbon dioxide within the leaf which causes closure of the stomates. See, for example, Smith, D. and Buchholtz, K.P., Plant Physiology 39:

- 316 -

572-578 (1964). Thus, unlike the antitranspirant activity of the compounds used in this invention, the antitranspirant activity of atrazine is associated with its herbicidal properties. As used herein, substantially no inhibition of photosynthetic electron transport refers to no or little inhibition of photosynthetic electron transport.

As used herein, an effective amount of a heterocyclic nitrogen-containing compound for reducing moisture loss from plants refers to an antitranspirationally effective amount of the compound sufficient to reduce transpirational moisture loss from plants without substantially inhibiting plant photosynthetic electron transport. Likewise, an effective amount of a heterocyclic nitrogen-containing compound for increasing crop yield refers to a yield enhancing effective amount of the compound sufficient to increase crop yield without substantially inhibiting plant photosynthetic electron transport. In both instances, the effective amount of compound can vary over a wide range depending on the particular compound employed, the particular crop to be treated, environmental and climatic conditions, and the like, provided that the amount of compound used does not cause substantial inhibition of plant photosynthetic electron transport or substantial phytotoxicity, e.g., foliar burn, chlorosis or necrosis, to the plant. In general, the compound can preferably be applied to plants and crops at a concentration of from about

- 317 -

0.25 to 15 pounds of compound per acre as more fully described below.

The heterocyclic nitrogen-containing compounds contemplated by formula 1 may be employed according to a variety of conventional methods known to those skilled in the art. Compositions containing the compounds as the active ingredient will usually comprise a carrier and/or diluent, either liquid or solid.

Suitable liquid diluents or carriers include water, petroleum distillates, or other liquid carriers with or without surface active agents. Liquid concentrates may be prepared by dissolving one of these compounds with a nonphytotoxic solvent such as acetone, xylene, nitrobenzene, cyclohexanone or dimethylformamide and dispersing the active ingredients in water with the aid of suitable surface active emulsifying and dispersing agents.

The choice of dispersing and emulsifying agents and the amount employed are dictated by the nature of the composition and the ability of the agent to facilitate the dispersion of the active ingredient. Generally, it is desirable to use as little of the agent as is possible, consistent with the desired dispersion of the active ingredient in the spray so that rain does not re-emulsify the active ingredient after it is applied to the plant and wash it off the plant. Nonionic, anionic, or cationic dispersing and emulsifying agents may be employed, for example, the condensation products of alkylene oxides with phenol and organic acids, alkyl

- 318 -

aryl sulfonates, complex ether alcohols, quaternary ammonium compounds, and the like.

In the preparation of wettable powder or dust compositions, the active ingredient is dispersed in and on an appropriately divided solid carrier such as clay, talc, bentonite, diatomaceous earth, fuller's earth, and the like. In the formulation of the wettable powders, the aforementioned dispersing agents as well as lignosulfonates can be included.

The required amount of the active ingredient contemplated herein may be applied per acre treated in from 1 to 200 gallons or more of liquid carrier and/or diluent or in from about 5 to 500 pounds of inert solid carrier and/or diluent. The concentration in the liquid concentrate will usually vary from about 5 to 95 percent by weight and in the solid formulations from about 0.5 to about 90 percent by weight. Satisfactory sprays or dusts for general use contain from about 0.1 to about 100 pounds of active ingredient per acre, preferably from about 0.25 to about 15 pounds of active ingredient per acre, and more preferably from about 0.5 to about 5 pounds of active ingredient per acre.

Formulations useful in the conduct of this invention can also contain other optional ingredients such as stabilizers or other biologically active compounds, insofar as they do not impair or reduce the activity of the active ingredient and do not harm the plant being treated. Other biologically active compounds include, for

- 319 -

example, one or more insecticidal, herbicidal, fungicidal, nematocidal, miticidal, plant growth regulators or other known compounds. Such combinations may be used for the known or other purpose of each ingredient and may provide a synergistic effect.

The antitranspirant compounds of formula 1 are preferably applied to plants and crops under substantially little or no water stress conditions, or what can be considered as average or normal growing conditions. A preferred condition for compound application is prior to substantial soil moisture loss. While not wishing to be bound to any particular theory, it is believed that application of the antitranspirant compounds does not result in a reduction of the minimum total water requirements of a treated plant or crop, but rather the application of such compounds serves to promote more efficient water utilization by treated plants and crops. It is believed that the antitranspirant effect does not reduce the total amount of water needed to grow a given plant or crop except for water savings which may be realized for some crops under irrigation, but rather such antitranspirant effect is manifested by an increase in yield of treated crops having no or limited irrigation and rainfall in comparison with untreated crops at similar conditions. Additionally, the antitranspirant compounds of formula 1 are preferably applied to plants and crops under conditions which favor large gradients in water vapor pressure between the saturated atmosphere

- 320 -

within the leaf and the atmosphere around the leaf. Such conditions include low atmospheric humidity, high light/heat loads on the leaf, and high rates of air movement.

In particular, it is believed that the application of the antitranspirant compounds of formula 1 to plants, for example, during the vegetative growth phase reduces the amount of water utilized by the plant for on the order of about a 1 to 6 week period and therefore provides for a greater amount of reserve water available in the soil during other developmental periods such as the critical reproductive growth phase. This soil moisture conservation can minimize any water deficit within plant tissues during critical developmental periods such as the reproductive growth phase resulting in increased crop yield. The antitranspirant compounds used in this invention may likewise be applied during the plant reproductive growth phase to obtain similar results.

In general, the antitranspirant compounds of formula 1 are useful for decreasing irrigation water requirements especially in dry climate regions, for protecting plants from wilting or other damage during transplantation or shipment or during severe cold weather, and for alleviating water stress in certain types of environments as indicated above.

Such compounds are useful in agriculture, horticulture and related fields and can be applied to vegetation such as non-deciduous ornamental shrubs, evergreens, trees, and the like, to protect

- 321 -

them against winter kill. A chief cause of winter kill is an excessive loss of moisture from leaf surfaces on sunny or windy days when the ground is frozen and the root systems cannot replace the water loss. The antitranspirant compounds can also be applied to other ornamentals such as roses and other flowers, Christmas trees, and the like, to preserve freshness and retard needle drop. The antitranspirant compounds can further be used in avoiding or minimizing the effects of summer scald and transplant shock.

In addition to the above, it is recognized that the antitranspirant compounds of formula 1 may also be used to control foliar diseases on crops such as wheat and oats. See, for example, Avant Gardener, Vol. 18, No. 1, November, 1985, in which antitranspirants are used to control fungus diseases.

As used herein, plants refer in general to any agronomic or horticultural crops, ornamentals and turfgrasses. Illustrative of plants which may be treated by the antitranspirant compounds of formula 1 according to the method of this invention include, for example, corn, cotton, sweet potatoes, white potatoes, alfalfa, wheat, rye, upland rice, barley, oats, sorghum, dry beans, soybeans, sugar beets, sunflowers, tobacco, tomatoes, canola, deciduous fruit, citrus fruit, tea, coffee, olives, pineapple, cocoa, banana, sugar cane, oil palm, herbaceous bedding plants, woody shrubs, turfgrasses, ornamental plants, evergreens, trees, flowers, and the like. As used herein, crops refer in general to any of the illustrative agronomic or

- 322 -

horticultural crops above. Transplanted stock as used herein refers in general to tobacco, tomatoes, eggplant, cucumbers, lettuce, strawberries, herbaceous bedding plants, woody shrubs, tree seedlings and the like.

The antitranspirant compounds contemplated herein reduce transpirational moisture loss from plants and increase crop yields. Such compounds have a high margin of safety in that when used in sufficient amount to provide an antitranspirant effect or yield enhancing effect, they do not inhibit plant photosynthetic electron transport or burn or injure the plant, and they resist weathering which includes wash-off caused by rain, decomposition by ultraviolet light, oxidation, or hydrolysis in the presence of moisture or, at least, such decomposition, oxidation, and hydrolysis as would materially decrease the desirable antitranspirant characteristic of the active ingredient or impart undesirable characteristics, for instance, phytotoxicity, to the active ingredients. Mixtures of the active compounds may be employed if desired as well as combinations of the active compounds with other biologically active compounds or ingredients as indicated above.

This invention is illustrated by the following examples.



- 323 -

Example IPreparation of 2,4-dichloro-6-(4-methylphenylthio)-  
1,3,5-triazine

Into a solution containing 18.4 grams (0.1 mole) of cyanuric chloride in 200 milliliters of acetone was added, with cooling at a temperature of 0-5°C and magnetic stirring, a solution containing 12.4 grams (0.1 mole) of 4-methylthiophenol and 10.7 grams (0.1 mole) of 2,6-lutidine in 50 milliliters of acetone. The solution was added at such a rate to maintain the reaction temperature at 0-5°C. The resulting mixture was magnetically stirred for a period of 2 hours, allowed to warm to room temperature, and precipitated 2,6-lutidine hydrochloride was filtered off and washed with acetone. The combined filtrates were then poured onto ice and the resulting precipitated solid was collected by filtration. The solid was washed with 100 milliliters of 10% aqueous NaOH and then 100 milliliters of water. After drying, the solid was recrystallized twice from hexane to give a crude yield of 5 grams. This material was further purified by vacuum sublimation to give 1.16 grams (0.004 mole) of pure 2,4-dichloro-6-(4-methylphenylthio)-1,3,5-triazine having a melting point of 112°C-114°C. Elemental analysis of the product indicated the following:

- 324 -

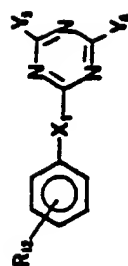
Analysis:  $C_{10}H_7Cl_2N_3S$   
Calculated: C, 44.13; H, 2.59; N, 15.44  
Found: C, 44.24; H, 2.61; N, 15.34

This compound is referred to hereinafter as Compound 1.

#### Example II

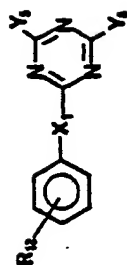
In a manner similar to that employed in Example I, other compounds were prepared. The structures and analytical data for Compounds 2 through 36, which compounds are used in the examples hereinafter for reducing moisture loss from plants, are set forth in Table A below. Compound 18 was obtained from Maybridge Chemical Company, Limited, Trevillet, Tintagel, Cornwall, United Kingdom, and was recrystallized three times from hexane.

TABLE A  
Representative Heterocyclic Nitrogen - Containing Compounds



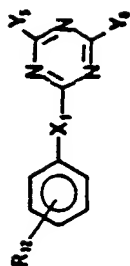
Compound No.	Substituents				Elemental Analysis						Melting Point (°C)
	R <sub>12</sub>	X <sub>1</sub>	Y <sub>5</sub>	Y <sub>6</sub>	Calculated		Found				
					C	H	C	H	N		
2	2,6-Cl <sub>2</sub>	0	Cl	Cl	34.76	0.97	35.51	13.51	0.90	13.57	135-138
3	2,3,4,5-Cl <sub>4</sub>	0	Cl	Cl	28.46	0.27	29.45	11.06	0.36	11.01	138-140
4	4-(CH <sub>3</sub> ) <sub>3</sub> C-	0	Cl	Cl	52.37	4.39	52.22	14.09	4.30	13.87	8p 210-220/4mm
5	4-C <sub>6</sub> H <sub>5</sub> CO-	0	Cl	Cl	55.51	2.62	54.91	12.14	2.75	12.69	129-131
6	4-CH <sub>3</sub> OC- 0	0	Cl	Cl	44.02	2.35	44.14	14.00	2.25	14.06	133-135
7	4-CH <sub>3</sub> O-CCH <sub>2</sub> - 0	0	Cl	Cl	45.88	2.89	45.98	13.38	2.58	13.56	103-104
8	3-NO <sub>2</sub>	0	Cl	Cl	37.65	1.40	38.18	19.52	1.45	19.52	135-137
9	4-(C <sub>6</sub> H <sub>5</sub> ) <sub>3</sub> C-	0	Cl	Cl	69.43	3.95	70.67	8.68	4.03	9.00	187-190
10	3,4-OCH <sub>2</sub> O-	0	Cl	Cl	41.98	1.76	43.60	14.69	1.95	14.35	140-142
11	4-CH <sub>3</sub> CO-	0	Cl	Cl	46.50	2.48	47.47	14.79	2.65	14.58	137-139
12	3-CH <sub>3</sub> CONH-	0	Cl	Cl	44.17	2.70	43.82	18.73	3.00	18.58	137-139
13	4-CH <sub>3</sub> S-	0	Cl	Cl	41.68	2.45	41.12	14.58	2.38	14.31	121-123

TABLE A (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Compound No.	R <sub>12</sub>	Substituents				Elemental Analysis					Melting Point (°C)	
		X <sub>1</sub>	Y <sub>5</sub>	Y <sub>6</sub>	Calculated		Found					
					C	H	C	H	N			
14	4-CN	0	Cl	Cl	44.97	1.50	20.98	46.81	1.62	20.98	185-187	
15	3-CN	0	Cl	Cl	44.97	1.50	20.98	45.28	1.69	20.98	139-143	
16	2-CH <sub>3</sub> O-4-CH <sub>2</sub> CH=CH <sub>2</sub>	0	Cl	Cl	50.02	3.55	13.46	50.20	3.69	13.83	100-102	
17	3-F	0	Cl	Cl	NMR (CDCl <sub>3</sub> ): δ 6.80-7.50 PPM (m, aromatic protons)							83.5-84
18	4-F	0	Cl	Cl	41.57	1.55	16.16	42.05	1.84	15.84	107-108	
19	4-Cl-2-CH(CH <sub>3</sub> )C <sub>6</sub> H <sub>5</sub>	0	Cl	Cl	53.64	3.18	11.04	53.53	3.43	11.28	Bp 240/2 mm	
20	4-Cl	S	Cl	Cl	36.94	1.38	14.36	37.01	1.38	14.29	116-118	
21	3-Cl	S	Cl	Cl	36.94	1.38	14.36	32.79	2.44	12.98	101-102	
22	2,6-Cl <sub>2</sub>	S	Cl	Cl	33.05	0.92	12.85	33.23	0.73	13.00	114-116	
23	4-F	S	Cl	Cl	39.15	1.46	15.22	37.32	1.69	15.01	112-114	
24	4-CH <sub>3</sub> O-	S	Cl	Cl	41.68	2.45	14.58	41.44	2.61	14.60	98-100	
25	H	0	Cl	Cl	NMR (CDCl <sub>3</sub> ): δ 7.1-7.7 PPM (complex multiplet, aromatic protons)							112-114
26	4-Cl	0	Cl	Cl	NMR (CDCl <sub>3</sub> ): δ 7.07-7.60 PPM (AB quartet, aromatic protons)							108-111
27	4-CH <sub>3</sub>	0	Cl	Cl	NMR (CDCl <sub>3</sub> ): δ 3.85 PPM (3H, s, CH <sub>3</sub> ), 6.85-7.32 PPM (4H, m, aromatic protons)							90-93

## Representative Heterocyclic Nitrogen - Containing Compounds



Compound No.	Substituents				Elemental Analysis						Melting Point (°C)
	R12	X1	Y5	Y6	Calculated		Found				
					C	H	N	C	H	N	
28	4-CH3O	0	Cl	Cl	44.14	2.59	15.44	44.29	2.89	15.23	105-108
29	3,4-Cl2	0	Cl	Cl	34.76	0.97	13.51	35.32	1.22	12.91	115-119
30	3-Cl	0	Cl	Cl	39.09	1.46	15.20	39.11	1.56	15.37	79-83
31	4-CF3	0	Cl	Cl	38.73	1.30	13.55	39.01	1.59	13.64	91-95
32	4-C6H5O	0	Cl	Cl	53.91	2.71	12.58	53.96	2.92	12.69	116-118
33	4-NO2	0	Cl	Cl	37.65	1.40	19.52	38.58	1.20	19.74	197-200
34	2,4-F2	0	Cl	2,4-F2-C6H3O	50.65	1.70	11.81	49.04	1.53	11.30	165-168.5
35	2,4-Cl2	S	Cl	Cl	33.06	0.92	12.85	33.28	1.14	12.64	88-89
36	2,6-Cl2-4-NO2	0	Cl	Cl	30.37	0.57	15.74	29.73	0.71	16.00	144-148

SUBSTITUTE SHEET

- 328 -

Example IIIPreparation of 2,4-dichloro-6-(2',3'-dichloro-phenoxy)-1,3,5-triazine

Into a solution containing 18.4 grams (0.1 mole) of cyanuric chloride in 150 milliliters of acetone was added, with cooling at a temperature of 0-5°C and magnetic stirring, a solution containing 16.3 grams (0.1 mole) of 2,3-dichlorophenol and 14.3 grams (0.1 mole) of quinaldine in 50 milliliters of acetone. The solution was added at such a rate to maintain the reaction temperature at 0-5°C. The resulting mixture was magnetically stirred for a period of one hour, allowed to warm to room temperature, and precipitated quinaldine hydrochloride was filtered off and washed with acetone. The combined filtrates were then poured onto ice and the resulting precipitated solid was collected by filtration. The solid was washed with 100 milliliters of 10% aqueous NaOH and then 100 milliliters of water. After drying, the solid was crystallized from hexane to give a crude yield of 14.5 grams. This material was further purified by vacuum sublimation to give 1.7 grams (0.005 mole) of 2,4-dichloro-6-(2',3'-dichloro-phenoxy)-1,3,5-triazine having a melting point of 154.5°C-156°C. Elemental analysis of the product indicated the following:

- 329 -

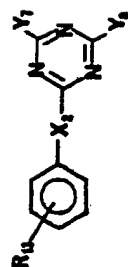
Analysis:  $C_9H_3Cl_4N_3O$   
Calculated: C, 34.76; H, 0.97; N, 13.51  
Found: C, 34.30; H, 0.89; N, 13.80.

This compound is referred to hereinafter as Compound 37.

Example IV

In a manner similar to that employed in Example III, other compounds were prepared. The structures and analytical data for Compounds 38 through 45, which compounds are used in the examples hereinafter for reducing moisture loss from plants, are set forth in Table B below.

TABLE B  
Representative Heterocyclic Nitrogen - Containing Compounds



Compound No.	Substituents				Elemental Analysis						Melting Point (°C)
	R13	X2	Y7	Y8	Calculated			Found			
					C	H	N	C	H	N	
38	2-NO2	0	Cl	Cl	37.65	1.40	19.52	37.04	1.25	19.66	132-135
39	2-Cl	0	Cl	Cl	39.09	1.46	15.20	39.01	1.49	15.80	92-95
40	2,5-Cl2	0	Cl	Cl	34.76	0.97	13.51	34.64	0.76	13.92	118-120
41	Cl5	0	Cl	Cl	26.09	--	10.14	27.41	--	10.07	205-208
42	2-CH3-4-Cl	0	Cl	Cl	41.34	2.08	14.46	41.75	2.26	14.57	82-83
43	4-(n-C12H25- O-CO)-	0	Cl	Cl	58.15	6.43	9.25	58.04	6.19	9.12	88-90
44	2,4-Cl2	0	Cl	Cl	34.76	0.97	13.51	34.78	1.04	13.49	121-122
45	4-C6H5CH-CHCO-	0	Cl	Cl	58.08	2.98	11.29	58.21	3.57	11.35	169-171



- 331 -

Example VPreparation of 2-chloro-4,6-bis(2',4'-dichloro-  
phenoxy)-1,3,5-triazine

Into a solution containing 9.2 grams (0.05 mole) of cyanuric chloride in 100 milliliters of acetone was added, with cooling at a temperature of 0-5°C and magnetic stirring, 8.15 grams (0.05 mole) of 2,4-dichlorophenol and 7.25 grams (0.05 mole) of potassium carbonate. The ingredients were added at such a rate to maintain the reaction temperature at 0-5°C. The mixture was poured onto ice and the resulting solid precipitate was collected by filtration, washed with 100 milliliters of 10% aqueous sodium hydroxide and then with water. After drying, the solid was recrystallized from hexane. The first crop of crystals was recrystallized twice from hexane to give 2.0 grams (0.005 mole) of 2-chloro-4,6-bis(2',4'-dichlorophenoxy)-1,3,5-triazine having a melting point of 165°C-168°C. Elemental analysis of the product indicated the following:

Analysis:	$C_{15}H_6Cl_5N_3O_2$
Calculated:	C, 41.18; H, 1.38; N, 9.60
Found:	C, 41.41; H, 0.96; N, 9.86

This compound is referred to hereinafter as Compound 46.

- 332 -

Example VIPreparation of 2,4-dichloro-6-(3',5'-dichloro-  
phenoxy)-1,3,5-triazine

Into a stirred solution containing of 5.24 grams (0.032 mole) of 3,5-dichlorophenol in 15 milliliters of acetone, which was cooled to a temperature of 0-5°C, was added 3.45 grams (0.032 mole) of 2,6-lutidine followed by a solution of 5.93 grams (0.032 mole) of cyanuric chloride in 185 milliliters of acetone. The cyanuric chloride/acetone solution was added dropwise, while maintaining the temperature at 0-5°C. After completing the feed, stirring was continued at a temperature of about 0°C for a period of one hour and the mixture was then warmed to ambient temperature. Lutidine hydrochloride was removed by filtration and the filtrate was treated with charcoal and filtered through Celite. The acetone solution was freed of solvent under reduced pressure and the residue dissolved in toluene. This solution was washed with 0.5 N NaOH (twice), then with water, dried over  $\text{MgSO}_4$  and evaporated in vacuo to give 9.1 grams of a crude solid product. Recrystallization from hexane and vacuum sublimation gave 1.0 gram (0.003 mole) of pure 2,4-dichloro-6-(3',5'-dichlorophenoxy)-1,3,5-triazine having a melting point of 109°C-111°C. Elemental analysis of the product indicated the following:

- 333 -

Analysis:  $C_9H_3Cl_4ON_3$   
Calculated: C, 34.76; H, 0.97; N, 13.51  
Found: C, 34.41; H, 0.90; N, 13.33

This compound is referred to hereinafter as Compound 47.

#### Example VII

##### Preparation of 4,6-dichloro-2-(3'-dimethylamino-phenoxy)-1,3,5-triazine and 6-chloro-2,4-bis-(3'-dimethylaminophenoxy)-1,3,5-triazine

Into a suspension containing 4.2 grams (0.09 mole) of NaH (50% in oil) in 100 milliliters of dry tetrahydrofuran was added dropwise a solution containing 10.0 grams (0.07 mole) of 3-(N,N-dimethylamino)phenol in 200 milliliters of dry tetrahydrofuran at a temperature of 4°C. The mixture was warmed to room temperature, transferred into an addition funnel and added dropwise into a solution containing 13.4 grams (0.07 mole) of cyanuric chloride in 100 milliliters of dry tetrahydrofuran at 0°C. This mixture was stirred at 0°C for a period of 3 hours, evaporated, and the residue extracted with hot  $CH_2Cl_2$ . The  $CH_2Cl_2$  solution was evaporated and the residue purified by flash column chromatography on Florisil® using 5% EtOAc in hexane to give 1.70 grams (0.004 mole), after recrystallization from EtOAc-hexane, of 6-chloro-2,4-bis-

- 334 -

(3'-dimethylaminophenoxy)-1,3,5-triazine having a melting point of 134°C-136.5°C and 0.65 gram (0.002 mole) of 4,6-dichloro-2-(3'-dimethylaminophenoxy)-1,3,5-triazine as an oil. Elemental analysis of these two products indicated the following:

4,6-dichloro-2-(3'-dimethylaminophenoxy)-1,3,5-triazine

Analysis:  $C_{11}H_{10}Cl_2N_2O$   
Calculated: C, 46.34; H, 3.53; N, 19.65;  
Cl, 24.87  
Found: C, 48.69; H, 3.74; N, 17.17;  
Cl, 20.88

This compound is referred to hereinafter as Compound 48.

6-chloro-2,4-bis-(3'-dimethylaminophenoxy)-1,3,5-triazine

Analysis:  $C_{19}H_{20}ClN_5O_2$   
Calculated: C, 59.14; H, 5.22; N, 18.15; O, 8.28; Cl, 9.19  
Found: C, 58.52; H, 5.04; N, 17.85; O, 8.90; Cl, 9.46

This compound is referred to hereinafter as Compound 49.

- 335 -

Example VIIIPreparation of 4,6-dichloro-2-(4'-bromo-3',5'-  
dimethylphenoxy)-1,3,5-triazine

Into a solution containing 9.2 grams (0.05 mole) of cyanuric chloride in 80 milliliters of acetone was added 5.8 milliliters of 2,6-lutidine dissolved in 10 milliliters of acetone at a temperature of -60°C. A solution of 10.0 grams (0.05 mole) of 4-bromo-3,5-dimethylphenol in 30 milliliters of acetone was then added while maintaining the temperature at -60°C. The mixture was stirred for 1 hour at -60°C, 30 minutes at room temperature, and then filtered and the precipitate washed with acetone. The filtrate was poured onto ice and the resulting precipitate was collected by suction filtration. The crude product was washed with water and crystallized from hot hexane to give 1.49 grams (0.004 mole) of 4,6-dichloro-2-(4'-bromo-3',5'-dimethylphenoxy)-1,3,5-triazine as pink-orange crystals having a melting point of 149°C-151°C. Elemental analysis of the product indicated the following:

Analysis:	$C_{11}H_8BrCl_2N_3O$
Calculated:	C, 37.86; H, 2.31; N, 12.04
Found:	C, 38.63; H, 2.47; N, 11.55

This compound is referred to hereinafter as Compound 50.

- 336 -

Example IX

In a manner similar to that employed in Example VIII, other compounds were prepared. The structures and analytical data for Compounds 51 through 61, which compounds are used in the examples hereinafter for reducing moisture loss from plants, are set forth in Table C below. For the preparation of Compound 61, triisopropanolamine was used as the acid-acceptor in place of 2,6-lutidine.

TABLE C

Representative Heterocyclic Nitrogen - Containing Compounds





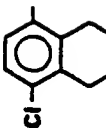
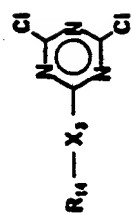
Compound No.	Substituents		Elemental Analysis						Melting Point (°C)
	R <sub>14</sub>	X <sub>3</sub>	Calculated			Found			
			C	H	N	C	H	N	
51		0	44.47	1.87	15.56	44.75	2.02	16.06	140-141
52		0	56.63	2.85	13.21	56.01	2.68	13.37	189
53		0	49.32	3.18	8.85	47.92	3.05	12.68	121-123

TABLE C (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



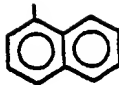
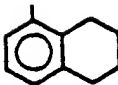
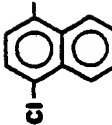
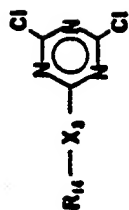
Compound No.	Substituents		Elemental Analysis						Melting Point (°C)
	R <sub>14</sub>	X <sub>3</sub>	Calculated			Found			
			C	H	N	C	H	N	
54		0	53.45	2.41	--	53.60	2.82	--	92.5-93.5
55		0	52.72	3.74	14.19	51.55	4.18	14.56	133-139
56		0	47.82	1.85	12.87	48.82	1.95	12.45	126-127



TABLE C (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds




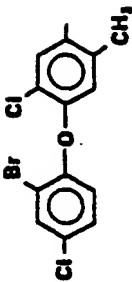

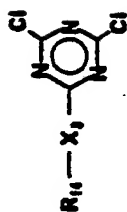
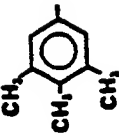
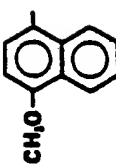
Compound No.	Substituents		Elemental Analysis						Melting Point (°C)
	R <sub>14</sub>	X <sub>3</sub>	Calculated			Found			
			C	H	N	C	H	N	
57		0	53.45	2.41	14.38	52.65	2.61	13.97	154-155
58		NH	38.83	1.83	11.32	39.18	1.95	11.45	172-174
59		0	30.41	0.85	11.82	<sup>13</sup> C NMR (CDCl <sub>3</sub> ) δ 116.366, 123.788, 128.881, 129.179, 133.513, 147.137, 170.460, 173.331 PPM.			126

TABLE C (Cont.)  
Representative Heterocyclic Nitrogen - Containing Compounds



Compound No.	Substituents		Elemental Analysis								Melting Point (°C)
	R <sub>14</sub>	X <sub>3</sub>	Calculated			Found			M		
			C	H	N	C	H	N			
60		0	50.72	3.90	14.79	51.34	4.09	14.90	147-149		
61		0	52.20	2.82	13.04	52.28	2.96	13.08	176-177.5		

- 341 -

Example XPreparation of 4,6-dichloro-2-(2'-phenylphenoxy)-  
1,3,5-triazine

Into a magnetically stirred solution containing 10.83 grams (0.06 mole) of cyanuric chloride in 100 milliliters of acetone was added a solution containing of 11.24 grams (0.06 mole) of triisopropanolamine in 100 milliliters of acetone at a temperature of  $-70^{\circ}\text{C}$ . A solution of 10.0 grams (0.06 mole) of 2-phenylphenol in 100 milliliters of acetone was then added dropwise at a temperature of  $-70^{\circ}\text{C}$ . This mixture was stirred at room temperature for a period of 1 hour, filtered, and the filtrate poured onto ice-water. After removal of the acetone solvent by evaporation, the resulting mixture was partitioned between water and  $\text{CH}_2\text{Cl}_2$ , the organic layer separated, dried using anhydrous  $\text{Na}_2\text{SO}_4$  and evaporated. The residual product was purified by flash column chromatography using silica gel, and eluted with 5% ethyl acetate in hexane to give 6.0 grams (0.02 mole) of 4,6-dichloro-2-(2'-phenylphenoxy)-1,3,5-triazine as an oil. Elemental analysis of the product indicated the following:

Analysis:	$\text{C}_{15}\text{H}_9\text{Cl}_2\text{N}_3\text{O}$
Calculated:	C, 56.63; H, 2.85; N, 13.21; Cl, 22.29
Found:	C, 55.65; H, 2.99; N, 13.46; Cl, 24.18

- 342 -

This compound is referred to hereinafter as Compound 62.

Example XI

Preparation of 2,4-dichloro-6-  
(2'-chlorophenylamino)-1,3,5-triazine

In a manner similar to Example VIII, 4.63 grams (0.04 mole) of 2-chloroaniline and 6.69 grams (0.04 mole) of cyanuric chloride were reacted in the presence of 3.89 grams (0.04 mole) of 2,6-lutidine except that the cooling bath was removed at the end of the feed period and the stirred mixture allowed to warm to room temperature. After filtering off lutidine hydrochloride, the filtrate was freed of acetone solvent under reduced pressure and the resulting solid was crystallized from a mixture of hexane and benzene. The first crop of product yielded 1.1 grams (0.004 mole) of 2,4-dichloro-6-(2'-chlorophenyl-amino)-1,3,5-triazine having a melting point of 153°C-156°C. NMR analysis of the product indicated the following: NMR (CDCl<sub>3</sub>): 7.0-8.35 ppm (complex multiplet, aromatic and NH). This compound is referred to hereinafter as Compound 63.

- 343 -

Example XIIPreparation of 2,4-dichloro-6-(4'-chlorophenylamino)-1,3,5-triazine

In a manner similar to Example XI, 6.94 grams (0.05 mole) of 4-chloroaniline, 10.04 grams (0.05 mole) of cyanuric chloride and 5.83 grams (0.05 mole) of 2,6-lutidine were reacted in acetone solution. On completing the feed, the reaction mixture was stirred for about 1 hour at a temperature of 0°C and then at room temperature for about 16 hours. Work up furnished after water-washing and drying 14.0 grams (0.05 mole) of 2,4-dichloro-6-(4'-chlorophenylamino)-1,3,5-triazine having a melting point of 181°C-184°C. NMR analysis of the product indicated the following: <sup>13</sup>C NMR (d<sub>6</sub> acetone) 171.38, 165.29, 136.74, 130.56, 129.72, 123.79 ppm.

This compound is referred to hereinafter as Compound 64.

Example XIIIPreparation of 2,4-dichloro-6-(5',6',7',8'-tetrahydronaphthyl-1'-amino)-1,3,5-triazine

Into a stirred solution containing cyanuric chloride (5.0 grams, 0.03 mole) in acetone (120 milliliters) at a temperature of 0°C was added

- 344 -

dropwise a solution containing 2,6-lutidine (3.15 milliliters, 0.03 mole) and 1-amino-5,6,7,8-tetrahydronaphthalene (3.97 grams, 0.03 mole) in acetone (200 milliliters). After 2 hours at 0°C, the reaction mixture was warmed to room temperature and stirred for a period of 1 hour. The reaction mixture was filtered, and the filtrate was filtered through silica gel and washed with acetone to afford 2,4-dichloro-6-(5',6',7',8'-tetrahydronaphthyl-1'-amino)-1,3,5-triazine as a solid (7.0 grams, 0.02 mole) having a melting point of 158°C-162°C. Elemental analysis of the product indicated the following:

Analysis:	$C_{13}H_{12}Cl_2N_4$
Calculated:	C, 52.89; H, 4.10; N, 18.98.
Found:	C, 53.03; H, 4.06; N, 18.89

This compound is referred to hereinafter as Compound 65.

#### Example XIV

##### Preparation of 4,6-dichloro-2-(4'-nitrophenylamino)-1,3,5-triazine

Into a solution containing 20 grams (0.11 mole) of cyanuric chloride in 300 milliliters of acetone was added a solution containing 15.0 grams (0.11 mole) of p-nitroaniline in 200 milliliters of acetone and a solution containing 12.6 milliliters (0.11 mole) of 2,6-lutidine in 100 milliliters of

- 345 -

acetone. The resulting mixture was stirred at room temperature under a nitrogen atmosphere for about 16 hours. The mixture was then filtered, the filtrate poured onto ice-water, and the resulting precipitate collected to give 5.6 grams of a crude product. The crude product was recrystallized from acetone-toluene to give 3.72 grams (0.01 mole) of 4,6-dichloro-2-(4'-nitrophenylamino)-1,3,5-triazine as a yellow solid having a melting point of 240°C (dec.). Elemental analysis of the product indicated the following:

Analysis:	$C_9H_5N_5O_2Cl_2$
Calculated:	C, 37.79; H, 1.76; N, 24.48; O, 11.19; Cl, 24.79
Found:	C, 38.04; H, 2.01; N, 24.20; O, 11.14; Cl, 23.74

This compound is referred to hereinafter as Compound 66.

#### Example XV

##### Preparation of 4,6-dibromo-2-(4'-nitrophenylamino)- 1,3,5-triazine

Into a solution containing 600 milligrams (0.002 mole) of 4,6-dichloro-2-(4'-nitrophenylamino)-1,3,5-triazine prepared in Example XIV in 300 milliliters of  $CH_2Cl_2$  was bubbled HBr gas at room temperature for a period of 4 hours. The

- 346 -

resulting mixture was stored in a refrigerator for about 48 hours and an oil, which separated from  $\text{CH}_2\text{Cl}_2$ , was collected by decantation. The oil was rinsed with  $\text{CH}_2\text{Cl}_2$  (3 X 20 milliliters) and then recrystallized from toluene to give 600 milligrams (0.002 mole) of 4,6-dibromo-2-(4'-nitrophenylamino)-1,3,5-triazine as a yellow solid. Elemental analysis of the product indicated the following:

Analysis:  $\text{C}_9\text{H}_5\text{N}_5\text{O}_2\text{Br}_2$

Calculated:

C, 28.81; H, 1.34; N, 18.67

Found: C, 29.85; H, 2.75; N, 18.85

This compound is referred to hereinafter as Compound 67.

#### Example XVI

##### Preparation of 4,6-dibromo-2-(4'-chlorophenylamino)-1,3,5-triazine

In a manner similar to Example XV, 4,6-dichloro-2-(4'-chlorophenylamino)-1,3,5-triazine was reacted with hydrogen bromide to give 4,6-dibromo-2-(4'-chlorophenylamino)-1,3,5-triazine having a melting point of 197.5°C-200°C. Elemental analysis of the product indicated the following.



- 347 -

Analysis:  $C_9H_5N_4ClBr_2$   
Calculated: C, 29.66; H, 1.39; N, 15.38;  
Cl, 9.73; Br, 43.85  
Found: C, 29.49; H, 1.48; N, 15.19;  
Cl, 9.36; Br, 43.40

This compound is referred to hereinafter as Compound 68.

Example XVII

Preparation of 2-(4'-chlorophenylamino)-4,6-difluoro-1,3,5-triazine

Into a stirred solution containing 16.21 grams (0.12 mole) of cyanuric fluoride in 120 milliliters of toluene was added, with cooling at a temperature of  $-10^{\circ}\text{C}$  to  $0^{\circ}\text{C}$ , a solution of 12.75 grams (0.10 mole) of 4-chloroaniline in 120 milliliters of toluene over a period of 2 hours. The mixture was then stirred at room temperature for 15 minutes and at a temperature of  $50^{\circ}\text{C}$  for 30 minutes. After filtering, the filtrate was reduced to one-half in volume by rotary vacuum evaporation of the solvent. The crystalline crude product (12.6 grams) was separated from the concentrated solution and, following filtering and drying, was recrystallized from toluene to give 6.3 grams (0.03 mole) of 2-(4'-chlorophenylamino)-4,6-difluoro-1,3,5-triazine as white crystals having a melting point of  $144^{\circ}\text{C}$ - $147^{\circ}\text{C}$ . NMR analysis of the product

- 348 -

indicated the following:  $^{13}\text{C}$  NMR ( $d_6$  acetone)  $\delta$   
177.09 (m), 168.21 (m), 130.75, 129.75, 124.12 ppm.

This compound is referred to hereinafter as Compound 69.

Example XVIII

Preparation of 4-chloro-6-iodo-2-(2',4'-  
dichlorophenoxy)-1,3,5-triazine

Into a suspension containing 6.0 grams (0.02 mole) of 4,6-dichloro-2-(2',4'-dichlorophenoxy)-1,3,5-triazine in 60 milliliters of acetone was added a solution containing 5.8 grams (0.04 mole) of NaI in 60 milliliters of acetone. The resulting mixture was stirred and heated to a temperature of 90°C in a sealed bottle for a period of 6 hours. The mixture was then filtered, the filtrate evaporated to give 9.2 grams of solid, and 40 milliliters of methylene chloride was added to this solid and the suspension then filtered. The filtrate was evaporated and the residue was sublimed in vacuo at 90°C for 10 hours. The temperature was then raised to 160°C-190°C and 2.0 grams of off-white solid was collected from the cold finger. This solid was recrystallized from  $\text{CH}_3\text{CN}$  - water to give 1.0 gram (0.002 mole) of 4-chloro-6-iodo-2-(2',4'-dichlorophenoxy)-1,3,5-triazine as a white solid having a melting point of 155°C-158°C. Elemental analysis of the product indicated the following: